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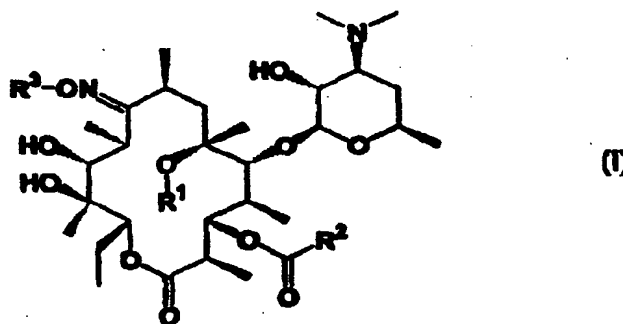
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(54) ERYTHROMYCIN DERIVATIVES

(57) Novel erythromycin derivatives represented by general formula (I) and drugs containing these derivatives as the active ingredient and being useful in treating atypical acid-fast bacterium infection, wherein R¹ represents hydrogen or lower alkyl; R² represents alkyl, cycloalkyl, aryl, aralkyl, -X-R⁴, etc. (wherein X represents oxygen or amino; and R⁴ represents alkyl or aryl); and R³ represents alkyl, cycloalkyl, alkenyl or -(CH₂)_n-Y-R⁵ (wherein Y represents methylene, oxygen, sulfur, sulfinyl, carbonyl, etc.; R⁵ represents aryl; and n is an integer of 1 to 5).



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Description

Technical Field

- 5 [0001] The present invention relates to erythromycin derivatives useful as antibacterial agents. In particular, the invention relates to novel erythromycin derivatives and salts thereof which are useful for treatment of atypical acid-fast mycobacteriosis (non-tuberculous acid-fast mycobacteriosis).

Background Art

- 10 [0002] Atypical acid-fast mycobacteria have low sensitivity to various antibacterial agents including antituberculosis agents, and for this reason, atypical acid-fast mycobacteriosis is an extremely intractable disease. Rifampicin (The Merck Index, 12th edition, 8382) and the like are known as compounds that can be applied to diseases similar to those treatable by the compounds of the present invention. As macrolide derivatives that have similar chemical structures to those of the compounds of the present invention, clarithromycin (The Merck Index, 12th edition, 2400), roxithromycin (The Merck Index, 12th edition, 8433) as a 9-oxime type compound and the like are known. Furthermore, as compounds converted from 3-cladinose, International Publication WO93/13116 and other publications disclose ester-type compounds, and International Publication WO93/13115 discloses carbamate-type compounds. Clinical application of clarithromycin has been approved in the United State and other countries, which is considered as the most promising agent for the treatment of atypical acid-fast mycobacteriosis among those macrolide derivatives. However, even clarithromycin fails to have sufficient antibacterial activity, and therefore, development of more potent antibacterial agents has been desired.

Disclosure of the Invention

- 25 [0003] In recent years, increase of opportunistic infections has become a big social problem. Causes of the increase of the opportunistic infections may include increase of compromised hosts with degraded biophylaxis mechanism such as patients infected by human immunodeficiency virus (HIV), patients of cancer and diabetes, and elderly persons, and increase of multiple drug-resistant bacteria whose typical examples are Methicillin-resistant *Staphylococcus aureus*, or may also include microbial substitution. For these causes, chemotherapy of opportunistic infections becomes more difficult. Opportunistic infections caused by atypical acid-fast mycobacteria also become a problem. Atypical acid-fast mycobacteria proliferate slowly, and even when they are captured by phagocytes, they can survive in the cells for a long period of time. Therefore, prolonged chemotherapy is required to treat infections by these bacteria.

- 30 [0004] In particular, among the atypical acid-fast mycobacteria, few effective antibacterial agents are available against *Mycobacterium avium* complex (MAC), and accordingly, surgical treatment has been studied for the treatment of this infection at present. Moreover, even the aforementioned clarithromycin lacks selectivity as an agent for therapeutic treatment of atypical acid-fast mycobacteriosis, and a problem also arises that clarithromycin resistant MACs have already emerged. As explained above, there are various problems in chemotherapy of atypical acid-fast mycobacteriosis, for example, low sensitivity of the bacteria to known antibacterial agents, and conditions of high possibility of microbial substitution or emergence of resistant bacteria. An object of the present invention is to provide a compound that has selective and excellent antibacterial activity against atypical acid-fast mycobacteria.

- 40 [0005] The inventors of the present invention eagerly conducted researches to achieve the aforementioned object. As a result, they found that the novel erythromycin derivatives or salts thereof according to the present invention were useful as antibacterial agents having the aforementioned characteristics, and that they were excellent in antibacterial activity particularly against atypical acid-fast mycobacteria. The present invention was achieved on the basis of these findings.

- 45 [0006] The present invention thus relates to novel erythromycin derivatives represented by the following general formula (1) or salts thereof:

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thereof include, for example, (cyclopropyl)methyl group, (cyclobutyl)methyl group, (cyclopentyl)methyl group, (cyclohexyl)methyl group, (cycloheptyl)methyl group, (cyclopropyl)ethyl group, (cyclobutyl)ethyl group, (cyclopentyl)ethyl group, (cyclohexyl)ethyl group, (cycloheptyl)ethyl group, (cyclohexyl)propyl group, (cyclohexyl)butyl group, (cyclohexyl)pentyl group, (cyclohexyl)hexyl group, (cyclohexyl)heptyl group, (cyclohexyl)octyl group, (cyclohexyl)nonyl group, (cyclohexyl)decyl group, (2,3-dihydrobenzofuran-2-yl)methyl group, (2,3-dihydrobenzofuran-3-yl)methyl group, (3,4-dihydrobenzo[b]pyran-2-yl)methyl group, (3,4-dihydrobenzo[b]pyran-3-yl)methyl group, (3,4-dihydrobenzo[b]pyran-4-yl)methyl group, (2,3-dihydro-1,4-benzodioxin-2-yl)methyl group and the like. The alkenyl group represented by R^3 may be an alkenyl group having 3 to 7 carbon atoms, for example, allyl group, butenyl group, pentenyl group, hexenyl group, heptenyl group and the like.

[0011] As the alkoxy carbonyl group contained in the alkyl group which is substituted with an alkoxy carbonyl group, an aryloxy carbonyl group, or an aralkyloxy carbonyl group represented by R^3 , examples include methoxy carbonyl group, ethoxy carbonyl group, n-propoxy carbonyl group, isopropoxy carbonyl group, n-butoxy carbonyl group, isobutoxy carbonyl group, sec-butoxy carbonyl group, tert-butoxy carbonyl group, n-pentyloxy carbonyl group, isopentyloxy carbonyl group, neopentyloxy carbonyl group and the like. Examples of the aryloxy carbonyl group include, for example, phenoxycarbonyl group, pyridyloxy carbonyl group, thienyloxy carbonyl group and the like. Examples of the aralkyloxy carbonyl group include, for example, benzyloxy carbonyl group, pyridylmethyloxy carbonyl group, thenyloxy carbonyl group and the like.

[0012] In the aforementioned general formula (I) of the present invention, examples of the aryl group represented by R^2 , R^4 , or R^5 include, for example, monocyclic or polycyclic aromatic rings such as phenyl group, pyridyl group, pyrimidyl group, pyrazinyl group, imidazolyl group, naphthyl group, furyl group, benzofuranyl group, benzo[b]thiophenyl group, benzimidazolyl group, indolyl group, thienyl group, pyrrolyl group, quinolyl group, isoquinolyl group, 1,2,3,4-tetrahydronaphthalen-5-yl group and 1,2,3,4-tetrahydronaphthalen-6-yl group and the like. The aralkyl group represented by R^2 may be the aforementioned aryl group which is substituted with a linear or branched alkyl group having 1 to 6 carbon atoms at any position and may contain an oxygen atom, a sulfur atom, or a nitrogen atom. Examples thereof include benzyl group, pyridylmethyl group, pyrimidylmethyl group, pyrazinylmethyl group, naphthylmethyl group, furfuryl group, benzofuranylmethyl group, thenyl group, pyrrolylmethyl group, quinolylmethyl group, isoquinolylmethyl group, phenethyl group, phenylpropyl group, phenylbutyl group, phenylpentyl group, phenylhexyl group, phenyloxymethyl group, pyridyloxymethyl group, pyrimidyloxymethyl group, pyrazinyloxymethyl group, naphthyloxymethyl group, tetrahydronaphthyloxyethyl group, phenylthiomethyl group, pyridylthiomethyl group, pyrimidylthiomethyl group, pyrazinylthiomethyl group, naphthylthiomethyl group, phenylaminomethyl group and the like.

[0013] Substituents for the optionally substituted alkyl group represented by R^2 or R^4 , the optionally substituted cycloalkyl group and the optionally substituted (cycloalkyl)alkyl group represented by R^2 or R^3 , the optionally substituted aryl group represented by R^2 , R^4 or R^5 , the optionally substituted aralkyl group and the optionally substituted styryl group represented by R^2 , the optionally substituted alkenyl group represented by R^3 , and the optionally substituted methylene group represented by Y may be any kinds of substituents so long as they can bind as substituents to those groups. Number and kind of the substituents are not particularly limited. When two or more substituents are present, they may be the same or different. Examples of the substituents include, for example, a hydroxyl group which may be protected, an alkoxy group, an amino group which may be substituted, a carbamoyl group which may be substituted, a halogen atom, an alkyl group, trifluoromethyl group, an alkanoyl group, a cycloalkyl group, an aryl group, an aryloxy group, cyano group, nitro group, guanidino group, amidino group, carboxyl group, an alkoxy carbonyl group, an aryloxy carbonyl group, an aralkyloxy carbonyl group and the like. As the protective group of hydroxyl group, any kind of protective group may be used so long as the protective group is substantially inactive in a system in which the hydroxyl group should not participate in a reaction and easily cleaved under a particular deprotection condition. Examples of the protective group include, for example, an alkanoyl group, a halogenoalkanoyl group, a trialkylsilyl group, benzyl group and the like. Examples of the alkanoyl group as the protective group of hydroxyl group include, for example, formyl group, acetyl group, propionyl group, butyryl group, trimethylacetyl group and the like, and examples of the halogenoalkanoyl group as the protective group of hydroxyl group include, for example, trifluoroacetyl group, trichloroacetyl group and the like. Examples of the trialkylsilyl group as the protective group of hydroxyl group include, for example, trimethylsilyl group, triethylsilyl group and the like. The alkoxy group may be a linear or branched alkoxy group having 1 to 6 carbon atoms, and examples thereof include, for example, methoxy group, ethoxy group, n-propoxy group, isopropoxy group, n-butoxy group, isobutoxy group, sec-butoxy group, tert-butoxy group, n-pentyloxy group, isopentyloxy group, neopentyloxy group, tert-pentyloxy group, n-hexyloxy group and the like. Examples of the amino group which may be substituted include, for example, amino group, methylamino group, ethylamino group, n-propylamino group, isopropylamino group, n-butylamino group, isobutylamino group, sec-butylamino group, tert-butylamino group, n-pentylamino group, isopentylamino group, neopentylamino group, tert-pentylamino group, n-hexylamino group, N,N-dimethylamino group, N,N-diethylamino group and the like. Examples of the carbamoyl group which may be substituted include, for example, carbamoyl group, N-methylcarbamoyl group, N-ethylcarbamoyl group, N-n-propylcarbamoyl group, N-isopropylcarbamoyl group, N-n-butylcarbamoyl group, N-isobutylcarbamoyl group, N-sec-butylcarbamoyl group, N-tert-butylcar-

bamoyl group, N-n-pentylcarbamoyl group, N-isopentylcarbamoyl group, N-neopentylcarbamoyl group, N-n-hexylcarbamoyl group, N,N-dimethylcarbamoyl group, N,N-diethylcarbamoyl group and the like. The halogen atom may be any of fluorine atom, chlorine atom, bromine atom and iodine atom. Examples of the alkanoyl group include, for example, acetyl group, propionyl group, butyryl group and the like, and examples of the aryloxy group include, for example, phenyloxy group, pyridyloxy group, pyrimidyloxy group, pyrazinyloxy group, naphthyloxy group, furyloxy group, benzofuranyloxy group, thienyloxy group, pyrrolyloxy group, quinolyloxy group, isoquinolyloxy group and the like.

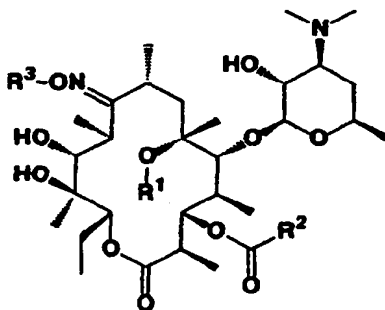
[0014] As the alkyl group, alkanoyl group, cycloalkyl group, aryl group, alkoxycarbonyl group, aryloxy carbonyl group, and aralkyloxy carbonyl group which may be substituted, examples include those groups mentioned above.


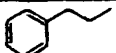
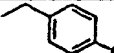
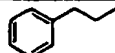
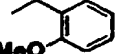
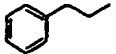
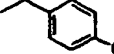
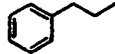
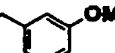
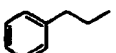
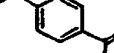
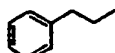

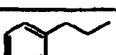



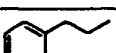






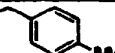
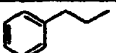
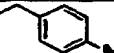
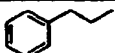
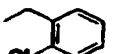
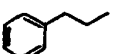
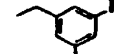
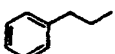

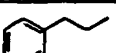

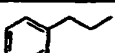
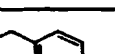
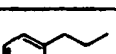
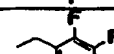
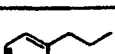
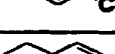

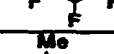

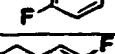



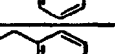
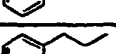

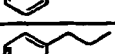



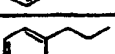
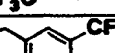
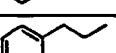
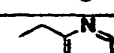
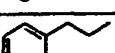



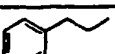


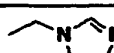
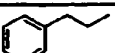
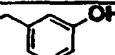
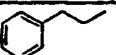

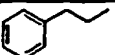
[0015] The compounds represented by the aforementioned general formula (I) of the present invention may exist as stereoisomers such as optical isomers, diastereoisomers, geometrical isomers and the like. Any of these isomers and any mixtures thereof as well as salts thereof also fall within the scope of the present invention.


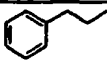
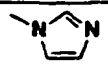
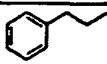

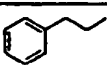
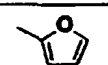
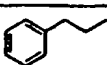
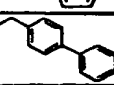
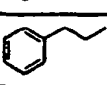
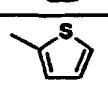
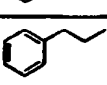
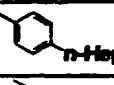
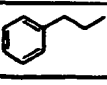
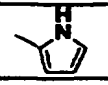
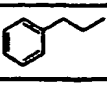
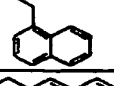
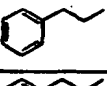
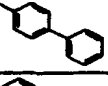
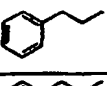
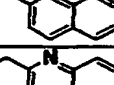
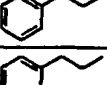
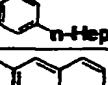
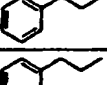
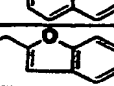
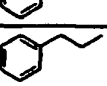
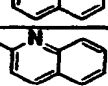
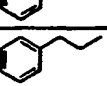
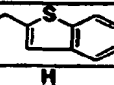
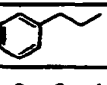
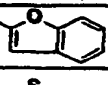
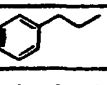
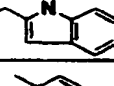
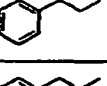
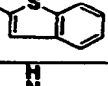
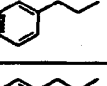
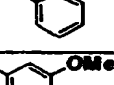
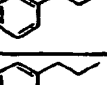
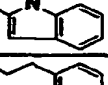
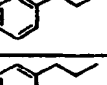
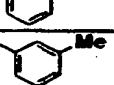
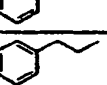
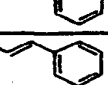
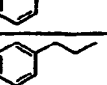
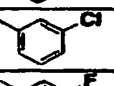
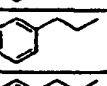
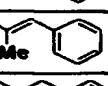
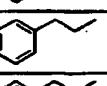
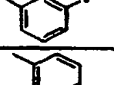
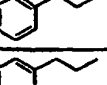
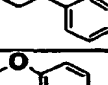
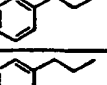
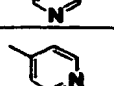
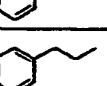
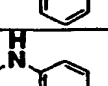
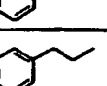
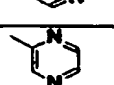
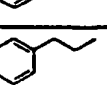
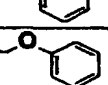
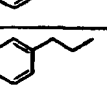
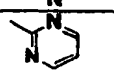
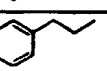
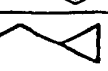
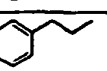












[0016] The compounds represented by the aforementioned general formula (I) of the present invention can be converted into salts, preferably pharmacologically acceptable salts, if desired, and the resulting salts can also be converted into compounds in free forms. Examples of salts of the compounds represented by the aforementioned general formula (I) of the present invention include, for example, acid addition salts or alkali addition salts. Examples of the acid addition salts include, for example, mineral acid salts such as hydrochlorides, hydrobromides, nitrates, sulfates, hydroiodides, and phosphates, organic acid salts such as acetates, propionates, butyrates, formates, trifluoroacetates, maleates, tartrates, citrates, stearates, succinates, lactobionates, gluconates, benzoates, methanesulfonates, ethanesulfonates, 2-hydroxyethanesulfonates, benzenesulfonates, p-toluenesulfonates, laurylsulfates, gluceptates, malates, aspartates, glutamates, adipates, oxalates, nicotines, picrates, thiocyanates, undecanoates, mandelates, fumarates, 10-camphorsulfonates, lactates, 5-oxotetrahydrofuran-2-carboxylates and 2-hydroxyglutarates. Examples of the alkali addition salts include, for example, inorganic alkali salts such as sodium salts, potassium salts, calcium salts, magnesium salts and ammonium salts, and organic base salts such as ethanolamine salts and N,N-dialkylethanolamine salts, and the like.























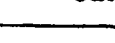






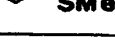


















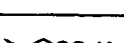

[0017] The compounds represented by the aforementioned general formula (I) or salts thereof according to the present invention can exist in the forms of various crystals or hydrates or solvates with organic solvents depending on the manufacturing conditions. Any of these crystals, hydrates, solvates, and mixtures thereof also fall within the scope of the present invention.

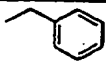
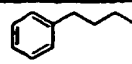
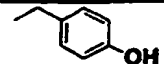
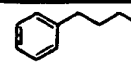
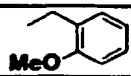
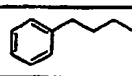
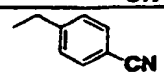
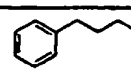
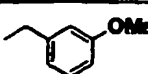
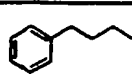
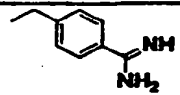
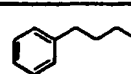
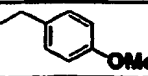
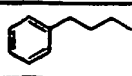
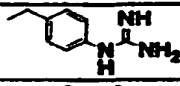
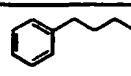
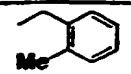
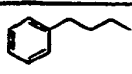
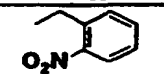
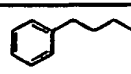
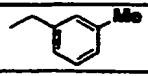
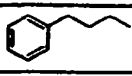
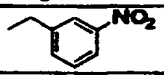
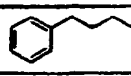
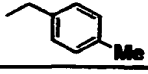
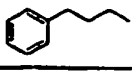
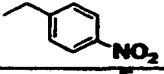
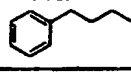
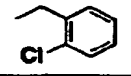
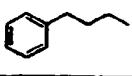
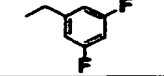
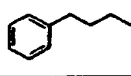
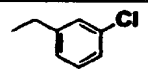
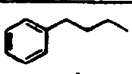
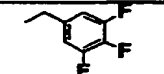
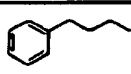
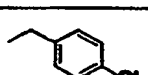
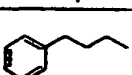
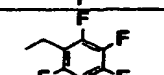
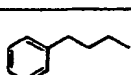
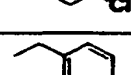

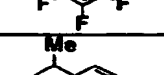
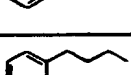
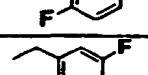
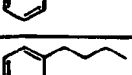
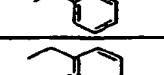
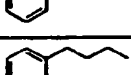
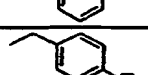
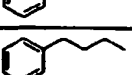
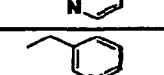
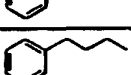
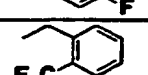
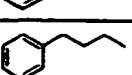
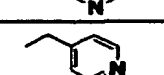
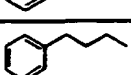
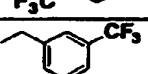
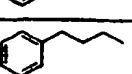
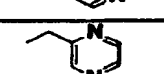
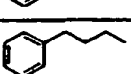
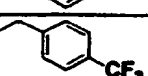
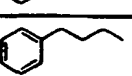
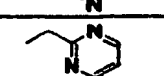
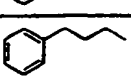
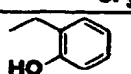
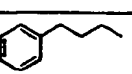
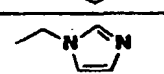
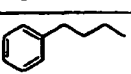
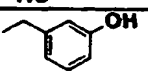
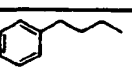

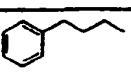
[0018] Preferred compounds of the present invention include the compounds listed below. However, the present invention is not limited to these examples. In the tables, Me represents methyl group, Et represents ethyl group, n-Pr represents n-propyl group, i-Pr represents isopropyl group, n-Bu represents n-butyl group, n-Pent represents n-pentyl group, n-Hex represents n-hexyl group, n-Hept represents n-heptyl group, n-Oct represents n-octyl group, n-Non represents n-nonyl group, n-Dec represents n-decyl group, Ph represents phenyl group, and Bn represents benzyl group.





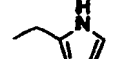
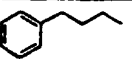

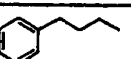
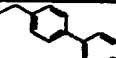
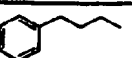






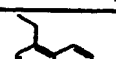






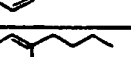





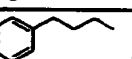





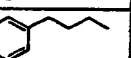
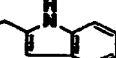
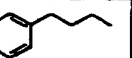





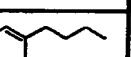



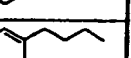

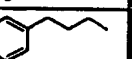


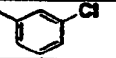



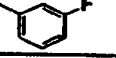
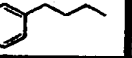


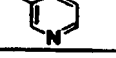




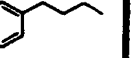

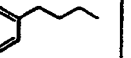







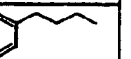





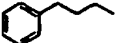

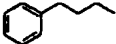

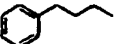


















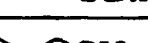












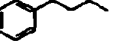



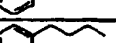






Compound No.	R ¹	R ²	R ³	Compound No.	R ¹	R ²	R ³
1	H			19	H		
2	H			20	H		
3	H			21	H		
4	H			22	H		
5	H			23	H		
6	H			24	H		
7	H			25	H		
8	H			26	H		
9	H			27	H		
10	H			28	H		
11	H			29	H		
12	H			30	H		
13	H			31	H		
14	H			32	H		
15	H			33	H		
16	H			34	H		
17	H			35	H		
18	H			36	H		

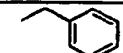
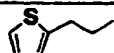

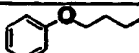
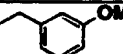

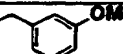
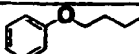
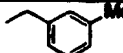









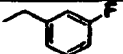

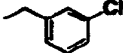

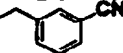

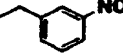

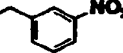

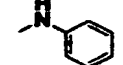
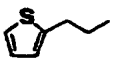
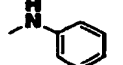
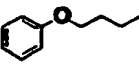
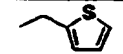



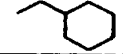

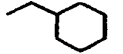
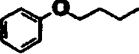
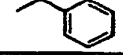
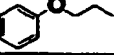
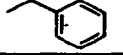

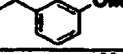
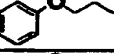
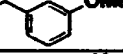
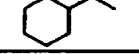
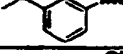

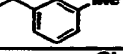

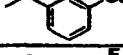
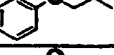
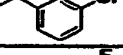

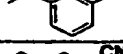
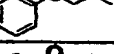
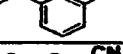


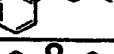



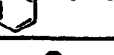

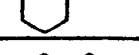
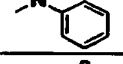
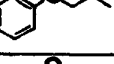
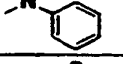
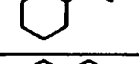

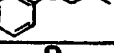

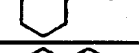




Compound No.	R ¹	R ²	R ³	Compound No.	R ¹	R ²	R ³
37	H			56	H		
38	H			57	H		
39	H			58	H		
40	H			59	H		
41	H			60	H		
42	H			61	H		
43	H			62	H		
44	H			63	H		
45	H			64	H		
46	H			65	H		
47	H			66	H		
48	H			67	H		
49	H			68	H		
50	H			69	H		
51	H			70	H		
52	H			71	H		
53	H			72	H		
54	H			73	H		
55	H			74	H		

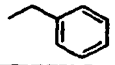
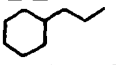
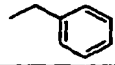
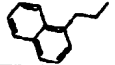
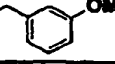
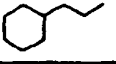
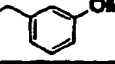
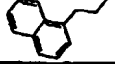
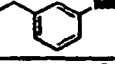
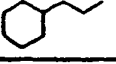
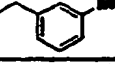
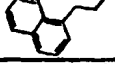
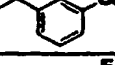

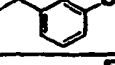
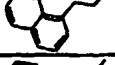
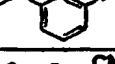
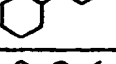
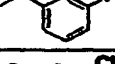
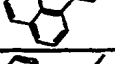
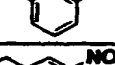


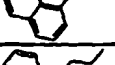



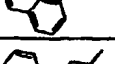
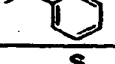

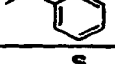



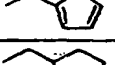
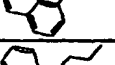
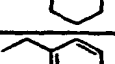

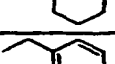
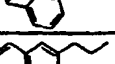

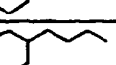
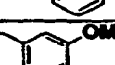
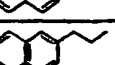
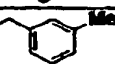
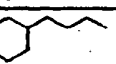
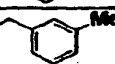
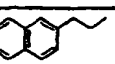
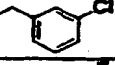
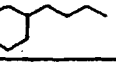
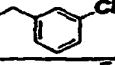
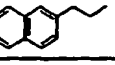
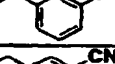

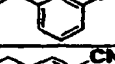



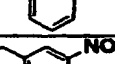

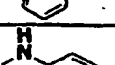
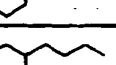

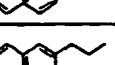
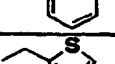
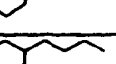
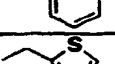


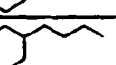

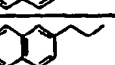








Compound No.	R ¹	R ²	R ³	Compound No.	R ¹	R ²	R ³
75	H			95	H		
76	H			96	H		
77	H			97	H		
78	H			98	H		
79	H			99	H		
80	H			100	H		
81	H			101	H		
82	H	Me		102	H		
83	H	Et		103	H		
84	H	n-Pr		104	H		
85	H	n-Bu					
86	H	n-Pent					
87	H	n-Hex					
88	H	n-Hept					
89	H	n-Oct					
90	H	n-Non					
91	H	n-Dec					
92	H						
93	H						
94	H						

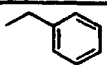
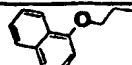
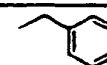
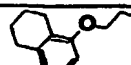
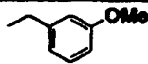
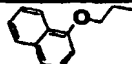
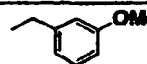
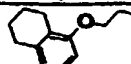
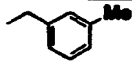
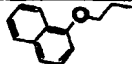
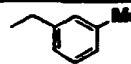
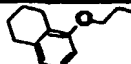
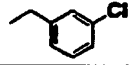
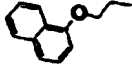
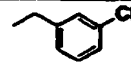
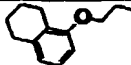
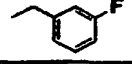
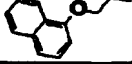
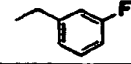
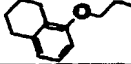
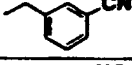
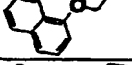
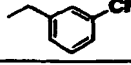
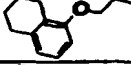
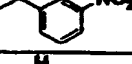
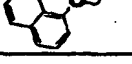
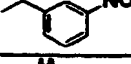
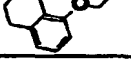
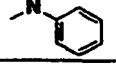
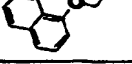
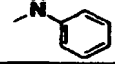

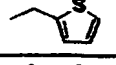
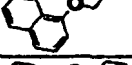
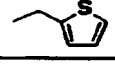

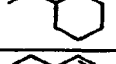
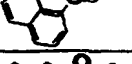
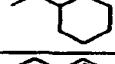
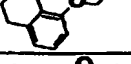
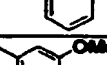
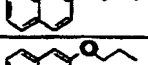
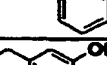


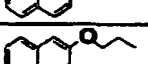
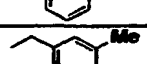

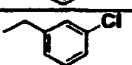
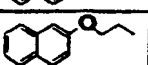
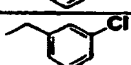
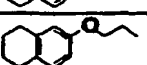
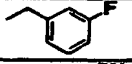
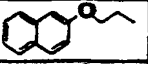


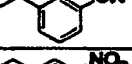
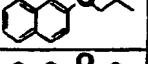
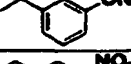
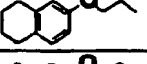

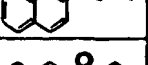

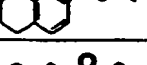
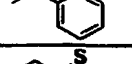
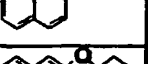
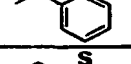





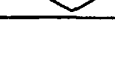
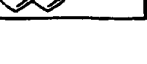
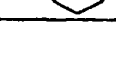





Compound No.	R ¹	R ²	R ³	Compound No.	R ¹	R ²	R ³
105	H			123	H		
106	H			124	H		
107	H			125	H		
108	H			126	H		
109	H			127	H		
110	H			128	H		
111	H			129	H		
112	H			130	H		
113	H			131	H		
114	H			132	H		
115	H			133	H		
116	H			134	H		
117	H			135	H		
118	H			136	H		
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122	H			140	H		


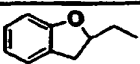
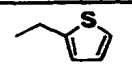
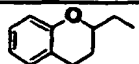
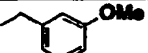
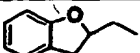
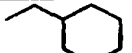
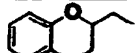
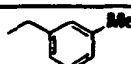
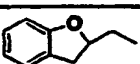

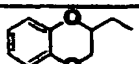
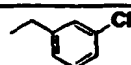
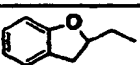
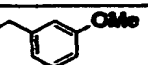
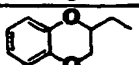
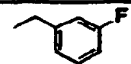
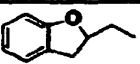
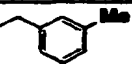
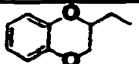

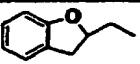
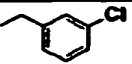
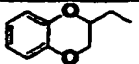
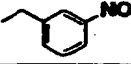
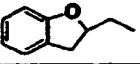
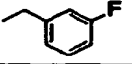
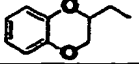
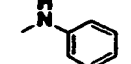
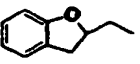
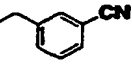
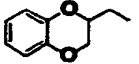
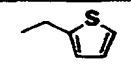
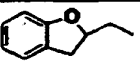
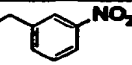
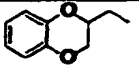
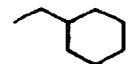
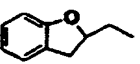
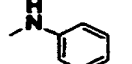
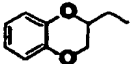
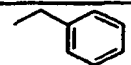
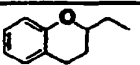
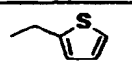
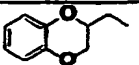
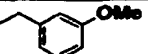
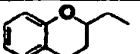
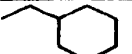
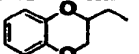
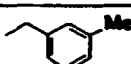
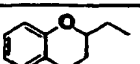
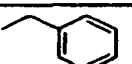

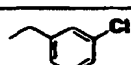
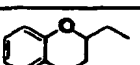
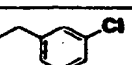
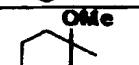
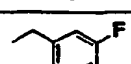
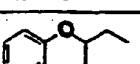
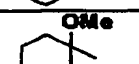
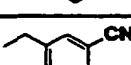
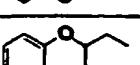


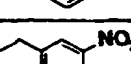
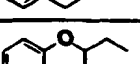


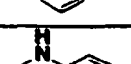
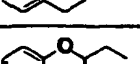
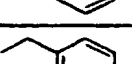
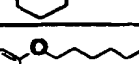
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142	H			161	H		
143	H			162	H		
144	H			163	H		
145	H			164	H		
146	H			165	H		
147	H			166	H		
148	H			167	H		
149	H			168	H		
150	H			169	H		
151	H			170	H		
152	H			171	H		
153	H			172	H		
154	H			173	H		
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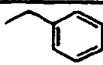
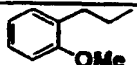
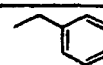
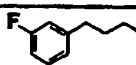
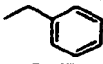
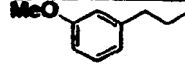
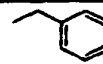
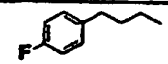
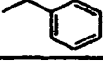
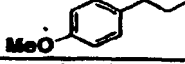
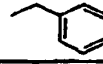
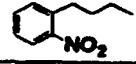
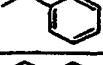
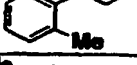
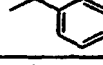
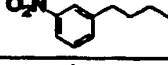
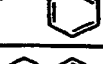
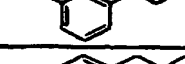
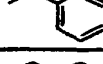
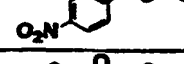



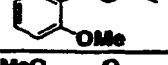
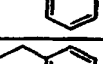
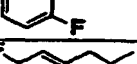


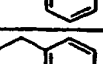

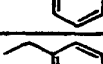
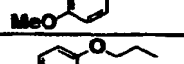
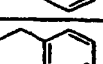


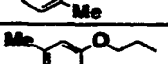
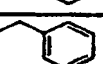

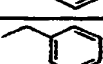
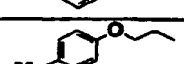
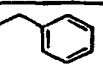
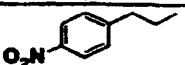
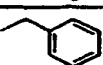
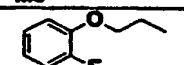
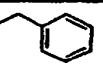

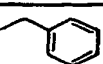
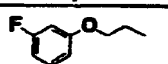
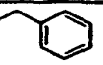

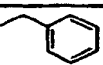
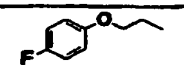
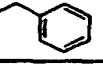
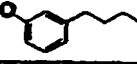
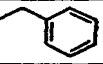
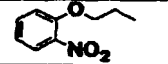
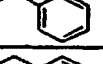
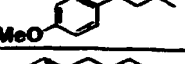
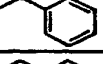
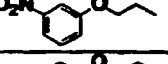
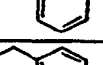

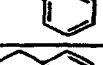
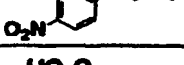


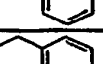
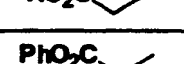
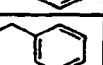

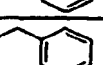
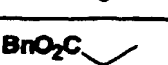

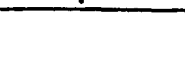

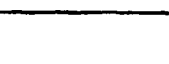




Compound No.	R ¹	R ²	R ³	Compound No.	R ¹	R ²	R ³
179	H			199	H		
180	H			200	H		
181	H			201	H		
182	H			202	H		
183	H			203	H		
184	H			204	H		
185	H			205	H		
186	H	Me		206	H		
187	H	Et		207	H		
188	H	n-Pr		208	H		
189	H	n-Bu					
190	H	n-Pent					
191	H	n-Hex					
192	H	n-Hept					
193	H	n-Oct					
194	H	n-Non					
195	H	n-Dec					
196	H						
197	H						
198	H						

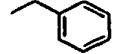
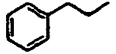
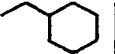
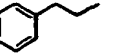
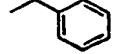
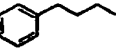
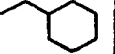

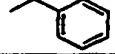
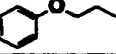
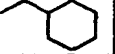
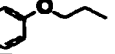
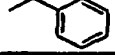

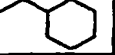


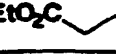
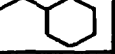


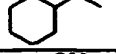
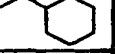
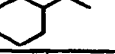


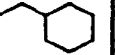

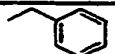

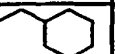

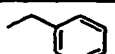

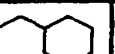




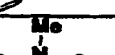








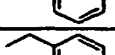








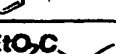





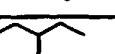




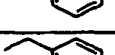
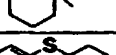


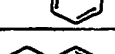
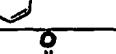

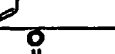
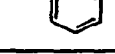



Compound No.	R ¹	R ²	R ³	Compound No.	R ¹	R ²	R ³
209	H			229	H		
210	H			230	H		
211	H			231	H		
212	H			232	H		
213	H			233	H		
214	H			234	H		
215	H			235	H		
216	H			236	H		
217	H			237	H		
218	H			238	H		
219	H			239	H		
220	H			240	H		
221	H			241	H		
222	H			242	H		
223	H			243	H		
224	H			244	H		
225	H			245	H		
226	H			246	H		
227	H			247	H		
228	H			248	H		

Compound No.	R ¹	R ²	R ³	Compound No.	R ¹	R ²	R ³
249	H			269	H		
250	H			270	H		
251	H			271	H		
252	H			272	H		
253	H			273	H		
254	H			274	H		
255	H			275	H		
256	H			276	H		
257	H			277	H		
258	H			278	H		
259	H			279	H		
260	H			280	H		
261	H			281	H		
262	H			282	H		
263	H			283	H		
264	H			284	H		
265	H			285	H		
266	H			286	H		
267	H			287	H		
268	H			288	H		

Compound No.	R ¹	R ²	R ³	Compound No.	R ¹	R ²	R ³
289	H			309	H		
290	H			310	H		
291	H			311	H		
292	H			312	H		
293	H			313	H		
294	H			314	H		
295	H			315	H		
296	H			316	H		
297	H			317	H		
298	H			318	H		
299	H			319	H		
300	H			320	H		
301	H			321	H		
302	H			322	H		
303	H			323	H		
304	H			324	H		
305	H			325	H		
306	H			326	H		
307	H			327	H		
308	H			328	H		

Compound No.	R ¹	R ²	R ³	Compound No.	R ¹	R ²	R ³
329	H			347	H		
330	H			348	H		
331	H			349	H		
332	H			350	H		
333	H			351	H		
334	H			352	H		
335	H			353	H		
336	H			354	H		
337	H			355	H		
338	H			356	H		
339	H			357	H		
340	H			358	H		
341	H			359	H		
342	H			360	H		
343	H			361	H	n-Oct	
344	H			362	H		
345	H			363	H		
346	H			364	H		

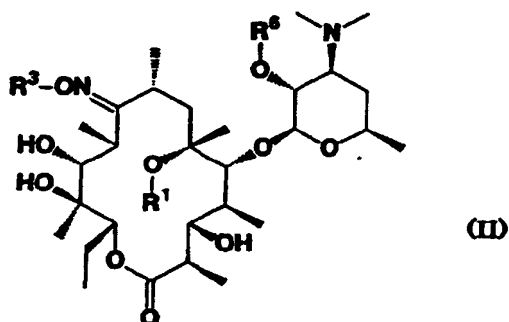
Compound No.	R ¹	R ²	R ³	Compound No.	R ¹	R ²	R ³
365	H			385	H		
366	H			386	H		
367	H			387	H		
368	H			388	H		
369	H			389	H		
370	H			390	H		
371	H			391	H		
372	H			392	H		
373	H			393	H		
374	H			394	H		
375	H			395	H		
376	H			396	H		
377	H			397	H		
378	H			398	H		
379	H			399	H		
380	H			400	H		
381	H			401	H		
382	H			402	H		
383	H			403	H		
384	H			404	H		

Compound No.	R ¹	R ²	R ³	Compound No.	R ¹	R ²	R ³
405	Me			425	Me		
406	Me			426	Me		
407	Me			427	Me		
408	Me			428	Me		
409	Me			429	Me		
410	Me			430	Me		
411	Me			431	Me		
412	Me			432	Me		
413	Me			433	Me		
414	Me			434	Me		
415	Et			435	Et		
416	Et			436	Et		
417	Et			437	Et		
418	Et			438	Et		
419	Et			439	Et		
420	Et			440	Et		
421	Et			441	Et		
422	Et			442	Et		
423	Et			443	Et		
424	Et			444	Et		

[0019] The novel erythromycin derivatives represented by the aforementioned general formula (I) of the present invention can be prepared by, for example, the methods explained below. However, the methods for preparing the compounds of the present invention are not limited to these methods.

[0020] According to the first embodiment of the method for preparing the compounds of the present invention, the

compounds represented by the aforementioned general formula (I) can be prepared by reacting a compound represented by the following general formula (II):



wherein R^1 and R^3 have the same meanings as those defined above and R^6 represents a hydrogen atom or a protective group of hydroxyl group, with a carboxylic acid derivative represented by the following general formula (III):



wherein R^2 has the same meaning as that defined above, together with a condensing agent in the presence or absence of a base without a solvent or in a solvent, and then eliminating the protective group, if necessary, or alternatively, with an acid anhydride represented by the following general formula (IV):



wherein R^2 has the same meaning as that defined above and U represents an acid anhydride residue, or an acid halide or a halogenated carbonate derivative represented by the following general formula (V):



wherein R^2 has the same meaning as that defined above and W represents a halogen atom, or an isocyanate derivative represented by the following general formula (VI):



wherein R^4 has the same meaning as that defined above, in the presence or absence of a base without a solvent or in a solvent, and then eliminating the protective group, if necessary.

[0021] Example of the condensing agent used in the above preparation include, for example, 1,3-dicyclohexylcarbodiimide, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, 1,1'-carbonyldiimidazole, Woodward reagent K (2-ethyl-5-phenylisoxazolium-3'-sulfonic acid) and the like. Example of the base to be used include, for example, organic bases such as triethylamine, pyridine, diisopropylethylamine, 4-dimethylaminopyridine, 1,8-diazabicyclo[5.4.0]-7-undecene and 1,2,2,6,6-pentamethylpiperidine, inorganic bases such as sodium carbonate, potassium carbonate, sodium hydrogencarbonate and potassium hydrogencarbonate and the like. The solvent used in the above preparation may be any solvent so long as the solvent per se is inert in the reaction and does not inhibit the reaction. Examples of the solvent include, for example, halogenated hydrocarbon solvents such as dichloromethane, 1,2-dichloroethane and chloroform, aromatic hydrocarbon solvents such as benzene and toluene, aprotic polar solvents such as acetone, acetonitrile, N,N-dimethylformamide, N-methyl-2-pyrrolidone, dimethyl sulfoxide, tetramethylene sulfolan, tetramethylene sulfoxide and hexamethylenephosphoric triamide, ester solvents such as methyl acetate and ethyl acetate, ether solvents such as tetrahydrofuran, diethyl ether and 1,4-dioxane, organic base solvents such as pyridine, picoline, lutidine and collidine, and mixed solvents thereof. The reaction is performed in a temperature ranging from ice-cooling to 200°C.

[0022] Deprotection in the above preparation of the present invention can be performed by various methods depending on the type of protective group R^6 of hydroxyl group.

[0023] For example, where R^6 is a protective group that forms an ester such as an alkanoyl group, a halogenoalkanoyl group and an arylcarbonyl group, desired compounds can be manufactured by hydrolysis in the presence or

absence of an acid or an alkali without a solvent or in a solvent. The hydrolysis of an ester may be performed according to a process known per se, and an acid such as hydrochloric acid and sulfuric acid can be used for acidic hydrolysis, and an alkali such as sodium hydrogencarbonate, sodium carbonate, sodium hydroxide, lithium hydroxide, barium hydroxide, sodium methylate, sodium ethylate, sodium tert-butoxide and potassium tert-butoxide can be used for alkaline hydrolysis. Although these acids and alkalis may be used as aqueous solutions, they can be used in a solvent, for example, alcoholic solvent such as methanol, ethanol, n-propanol, isopropanol, n-butanol, sec-butanol and tert-butanol, aprotic polar solvents such as acetone, acetonitrile, N,N-dimethylformamide, dimethyl sulfoxide, tetramethylene sulfone, tetramethylene sulfoxide and hexamethylenephosphoric triamide, ether solvents such as tetrahydrofuran and 1,4-dioxane, and water-containing solvents of these solvents. The reaction is performed in a temperature ranging from ice-cooling to 200°C.

[0024] Where R^6 is a protective group of hydroxyl group that forms a carbonate such as an alkoxycarbonyl group, an aryloxy carbonyl group, and an aralkyloxy carbonyl group, desired compounds can be manufactured by deprotection using an acid in the presence or absence of a cation scavenger without a solvent or in a solvent, or by hydrogenolysis in the presence of a catalyst in a solvent.

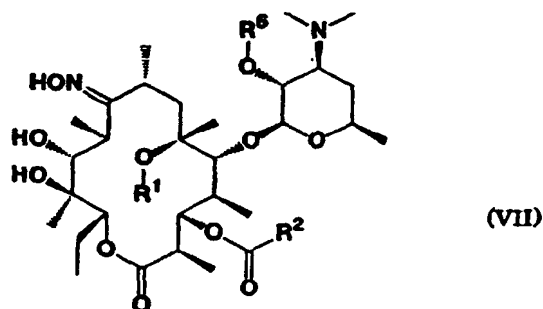
[0025] The solvent to be used may be any solvent so long as the solvent per se is inert in the reaction and does not inhibit the reaction. Examples of the solvent include, for example, water, acetic acid, alcoholic solvent such as methanol, ethanol, n-propanol, isopropanol, n-butanol, sec-butanol and tert-butanol, halogenated hydrocarbon solvents such as dichloromethane, 1,2-dichloroethane and chloroform, aromatic hydrocarbon solvents such as benzene and toluene, aprotic polar solvents such as acetone, acetonitrile, N,N-dimethylformamide, N-methyl-2-pyrrolidone, dimethyl sulfoxide, tetramethylene sulfone, tetramethylene sulfoxide and hexamethylenephosphoric triamide, ester solvents such as methyl acetate and ethyl acetate, ether solvents such as tetrahydrofuran, diethyl ether and 1,4-dioxane, and mixed solvents thereof and the like. Examples of the cation scavenger include, for example, anisole, thioanisole, thioethanol and the like. Examples of the acid to be used include, for example, hydrochloric acid, hydrobromic acid, trifluoroacetic acid, acetic acid, sulfuric acid and the like. The reaction is performed in a temperature ranging from ice-cooling to 200°C.

[0026] Examples of the catalyst used for the hydrogenolysis include, for example, palladium catalysts such as 5% palladium/carbon, 10% palladium/carbon and 20% palladium hydroxide/carbon, or platinum oxide and the like. Examples of a hydrogen source include cyclohexene, 1,3-cyclohexadiene, formic acid, ammonium formate and the like, as well as hydrogen gas. The solvent to be used may be any solvent so long as the solvent per se is inert in the reaction and does not inhibit the reaction. Examples of the solvent include, for example, water, acetic acid, alcoholic solvent such as methanol, ethanol, n-propanol, isopropanol, n-butanol, sec-butanol and tert-butanol, halogenated hydrocarbon solvents such as dichloromethane, 1,2-dichloroethane and chloroform, aromatic hydrocarbon solvents such as benzene and toluene, aprotic polar solvents such as acetone, acetonitrile, N,N-dimethylformamide, N-methyl-2-pyrrolidone, dimethyl sulfoxide, tetramethylene sulfone, tetramethylene sulfoxide and hexamethylenephosphoric triamide, ester solvents such as methyl acetate and ethyl acetate, ether solvents such as tetrahydrofuran, diethyl ether and 1,4-dioxane, and mixed solvents thereof and the like. The reaction is performed in a temperature ranging from room temperature to 200°C under a hydrogen pressure of from ordinary pressure to 200 kgf/cm².

[0027] Where R^6 is a protective group of hydroxyl group that forms a trialkylsilyl type, the desired compounds can be manufactured by deprotection by using an acid or tetrabutylammonium fluoride without a solvent or in a solvent.

[0028] The solvent to be used may be any solvent so long as the solvent per se is inert in the reaction and does not inhibit the reaction. Examples of the solvent include, for example, water, acetic acid, alcoholic solvent such as methanol, ethanol, n-propanol, isopropanol, n-butanol, sec-butanol and tert-butanol, halogenated hydrocarbon solvents such as dichloromethane, 1,2-dichloroethane and chloroform, aromatic hydrocarbon solvents such as benzene and toluene, aprotic polar solvents such as acetone, acetonitrile, N,N-dimethylformamide, N-methyl-2-pyrrolidone, dimethyl sulfoxide, tetramethylene sulfone, tetramethylene sulfoxide and hexamethylenephosphoric triamide, ester solvents such as methyl acetate and ethyl acetate, ether solvents such as tetrahydrofuran, diethyl ether and 1,4-dioxane, and mixed solvents thereof and the like. Examples of the acid to be used include, for example, mineral acids such as hydrofluoric acid, hydrochloric acid, hydrobromic acid and sulfuric acid, organic acids such as trifluoroacetic acid, acetic acid, p-toluenesulfonic acid, citric acid and oxalic acid and the like. The reaction is performed in a temperature ranging from ice-cooling to 200°C.

[0029] According to the second embodiment of the method for preparing the compounds of the present invention, the compounds represented by the aforementioned general formula (I) can be prepared by reacting a compound represented by the following general formula (VII):



wherein R¹, R², and R⁶ have the same meanings as those defined above, with a compound represented by the following general formula (VIII):



wherein R³ has the same meaning as that defined above and Z represents a halogen atom, methanesulfonyloxy group or p-toluenesulfonyloxy group, and with tetrabutylammonium iodide in the presence or absence of sodium iodide or a base without a solvent or in a solvent, and then eliminating the protective group, if necessary.

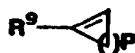
[0030] Example of the base used in the above preparation include, for example, organic bases such as triethylamine, diisopropylethylamine, 4-dimethylaminopyridine, 1,8-diazabicyclo[5.4.0]-7-undecene and 1,2,2,6,6-pentamethylpiperidine, inorganic bases such as sodium carbonate, potassium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium hydride, sodium hydroxide and potassium hydroxide and the like. The solvent to be used may be any solvent so long as the solvent per se is inert in the reaction and does not inhibit the reaction. Examples of the solvent include, for example, halogenated hydrocarbon solvents such as dichloromethane, 1,2-dichloroethane and chloroform, aromatic hydrocarbon solvents such as benzene and toluene, aprotic polar solvents such as acetone, acetonitrile, N,N-dimethylformamide, N-methyl-2-pyrrolidone, dimethyl sulfoxide, tetramethylene sulfolan, tetramethylene sulfoxide and hexamethylenephosphoric triamide, ester solvents such as methyl acetate and ethyl acetate, ether solvents such as tetrahydrofuran, diethyl ether and 1,4-dioxane, organic base solvents such as pyridine, picoline, lutidine and collidine, and mixed solvents thereof and the like. The reaction is performed in a temperature ranging from ice-cooling to 200°C.

[0031] The deprotection in the above preparation can be performed by various methods depending on the kind of the protective group R⁶ of hydroxyl group, and can be performed according to the method explained in the aforementioned first embodiment of the preparation.

[0032] According to the third embodiment of the method for preparing the compounds of the present invention, among the compounds represented by the aforementioned general formula (I), the compounds wherein R³ is a cycloalkyl group which may be substituted can be prepared by reacting a compound represented by the aforementioned general formula (VII), with a cycloalkyl compound represented by the following general formula (IX):



wherein R⁷ and R⁸ represent an alkoxy group, and m represents an integer of from 1 to 5, or a cycloalkene compound represented by the following general formula (X):



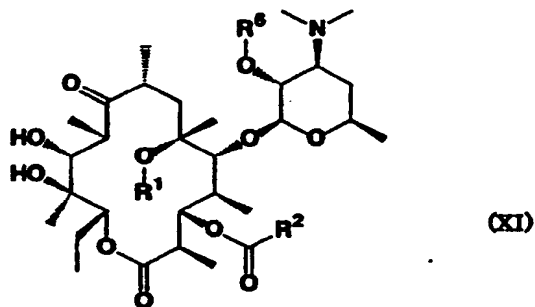
(X)

wherein R^9 represents an alkoxy group, and p represents an integer of from 1 to 5, in the presence or absence of an acid catalyst without a solvent or in a solvent, and then eliminating the protective group, if necessary.

[0033] Example of the acid used in the above preparation include, for example, pyridinium hydrochloride, pyridinium trifluoroacetate, pyridinium *p*-toluenesulfonate and the like. The solvent to be used may be any solvent so long as the solvent per se is inert in the reaction and does not inhibit the reaction. Examples of the solvent include, for example, halogenated hydrocarbon solvents such as dichloromethane, 1,2-dichloroethane and chloroform, aromatic hydrocarbon solvents such as benzene and toluene, aprotic polar solvents such as acetone, acetonitrile, *N,N*-dimethylformamide, *N*-methyl-2-pyrrolidone, dimethyl sulfoxide, tetramethylene sulfolan, tetramethylene sulfoxide and hexamethylenephosphoric triamide, ester solvents such as methyl acetate and ethyl acetate, ether solvents such as tetrahydrofuran, diethyl ether and 1,4-dioxane, and mixed solvents thereof and the like. The reaction is performed in a temperature ranging from ice-cooling to 200°C.

[0034] The deprotection in the above preparation can be performed by various methods depending on the kind of the protective group R^6 of hydroxyl group, and can be performed according to the methods explained in the aforementioned first embodiment of the preparation.

[0035] According to the fourth embodiment of the method for preparing the compounds of the present invention, the compounds represented by the aforementioned general formula (I) can be prepared by reacting a compound represented by the following general formula (XI):



(XI)

wherein R^1 , R^2 and R^6 have the same meanings as those defined above, with a hydroxylamine derivative represented by the following general formula (XII) or a salt thereof.



(XII)

wherein R^3 has the same meaning as that defined above, in the presence or absence of a base without a solvent or in a solvent, and eliminating the protective group, if necessary.

[0036] Example of the base used in the above preparation include, for example, organic bases such as triethylamine, pyridine, imidazole, diisopropylethylamine, 4-dimethylaminopyridine, 1,8-diazabicyclo[5.4.0]-undecene and 1,2,2,6,6-pentamethylpiperidine, inorganic bases such as sodium carbonate, potassium carbonate, sodium hydrogencarbonate and potassium hydrogencarbonate. The solvent to be used may be any solvent so long as the solvent per se is inert in the reaction and does not inhibit the reaction. Examples of the solvent include, for example, alcoholic solvent such as methanol, ethanol, *n*-propanol, isopropanol, *n*-butanol, sec-butanol and tert-butanol, halogenated hydrocarbon solvents such as dichloromethane, 1,2-dichloroethane and chloroform, aromatic hydrocarbon solvents such as benzene and toluene, aprotic polar solvents such as acetone, acetonitrile, *N,N*-dimethylformamide, *N*-methyl-2-pyrrolidone, dimethyl sulfoxide, tetramethylene sulfolan, tetramethylene sulfoxide and hexamethylenephosphoric triamide, ester solvents such as methyl acetate and ethyl acetate, ether solvents such as tetrahydrofuran, diethyl ether and 1,4-dioxane,

organic base solvents such as pyridine, picoline, lutidine and collidine, and mixed solvents thereof and the like. The reaction is performed in a temperature ranging from ice-cooling to 200°C.

[0037] The deprotection in the above preparation can be performed by various methods depending on the kind of the protective group R⁶ of hydroxyl group, and can be performed according to the methods explained in the aforementioned first embodiment for preparation.

[0038] According to the fifth embodiment of the method for preparing the compounds of the present invention, among the compounds represented by the aforementioned general formula (I), the compounds wherein R² is represented by -X-R⁴ wherein X is oxygen atom and R⁴ is an alkyl group which may be substituted can be prepared by reacting a compound wherein X is an oxygen atom and R⁴ is an aryl group which may be substituted, which is prepared according to the first embodiment of the preparation, with an alcohol derivative represented by the following general formula (XIII):

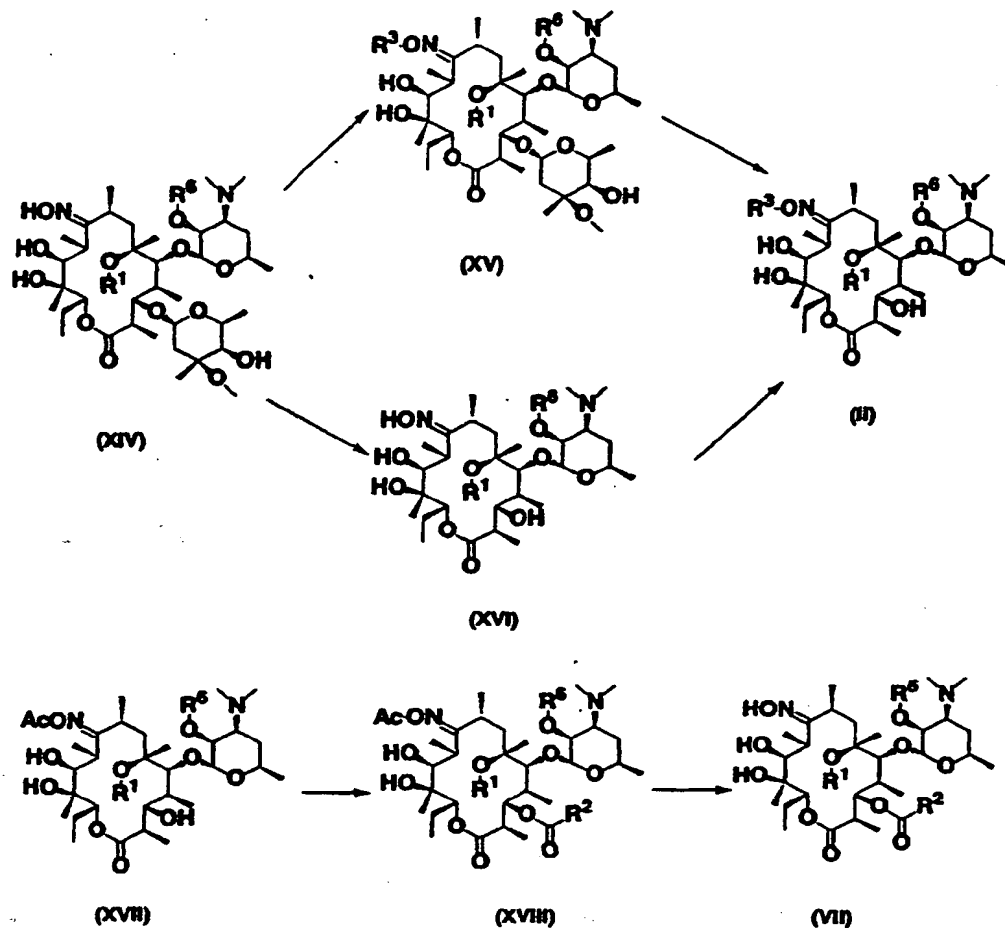


wherein R¹⁰ represents an alkyl group which may be substituted, without a solvent or in a solvent, and then eliminating the protective group, if necessary.

[0039] The solvent used in the method for preparing may be any solvent so long as the solvent per se is inert in the reaction and does not inhibit the reaction. Examples of the solvent include, for example, halogenated hydrocarbon solvents such as dichloromethane, 1,2-dichloroethane and chloroform, aromatic hydrocarbon solvents such as benzene and toluene, aprotic polar solvents such as acetone, acetonitrile, N,N-dimethylformamide, N-methyl-2-pyrrolidone, dimethyl sulfoxide, tetramethylene sulfolan, tetramethylene sulfoxide and hexamethylenephosphoric triamide, ester solvents such as methyl acetate and ethyl acetate, ether solvents such as tetrahydrofuran, diethyl ether and 1,4-dioxane, organic base solvents such as pyridine, picoline, lutidine and collidine, and mixed solvents thereof and the like. The reaction is performed in a temperature ranging from ice-cooling to 200°C.

[0040] The deprotection in the above preparation can be performed by various methods depending on the kind of the protective group R⁶ of hydroxyl group, and can be performed according to the methods explained in the aforementioned first embodiment of the preparation.

[0041] The compounds represented by the aforementioned general formulas (II) and (VII) used as starting materials in the methods for preparation according to the present invention are partly known compounds, which are disclosed in, for example, Japanese Patent Unexamined Publication {Kokai} Nos. 63-264495/1988, 8-104640/1996, International Publication WO93/13116 and the like, and for example, they can be prepared as shown below. Among the compounds represented by the aforementioned general formulas (II) and (VII), the compounds wherein R⁶ is a protective group of hydroxyl group can be prepared by introducing a protective group into a compound whose R⁶ is a hydrogen atom according to the process for preparation described below. As for novel compounds among the compounds, preparations thereof are described in Reference Examples.



(In the formulas, Ac represents acetyl group and R^1 , R^2 , R^3 , and R^6 have the same meanings as those defined above.)

[0042] The medicaments comprising as an active ingredient at least one of the novel erythromycin derivatives represented by the aforementioned general formula (I) or salts thereof thus prepared can be used for therapeutic treatment

of infectious diseases, preferably atypical acid-fast mycobacteriosis, and most preferably infections by *Mycobacterium avium* complex. The medicaments may also be used for preventive treatment of these infectious diseases. The aforementioned medicaments are usually administered as preparations for oral administration such as capsules, tablets,

subtilized granules, granules, powders, and syrups, or preparations such as injections, suppositories, eye drops, ophthalmologic ointments, ear drops, and dermatological preparations. As the active ingredient, hydrates and solvates of

the aforementioned erythromycin derivatives or salts thereof may also be used. These preparations can be manufactured in a conventional manner by admixing with pharmacologically and pharmaceutically acceptable additives. For the preparation of orally available preparations and suppositories, additives such as, for example, excipients such as lac-

tose, D-mannitol, corn starch and crystalline cellulose; disintegrating agents such as carboxymethylcellulose and calcium carboxymethylcellulose; binders such as hydroxypropylcellulose, hydroxypropylmethylcellulose and polyvinylpyrrolidone; lubricants such as magnesium stearate, and talc; coating agents such as hydroxypropylmethylcel-

lulose, sucrose and titanium oxide; plasticizers such as polyethylene glycol; bases such as polyethylene glycol and hard fat and the like may be used. For injections, eye drops and ear drops, additives such as, for example, dissolving agents

and dissolving aids that can constitute aqueous preparations or preparations to be dissolved upon use such as distilled water for injection, physiological saline and propylene glycol; pH modifiers such as inorganic or organic acids and bases, isotonic agents such as sodium chloride, glucose and glycerin, stabilizers and the like may be used. For oph-

thalmologic ointments and dermatological preparations, additives suitable for ointments, creams and patches such as white soft paraffin, macrogol, glycerin, liquid paraffin and cotton cloth may be used.

[0043] Doses of the medicaments of the present invention are not particularly limited, and generally, they can be administered to an adult in an amount of about 10 to 2000 mg as an active ingredient per day for oral administration, or about 1 to 1000 mg per day for parenteral administration, which daily doses may be administered at one time, or several times as divided portions. However, it is desirable that the doses are suitably increased or decreased depending on the purpose of the administration, i.e., therapeutic or preventive treatment, a region of infection and the type of pathogenic bacteria, the age and symptoms of a patient and the like.

Examples

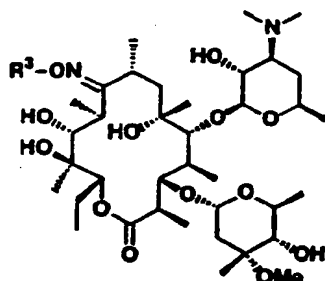
[0044] The present invention will be further explained with reference to the following reference examples and examples. However, the present invention is not limited to these examples. In the following tables, Me represents methyl group, Et represents ethyl group, n-Pr represents n-propyl group, i-Pr represents isopropyl group, n-Bu represents n-butyl group, n-Pent represents n-pentyl group, n-Hept represents n-heptyl group, n-Non represents n-nonyl group, Bn represents benzyl group, Ac represents acetyl group, HR-MS is an abbreviation of High-Resolution Mass-Spectrum, and Anal. Calcd. indicates values of elemental analysis.

Reference Example 1: Erythromycin A 9-[O-(phenethyl)oxime]

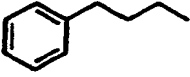
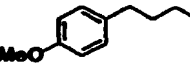
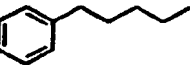
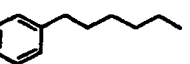
[0045] To a mixture of 5.00g of erythromycin A 9-oxime, 0.13 g of tetrabutylammonium iodide and 1.49 g of (2-bromoethyl)benzene in 30 ml of tetrahydrofuran, 0.53 g of powdered potassium hydroxide was added at room temperature with stirring, and the reaction mixture was stirred at room temperature for 3.5 hours. And then 1.49 g of (2-bromoethyl)benzene and 0.50 g of powdered potassium hydroxide were added to the reaction mixture, and the mixture was stirred at room temperature for 2.5 hours. The reaction mixture was poured into ice-water, and the mixture was made alkaline with saturated aqueous sodium hydrogencarbonate solution and extracted with ethyl acetate. The extract was washed with water, dried over sodium sulfate, and the solvent was removed under reduced pressure. The residue was added with diisopropyl ether for solidification to give 5.30 g of a pale yellowish brown solid.

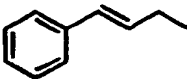
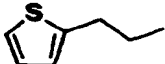
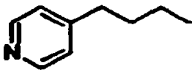
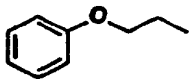
NMR spectrum δ (CDCl₃) ppm: 0.85 (3H, t, J=7.5Hz), 0.98-1.70 (34H, m), 1.88-2.00 (2H, m), 2.20 (1H, d, J=10.5Hz), 2.28 (6H, s), 2.37 (1H, d, J=16Hz), 2.37-2.46 (1H, m), 2.60-2.69 (1H, m), 2.85-2.99 (3H, m), 3.03 (1H, t, J=10Hz), 3.12 (1H, s), 3.20 (1H, dd, J=10.5, 7.5Hz), 3.32 (3H, s), 3.37 (1H, s), 3.42-3.53 (2H, m), 3.54-3.63 (1H, m), 3.71 (1H, s), 3.95-4.05 (2H, m), 4.28 (2H, t, J=6.5Hz), 4.39 (1H, d, J=7.5Hz), 4.46 (1H, s), 4.93 (1H, d, J=5Hz), 5.14 (1H, dd, J=11, 2.5Hz), 7.17-7.34 (5H, m)

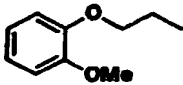
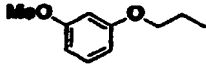
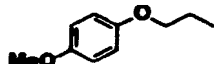
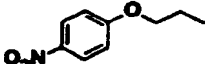
[0046] Compounds of Reference Examples 2 through 37 were obtained in the same manner as that described in Reference Example 1.

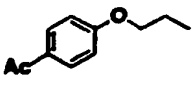
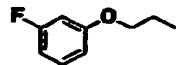
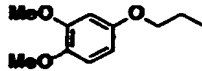
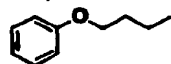


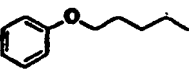
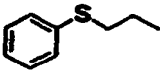
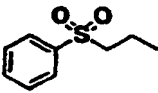
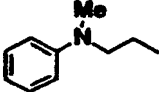
Reference example	R ³	Description and physical properties
2		<p>colorless needles</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.85(3H, t, J=7.5Hz), 0.91–1.72(34 H, m), 1.87–2.04(2H, m), 2.21(1H, d, J=10.5Hz), 2.28(6H, s), 2.32(3H, s), 2.37(1H, d, J=15.5Hz), 2.37–2.46(1H, m), 2.60–2.69(1H, m), 2.86–2.97(3H, m), 3.03(1H, t, J=10Hz), 3.12(1H, s), 3.21(1H, dd, J=10.7.5Hz), 3.32(3H, s), 3.40(1H, brs), 3.43–3.51(1H, m), 3.53(1H, d, J=7.5Hz), 3.57–3.68(1H, m), 3.71(1H, s), 3.93–4.07(2H, m), 4.19–4.27(2H, m), 4.40(1H, d, J=7.5Hz), 4.44(1H, s), 4.92(1H, d, J=4.5Hz), 5.13(1H, dd, J=11.2.5Hz), 7.04–7.15(4H, m)</p>
3		<p>colorless solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.85(3H, t, J=7.5Hz), 0.95–1.72(34 H, m), 1.87–2.04(2H, m), 2.21(1H, d, J=10.5Hz), 2.28(6H, s), 2.36(1H, d, J=15.5Hz), 2.37–2.48(1H, m), 2.62–2.70(1H, m), 2.83–2.95(3H, m), 3.02(1H, t, J=10Hz), 3.12(1H, s), 3.21(1H, dd, J=10.5.7.5Hz), 3.32(3H, s), 3.39(1H, brs), 3.43–3.52(1H, m), 3.55(1H, d, J=7.5Hz), 3.58–3.68(1H, m), 3.70(1H, s), 3.79(3H, s), 3.98–4.08(2H, m), 4.21(2H, t, J=7Hz), 4.41(1H, d, J=7.5Hz), 4.44(1H, s), 4.92(1H, d, J=5Hz), 5.12(1H, dd, J=11.2Hz), 6.84(2H, d, J=9Hz), 7.12(2H, d, J=9Hz)</p>
4		<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.84, 0.86(total 3H, each t, J=7Hz), 0.89–1.77(37H, m), 1.87–1.99(2H, m), 2.21, 2.23(total 1H, each d, J=10Hz), 2.29(6H, s), 2.33–2.48(1H, m), 2.36, 2.37(total 1H, each d, J=15Hz), 2.56–2.65(1H, m), 2.84–2.95(1H, m), 3.00–3.23(4H, m), 3.27–3.56(4H, m), 3.30, 3.31(total 3H, each s), 3.66, 3.71(total 1H, each s), 3.94–4.03(2H, m), 4.10–4.18(2H, m), 4.36, 4.39(total 1H, each d, J=7.5Hz), 4.39, 4.55(total 1H, each s), 4.91, 4.95(total 1H, each d, J=4.5Hz), 5.08–5.18(1H, m), 7.16–7.36(5H, m)</p>

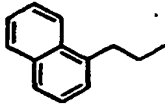
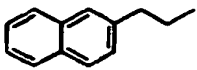
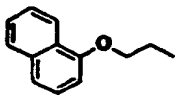
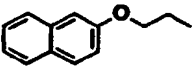
Reference example	R ³	Description and physical properties
5		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.04-1.70(33 H,m),1.78(1H,brs),1.87-2.06(4H,m),2.21(1H,d,J=10.5Hz),2.28(6H,s),2.36(1H,d,J=15.5Hz),2.39-2.48(1H,m),2.62-2.73(3H,m),2.86-2.95(1H,m),3.02(1H,t,J=10Hz),3.10(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.32(3H,s),3.41(1H,brs),3.44-3.53(1H,m),3.59(1H,d,J=8Hz),3.67-3.78(2H,m),3.97-4.09(4H,m),4.41(1H,s),4.43(1H,d,J=7.5Hz),4.92(1 H,d,J=5Hz),5.11(1H,dd,J=11,2.5Hz),7.13-7.32(5H,m)
6		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.00-1.70(33 H,m),1.85-2.09(4H,m),2.20(1H,d,J=10.5Hz),2.28(6H,s),2.36(1H,d,J=15.5Hz),2.38-2.47(1H,m),2.57-2.74(3H,m),2.86-2.96(1H,m),2.99(1H,s),3.02(1H,t,J=10Hz),3.10(1H,s),3.22(1H,dd,J=10,7.5Hz),3.32(3H,s),3.41(1H,brs),3.44-3.53(1H,m),3.60(1H,d,J=7.5Hz),3.65-3.75(2H,m),3.79(3H,s),3.97-4.10(4H,m),4.41(1H,s),4.43(1H,d,J=7.5Hz),4.92(1H,d,J=5Hz),5.11(1H,dd,J=11,2Hz),6.84(2H,d,J=8.5Hz),7.10(2H,d,J=8.5Hz)
7		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.98-1.76(38 H,m),1.87-2.04(2H,m),2.21(1H,d,J=10.5Hz),2.29(6H,s),2.36(1H,d,J=15.5Hz),2.39-2.46(1H,m),2.60-2.68(3H,m),2.86-2.95(1H,m),3.03(1H,t,J=10Hz),3.10(1H,s),3.22(1H,dd,J=10,7Hz),3.32(3H,s),3.41(1 H,brs),3.45-3.53(1H,m),3.58(1H,d,J=7.5Hz),3.63-3.73(2H,m),3.97-4.07(4H,m),4.42(1H,s),4.43(1H,d,J=7.5Hz),4.92(1H,d,J=5Hz),5.11(1H,dd,J=11,2Hz),7.14-7.20(3H,m),7.24-7.30(2H,m)
8		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.95-1.81(40 H,m),1.87-2.04(2H,m),2.21(1H,d,J=10Hz),2.29(6H,s),2.36(1H,d,J=15.5Hz),2.40-2.48(1H,m),2.59-2.68(3H,m),2.86-2.94(1H,m),3.02(1 H,t,J=10Hz),3.10(1H,s),3.22(1H,dd,J=10,7.5Hz),3.32(3H,s),3.41(1 H,brs),3.45-3.53(1H,m),3.59(1H,d,J=7.5Hz),3.64-3.72(2H,m),3.97-4.07(4H,m),4.43(1H,d,J=7.5Hz),4.44(1H,s),4.91(1H,d,J=5Hz),5.11(1H,dd,J=11,2.5Hz),7.13-7.20(3H,m),7.23-7.30(2H,m)

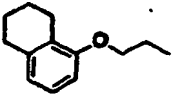
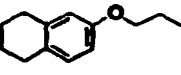
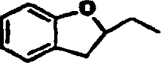
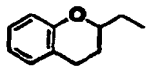
Reference example	R ³	Description and physical properties
9		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.00-1.82(34 H,m),1.87-1.97(1H,m),1.98-2.06(1H,m),2.21(1H,d,J=10Hz),2.30-2.40(1H,m),2.37(6H,s),2.47-2.57(1H,m),2.65-2.72(1H,m),2.86-2.94(1H,m),3.02(1H,t,J=10Hz),3.11(1H,s),3.21-3.31(1H,m),3.32(3H,s),3.37(1H,brs),3.46-3.54(1H,m),3.59(1H,d,J=7.5Hz),3.70-3.79(2H,m),3.96-4.05(2H,m),4.42(1H,s),4.44(1H,d,J=7.5Hz),4.68(2H,d,J=6.5Hz),4.90(1H,d,J=4.5Hz),5.13(1H,dd,J=11,2.5Hz),6.31(1H,dt,J=16,6.5Hz),6.63(1H,d,J=16Hz),7.20-7.27(1H,m),7.33(2H,t,J=7.5Hz),7.41(2H,d,J=7.5Hz)
10		pale brown amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.97-1.70(34 H,m),1.87-2.01(2H,m),2.20(1H,d,J=10.5Hz),2.28(6H,s),2.37(1H,d,J=15.5Hz),2.37-2.46(1H,m),2.61-2.70(1H,m),2.86-2.96(1H,m),3.03(1H,t,J=10Hz),3.08-3.25(4H,m),3.32(3H,s),3.40(1H,s),3.43-3.54(2H,m),3.61-3.70(1H,m),3.72(1H,s),3.96-4.06(2H,m),4.28(2H,t,J=6.5Hz),4.36-4.42(2H,m),4.93(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),6.85(1H,d,J=2.5Hz),6.94(1H,dd,J=5,3.5Hz),7.15(1H,dd,J=5,1Hz)
11		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.01-1.70(33 H,m),1.78(1H,brs),1.87-2.04(4H,m),2.20(1H,d,J=10.5Hz),2.29(6H,s),2.36(1H,d,J=15.5Hz),2.39-2.46(1H,m),2.64-2.72(3H,m),2.87-2.95(1H,m),3.02(1H,t,J=10Hz),3.08(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.32(3H,s),3.43(1H,brs),3.45-3.53(1H,m),3.60(1H,d,J=8Hz),3.63-3.72(2H,m),3.97-4.09(4H,m),4.35(1H,s),4.43(1H,d,J=7.5Hz),4.91(1H,d,J=5Hz),5.10(1H,dd,J=11,2.5Hz),7.10-7.15(2H,m),8.47-8.52(2H,m)
12		pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.97-1.75(33 H,m),1.86-2.03(3H,m),2.22(1H,d,J=10.5Hz),2.28(6H,s),2.34(1H,d,J=14.5Hz),2.38-2.47(1H,m),2.64-2.74(1H,m),2.83-2.93(1H,m),3.00(1H,t,J=10Hz),3.09(1H,s),3.21(1H,dd,J=10,7.5Hz),3.30(3H,s),3.37(1H,brs),3.42-3.57(2H,m),3.66-3.80(2H,m),3.87-4.04(2H,m),4.08-4.24(2H,m),4.33-4.44(4H,m),4.77(1H,d,J=4.5Hz),5.10(1H,dd,J=11,2.5Hz),6.84-6.99(3H,m),7.22-7.33(2H,m)

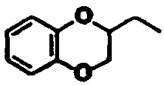
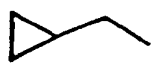
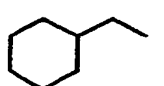
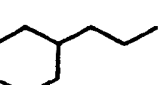
Reference example	R ³	Description and physical properties
13		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.96-1.70(33 H,m),1.86-2.02(3H,m),2.22(1H,d,J=10.5Hz),2.28(6H,s),2.34(1H,d,J=15.5Hz),2.38-2.46(1H,m),2.64-2.71(1H,m),2.84-2.92(1H,m),3.00(1H,t,J=10Hz),3.11(1H,s),3.21(1H,dd,J=10,7Hz),3.30(3H,s),3.36(1H,brs),3.42-3.53(2H,m),3.67-3.77(2H,m),3.87(3H,s),3.92(1H,d,J=10Hz),3.94-4.02(1H,m),4.11-4.17(1H,m),4.21-4.27(1H,m),4.35-4.45(4H,m),4.75(1H,d,J=5Hz),5.11(1H,dd,J=11,2.5Hz),6.85-6.99(4H,m)
14		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.94-1.70(33 H,m),1.83-2.04(3H,m),2.21(1H,d,J=10.5Hz),2.28(6H,s),2.34(1H,d,J=15.5Hz),2.37-2.47(1H,m),2.64-2.73(1H,m),2.83-2.93(1H,m),2.99(1H,t,J=10Hz),3.10(1H,s),3.21(1H,dd,J=10,7.5Hz),3.30(3H,s),3.38(1H,brs),3.43-3.50(1H,m),3.52(1H,d,J=8Hz),3.67-3.82(2H,m),3.79(3H,s),3.90-4.00(2H,m),4.08-4.19(2H,m),4.32-4.44(4H,m),4.75(1H,d,J=4.5Hz),5.06-5.15(1H,m),6.45-6.58(3H,m),7.18(1H,t,J=8Hz)
15		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.98-1.70(33 H,m),1.87-2.02(2H,m),2.06(1H,s),2.21(1H,d,J=10.5Hz),2.28(6H,s),2.33(1H,d,J=15.5Hz),2.37-2.46(1H,m),2.64-2.72(1H,m),2.83-2.91(1H,m),2.99(1H,t,J=10Hz),3.09(1H,s),3.21(1H,dd,J=10.5,7.5Hz),3.30(3H,s),3.37(1H,brs),3.42-3.53(2H,m),3.67-3.80(2H,m),3.76(3H,s),3.88(1H,d,J=10Hz),3.92-3.99(1H,m),4.00-4.06(1H,m),4.11-4.17(1H,m),4.33-4.39(3H,m),4.41(1H,s),4.74(1H,d,J=4.5Hz),5.10(1H,dd,J=11,2.5Hz),6.83(2H,d,J=9Hz),6.89(2H,d,J=9Hz)
16		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.97-1.75(34 H,m),1.83-1.97(2H,m),2.12(1H,d,J=10.5Hz),2.23-2.45(2H,m),2.27(6H,s),2.64-2.73(1H,m),2.78-2.90(1H,m),2.95(1H,t,J=10Hz),3.07(1H,s),3.17(1H,dd,J=10.5,7.5Hz),3.26(3H,s),3.30-3.53(4H,m),3.63-3.90(3H,m),4.06-4.15(1H,m),4.26-4.37(1H,m),4.32(1H,d,J=7.5Hz),4.42-4.54(2H,m),4.44(1H,s),4.58(1H,d,J=5Hz),5.05-5.12(1H,m),7.04(2H,d,J=9Hz),8.24(2H,d,J=9Hz)

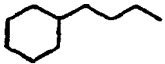
Reference example	R ³	Description and physical properties
17		pale yellow solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.97-1.70(33 H,m),1.85(1H,s),1.87-1.97(2H,m),2.19(1H,d,J=10.5Hz),2.25-2.33(1 H,m),2.28(6H,s),2.37-2.45(1H,m),2.54(3H,s),2.65-2.72(1H,m),2.80-2.88(1H,m),2.97(1H,t,J=10Hz),3.07(1H,s),3.20(1H,dd,J=10.5,7.5H z),3.28(3H,s),3.38(1H,s),3.41-3.51(2H,m),3.65-3.76(3H,m),3.87-3.96(1H,m),4.11-4.16(1H,m),4.23-4.28(1H,m),4.35(1H,d,J=7.5Hz),4.39(1H,s),4.39-4.46(2H,m),4.64(1H,d,J=5Hz),5.08(1H,dd,J=11,2.5H z),6.99(2H,d,J=8.5Hz),7.95(2H,d,J=8.5Hz)
18		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.95-1.78(33 H,m),1.86-2.02(3H,m),2.20(1H,d,J=10.5Hz),2.23-2.57(2H,m),2.34 (6H,s),2.64-2.73(1H,m),2.82-2.92(1H,m),3.00(1H,t,J=10Hz),3.08(1 H,s),3.17-3.40(2H,m),3.30(3H,s),3.42-3.56(2H,m),3.65-3.78(2H, m),3.86-4.00(2H,m),4.05-4.20(2H,m),4.30-4.43(4H,m),4.76(1H,d,J =4.5Hz),5.10(1H,dd,J=11,2Hz),6.61-6.70(2H,m),6.74(1H,dd,J=8,2.5Hz),7.17-7.28(1H,m)
19		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.97-1.75(33 H,m),1.86-2.00(3H,m),2.21(1H,d,J=10.5Hz),2.28(6H,s),2.32(1H,d,J =14.5Hz),2.37-2.45(1H,m),2.64-2.72(1H,m),2.83-2.91(1H,m),2.98 (1H,t,J=10Hz),3.10(1H,s),3.21(1H,dd,J=10,7Hz),3.29(3H,s),3.38(1 H,brs),3.42-3.52(2H,m),3.68-3.77(2H,m),3.82(3H,s),3.83-3.89(1H, m),3.87(3H,s),3.90-3.97(1H,m),4.01-4.07(1H,m),4.10-4.17(1H,m), 4.32-4.40(3H,m),4.46(1H,s),4.66(1H,d,J=4.5Hz),5.10(1H,dd,J=11,2 Hz),6.46(1H,dd,J=8.5,3Hz),6.56(1H,d,J=3Hz),6.78(1H,d,J=8.5Hz)
20		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.02(3H,d,J= 6.5Hz),1.08-1.80(31H,m),1.87-2.05(2H,m),2.08-2.18(2H,m),2.21(1 H,d,J=10.5Hz),2.29(6H,s),2.33-2.48(1H,m),2.36(1H,d,J=15.5Hz),2. 63-2.72(1H,m),2.85-2.96(1H,m),3.02(1H,t,J=10Hz),3.10(1H,s),3.2 2(1H,dd,J=10,7.5Hz),3.32(3H,s),3.41(1H,s),3.44-3.53(1H,m),3.57(1 H,d,J=7.5Hz),3.64-3.76(2H,m),3.96-4.10(4H,m),4.16-4.27(2H,m), 4.38(1H,s),4.42(1H,d,J=7.5Hz),4.92(1H,d,J=5Hz),5.12(1H,dd,J=11, 2Hz),6.84-6.96(3H,m),7.21-7.32(2H,m)

Reference example	R ¹	Description and physical properties
21		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.96-2.05(40 H,m),2.21(1H,d,J=10.5Hz),2.25-2.40(1H,m),2.32(6H,s),2.40-2.55(1 H,m),2.60-2.70(1H,m),2.85-2.95(1H,m),3.02(1H,t,J=9.5Hz),3.10(1 H,s),3.20-3.27(1H,m),3.32(3H,s),3.40(1H,s),3.45-3.55(1H,m),3.59 (1H,d,J=7.5Hz),3.65-3.75(2H,m),3.95-4.15(6H,m),4.41(1H,s),4.43 (1H,d,J=7.5Hz),4.90(1H,d,J=5Hz),5.11(1H,dd,J=11,2Hz),6.90-7.00 (3H,m),7.20-7.30(2H,m)
22		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.98-1.70(33 H,m),1.85-2.05(3H,m),2.20(1H,d,J=10.5Hz),2.29(6H,s),2.35(1H,d,J =15.5Hz),2.40-2.48(1H,m),2.65-2.72(1H,m),2.85-2.93(1H,m),3.01 (1H,t,J=10Hz),3.08(1H,s),3.09-3.18(2H,m),3.22(1H,dd,J=10.5,7.5H z),3.32(3H,s),3.39(1H,brs),3.45-3.53(1H,m),3.59(1H,d,J=3.5Hz),3.6 5-3.75(2H,m),3.98-4.07(2H,m),4.14-4.25(3H,m),4.42(1H,d,J=6.5H z),4.89(1H,d,J=5Hz),5.11(1H,dd,J=11,2.5Hz),7.18-7.42(5H,m)
23		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.95(3H,d,J= 6.5Hz),1.06-1.70(30H,m),1.86-2.02(3H,m),2.19(1H,d,J=10.5Hz),2. 29(6H,s),2.36(1H,d,J=15.5Hz),2.39-2.47(1H,m),2.62-2.68(1H,m),2. 86-2.95(1H,m),3.01(1H,t,J=10Hz),3.02(1H,s),3.22(1H,dd,J=10,7.5 Hz),3.32(3H,s),3.35-3.54(5H,m),3.56(1H,d,J=7.5Hz),3.68(1H,s),3.9 8-4.05(2H,m),4.08(1H,s),4.31-4.38(1H,m),4.41(1H,d,J=7.5Hz),4.43 -4.50(1H,m),4.91(1H,d,J=5Hz),5.07(1H,dd,J=11,2Hz),7.56-7.70(3 H,m),7.90-7.96(2H,m)
24		brown solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.92-1.70(33 H,m),1.80-2.01(3H,m),2.21(1H,d,J=10Hz),2.28(6H,s),2.36(1H,d,J= 14.5Hz),2.37-2.45(1H,m),2.60-2.70(1H,m),2.85-2.98(1H,m),2.95(3 H,s),3.02(1H,t,J=10Hz),3.09(1H,s),3.21(1H,dd,J=10,7.5Hz),3.32(3 H,s),3.39(1H,brs),3.43-3.58(4H,m),3.60-3.75(2H,m),3.95-4.05(2H, m),4.17-4.23(2H,m),4.35(1H,s),4.41(1H,d,J=6.5Hz),4.90(1H,d,J=4. 5Hz),5.11(1H,dd,J=11,2Hz),6.68-6.77(3H,m),7.20-7.30(2H,m)

Reference example	R ³	Description and physical properties
25		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.93-1.75(34 H,m),1.87-2.03(2H,m),2.20(1H,d,J=10Hz),2.29(6H,s),2.37(1H,d,J=15.5Hz),2.38-2.48(1H,m),2.63-2.71(1H,m),2.86-2.96(1H,m),3.03(1 H,t,J=9.5Hz),3.13(1H,s),3.21(1H,dd,J=10.5,7.5Hz),3.32(3H,s),3.36-3.52(4H,m),3.52-3.67(2H,m),3.73(1H,s),3.95-4.10(2H,m),4.28-4.48(4H,m),4.93(1H,d,J=5Hz),5.14(1H,dd,J=11.2,5Hz),7.32-7.59(4H,m),7.74(1H,d,J=8Hz),7.85(1H,d,J=8Hz),8.06(1H,d,J=8.5Hz)
26		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.94-1.80(34 H,m),1.86-2.06(2H,m),2.20(1H,d,J=10.5Hz),2.30(6H,s),2.36(1H,d,J=15.5Hz),2.37-2.50(1H,m),2.60-2.67(1H,m),2.83-2.92(1H,m),3.02(1H,t,J=10Hz),3.04-3.15(3H,m),3.20(1H,dd,J=10.5,7.5Hz),3.31(3H,s),3.38(1H,brs),3.41-3.53(2H,m),3.55-3.65(1H,m),3.71(1H,s),3.93-4.03(2H,m),4.28-4.35(2H,m),4.38(1H,d,J=7.5Hz),4.44(1H,s),4.91(1 H,d,J=5Hz),5.13(1H,dd,J=11.2Hz),7.35(1H,dd,J=8.5,1Hz),7.38-7.50(2H,m),7.65(1H,s),7.73-7.83(3H,m)
27		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.86(3H,t,J=7.5Hz),0.93-1.80(34 H,m),1.88-2.01(2H,m),2.17(1H,d,J=10.5Hz),2.27(6H,s),2.31(1H,d,J=15.5Hz),2.35-2.43(1H,m),2.65-2.73(1H,m),2.83-2.98(2H,m),3.10(1H,s),3.18(1H,dd,J=10.7Hz),3.28(3H,s),3.32-3.38(1H,brs),3.38-3.47(2H,m),3.70-3.78(2H,m),3.84-3.93(2H,m),4.26-4.40(3H,m),4.42(1H,s),4.49-4.54(2H,m),4.68(1H,d,J=4.5Hz),5.13(1H,dd,J=11.5,2Hz),6.89(1H,d,J=7.5Hz),7.32-7.53(4H,m),7.73-7.79(1H,m),8.24-8.32(1H,m)
28		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.97-1.73(33 H,m),1.87-2.00(3H,m),2.17(1H,d,J=10.5Hz),2.19(1H,d,J=15.5Hz),2.29(6H,s),2.37-2.50(1H,m),2.65-2.73(1H,m),2.76-2.85(1H,m),2.90(1H,t,J=10Hz),3.11(1H,s),3.15-3.26(1H,m),3.24(3H,s),3.34(1H,brs),3.39-3.50(2H,m),3.68-3.79(3H,m),3.81-3.89(1H,m),4.16-4.23(1 H,m),4.26-4.34(3H,m),4.42-4.48(2H,m),4.50(1H,s),5.11(1H,dd,J=11.2,5Hz),7.16-7.21(2H,m),7.28-7.33(1H,m),7.37-7.42(1H,m),7.70-7.80(3H,m)

Reference example	R ³	Description and physical properties
29		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.97-1.83(38 H,m),1.87-2.02(2H,m),2.20(1H,d,J=10.5Hz),2.28(6H,s),2.33(1H,d,J=15.5Hz),2.38-2.46(1H,m),2.60-2.79(5H,m),2.84-2.94(1H,m),2.98(1H,t,J=10Hz),3.09(1H,s),3.21(1H,dd,J=10.5,7.5Hz),3.30(3H,s),3.37(1H,brs),3.42-3.55(2H,m),3.66-3.79(2H,m),3.91-4.01(2H,m),4.07-4.20(3H,m),4.32-4.42(3H,m),4.73(1H,d,J=4.5Hz),5.11(1H,dd,J=11.2,5Hz),6.65-6.72(2H,m),7.04(1H,t,J=8Hz)
30		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.97-1.81(37 H,m),1.87-2.02(3H,m),2.21(1H,d,J=10.5Hz),2.28(6H,s),2.34(1H,d,J=15.5Hz),2.38-2.46(1H,m),2.63-2.78(5H,m),2.83-2.92(1H,m),2.99(1H,t,J=10Hz),3.10(1H,s),3.21(1H,dd,J=10.7,5Hz),3.30(3H,s),3.35(1H,brs),3.42-3.54(2H,m),3.68-3.78(2H,m),3.87-4.00(2H,m),4.03-4.17(3H,m),4.32-4.39(2H,m),4.43(1H,s),4.73(1H,d,J=4.5Hz),5.11(1H,dd,J=11.2,5Hz),6.63(1H,d,J=2.5Hz),6.71(1H,dd,J=8.5,2.5Hz),6.96(1H,d,J=8Hz)
31		pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.84,0.85(total 3H,each t,J=7.5 Hz),0.93-1.70(32H,m),1.86-2.05(3H,m),2.19-2.25(1H,m),2.29(6H,s),2.36,2.37(total 1H,each d,J=15Hz),2.39-2.47(1H,m),2.61-2.70(1H,m),2.85-3.12(4H,m),3.22(1H,dd,J=10.5,7.5Hz),3.23-3.34(1H,m),3.32(3H,s),3.36(1H,brs),3.43-3.60(2H,m),3.48(1H,brs),3.63-3.75(1H,m),3.79,3.80(total 1H,each s),3.96-4.35(5H,m),4.40(1H,d,J=7.5Hz),4.88,4.91(total 1H,each d,J=4.5Hz),4.93-5.03(1H,m),5.07-5.16(1H,m),6.79-6.92(2H,m),7.07-7.18(2H,m)
32		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.82,0.85(total 3H,each t,J=7.5 Hz),1.00-1.83(35H,m),1.85-2.07(3H,m),2.18-2.25(1H,m),2.29(6H,s),2.35,2.36(total 1H,each d,J=15Hz),2.38-2.47(1H,m),2.64-2.74(1H,m),2.75-2.96(3H,m),2.98-3.01(2H,m),3.22(1H,dd,J=10.7,5Hz),3.31,3.32(total 3H,each s),3.39(1H,brs),3.43-3.54(1H,m),3.60,3.61(total 1H,each d,J=7.5Hz),3.71-3.83(2H,m),3.96-4.01(2H,m),4.07-4.24(4H,m),4.43(1H,d,J=10Hz),4.83,4.88(total 1H,each d,J=4.5Hz),5.06,5.13(total 1H,each dd,J=11.2Hz),6.77-6.85(1H,m),6.88-6.94(1H,m),6.98-7.05(1H,m),7.06-7.14(1H,m)

Reference example	R ³	Description and physical properties
33		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.84,0.85(total 3H,each t,J=7Hz),1.00-1.70(33H,m),1.85-2.05(3H,m),2.20,2.21(total 1H,each d,J=10.5Hz),2.29(6H,s),2.36,2.37(total 1H,each d,J=15.5Hz),2.38-2.47(1H,m),2.66-2.74(1H,m),2.85-2.93(1H,m),2.97-3.08(2H,m),3.22(1H,dd,J=10,7.5Hz),3.30,3.32(total 3H,each s),3.40(1H,brs),3.44-3.53(1H,m),3.58,3.60(total 1H,each d,J=7.5Hz),3.67-3.79(2H,m),3.97-4.32(7H,m),4.37-4.48(2H,m),4.87,4.90(total 1H,each d,J=4.5Hz),5.08,5.11(total 1H,each dd,J=11,2.5Hz),6.79-6.90(3H,m),6.93-6.99(1H,m)
34		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.24-0.30(2H,m),0.52-0.59(2H,m),0.84(3H,t,J=7.5Hz),1.04-1.69(34H,m),1.82(1H,brs),1.87-1.95(1H,m),1.96-2.05(1H,m),2.21(1H,d,J=10Hz),2.29(6H,s),2.36(1H,d,J=14.5Hz),2.39-2.47(1H,m),2.62-2.71(1H,m),2.85-2.94(1H,m),3.02(1H,t,J=10Hz),3.11(1H,s),3.23(1H,dd,J=10.5,7.5Hz),3.32(3H,s),3.39(1H,s),3.45-3.53(1H,m),3.59(1H,d,J=7.5Hz),3.70-3.77(2H,m),3.80(1H,dd,J=11.5,7Hz),3.89(1H,dd,J=11.5,7Hz),4.00-4.05(1H,m),4.07(1H,d,J=8.5Hz),4.43(1H,d,J=7.5Hz),4.47(1H,s),4.92(1H,d,J=5Hz),5.11(1H,d,J=9Hz)
35		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.92-1.80(45H,m),1.87-2.04(2H,m),2.21(1H,d,J=10.5Hz),2.29(6H,s),2.36(1H,d,J=14.5Hz),2.39-2.47(1H,m),2.62-2.68(1H,m),2.86-2.95(1H,m),3.02(1H,t,J=10Hz),3.11(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.32(3H,s),3.39(1H,brs),3.45-3.54(1H,m),3.59(1H,d,J=8Hz),3.65-3.75(2H,m),3.77-3.87(2H,m),3.98-4.07(2H,m),4.43(1H,d,J=7.5Hz),4.46(1H,s),4.92(1H,d,J=4.5Hz),5.11(1H,dd,J=11,2Hz)
36		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.88-1.78(47H,m),1.86-2.06(2H,m),2.20(1H,d,J=10.5Hz),2.29(6H,s),2.36(1H,d,J=14.5Hz),2.38-2.47(1H,m),2.62-2.69(1H,m),2.86-2.96(1H,m),3.02(1H,t,J=10Hz),3.10(1H,s),3.22(1H,dd,J=10,7.5Hz),3.32(3H,s),3.40(1H,s),3.44-3.54(1H,m),3.59(1H,d,J=7.5Hz),3.64-3.74(2H,m),3.96-4.09(4H,m),4.43(1H,d,J=7.5Hz),4.45(1H,s),4.91(1H,d,J=5Hz),5.12(1H,dd,J=11,2Hz)

Reference example	R ³	Description and physical properties
37		pale brown amorphous solid NMR spectrum δ (CDCl ₃) ppm: 0.80-1.81 (49H, m), 0.84 (3H, t, J=7.5 Hz), 1.86-2.06 (2H, m), 2.21 (1H, d, J=10.5 Hz), 2.29 (6H, s), 2.36 (1H, d, J=15.5 Hz), 2.39-2.48 (1H, m), 2.60-2.70 (1H, m), 2.85-2.96 (1H, m), 3.02 (1H, t, J=9.5 Hz), 3.11 (1H, s), 3.22 (1H, dd, J=10.5, 7.5 Hz), 3.32 (3H, s), 3.40 (1H, s), 3.44-3.54 (1H, m), 3.59 (1H, d, J=7.5 Hz), 3.63-3.75 (2H, m), 3.92-4.09 (4H, m), 4.43 (1H, d, J=7.5 Hz), 4.48 (1H, s), 4.92 (1H, d, J=4.5 Hz), 5.12 (1H, dd, J=11, 2.5 Hz)

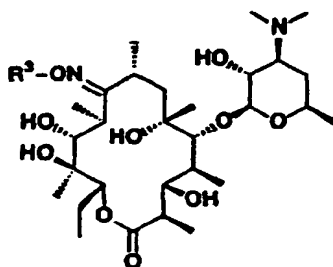
Reference Example 38: 5-O-Desosaminylerythronolide A 9- [O-(phenethyl)oxime]

[0047] To a suspension of 4.80 g of erythromycin A 9-[O-(phenethyl)oxime] in 40 ml of 1 N hydrochloric acid, 50 ml of methanol was added at room temperature with stirring, and the reaction mixture was stirred at room temperature for 3.5 hours. The reaction mixture was concentrated under reduced pressure, and then the resulting residue was added with ice-water, and the mixture was made alkaline with 10% aqueous sodium hydroxide solution and extracted with diethyl ether. The extract was washed with water, and dried over sodium sulfate, and the solvent was removed under reduced pressure. The residue was purified by column chromatography (silica gel, dichloromethane:methanol = 50:1 → 25:1) to give 3.43 g of a pale yellowish brown amorphous solid.

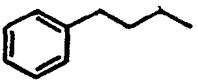
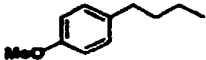
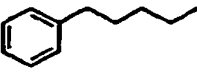
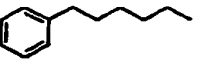
NMR spectrum δ (CDCl₃) ppm: 0.85 (3H, t, J=7.5 Hz), 1.01 (3H, d, J=6.5 Hz), 1.08 (3H, d, J=7.5 Hz), 1.10-1.75 (20H, m), 1.88-1.99 (1H, m), 2.01-2.11 (2H, m), 2.25 (6H, s), 2.42-2.52 (1H, m), 2.59-2.71 (2H, m), 2.90-3.00 (2H, m), 3.18 (1H, s), 3.23 (1H, dd, J=10.5, 7.5 Hz), 3.40-3.70 (6H, m), 3.83 (1H, brs), 4.21-4.31 (2H, m), 4.38 (1H, d, J=7.5 Hz), 4.38 (1H, s), 5.23 (1H, dd, J=11, 2.5 Hz), 7.16-7.31 (5H, m)

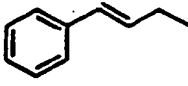
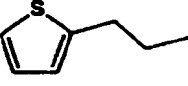
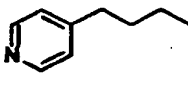
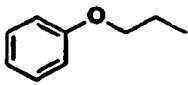
HR-MS m/z 694.43983 [Calcd. for C₃₇H₆₂N₂O₁₀ (M⁺): 694.44045]

[0048] Compounds of Reference Examples 39 through 76 were obtained in the same manner as that described in Reference Example 38.

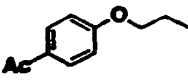
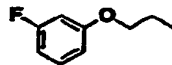
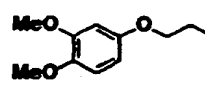
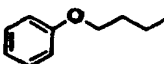


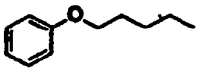
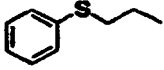
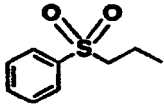
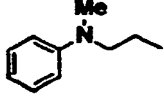
Reference example	R ³	Description and physical properties
39		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.85(3H,t,J=7.5Hz), 1.02(3H,d,J=6.5Hz), 1.08(3H,d,J=7.5Hz), 1.15–1.75(20H,m), 1.88–2.00(1H,m), 2.03–2.14(2H,m), 2.25(6H,s), 2.32(3H,s), 2.43–2.53(1H,m), 2.60–2.72(2H,m), 2.86–2.96(2H,m), 3.18(1H,s), 3.23(1H,dd,J=10,7.5Hz), 3.43–3.70(6H,m), 3.82(1H,brs), 4.19–4.31(2H,m), 4.34–4.43(2H,m), 5.23(1H,dd,J=11,2.5Hz), 7.08(2H,d,J=8Hz), 7.10(2H,d,J=8Hz)</p> <p>HR-MS m/z 708.45691</p> <p>[Calcd. for C₃₈H₅₄N₂O₁₀(M⁺): 708.45610]</p>
40		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.85(3H,t,J=7.5Hz), 1.02(3H,d,J=7.5Hz), 1.08(3H,d,J=8Hz), 1.13–1.74(20H,m), 1.89–1.99(1H,m), 2.03–2.12(2H,m), 2.26(6H,s), 2.43–2.53(1H,m), 2.60–2.72(2H,m), 2.85–2.92(2H,m), 3.18(1H,s), 3.24(1H,dd,J=10.5,7.5Hz), 3.40–3.70(6H,m), 3.79(3H,s), 3.83(1H,brs), 4.17–4.28(2H,m), 4.38(1H,d,J=6.5Hz), 4.39(1H,s), 5.23(1H,dd,J=11,2.5Hz), 6.84(2H,d,J=8.5Hz), 7.11(2H,d,J=8.5Hz)</p> <p>HR-MS m/z 724.45260</p> <p>[Calcd. for C₃₈H₅₄N₂O₁₁(M⁺): 724.45101]</p>
41		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84, 0.85(total 3H, each t, J=7.5Hz), 0.94, 0.98(total 3H, each d, J=6.5Hz), 1.06, 1.07(total 3H, each d, J=7.5Hz), 1.10–1.80(23H,m), 1.83–2.00(2H,m), 2.02–2.12(1H,m), 2.26, 2.27(total 6H, each s), 2.44–2.55(1H,m), 2.57–2.69(2H,m), 3.07–3.28(3H,m), 3.33–3.70(6H,m), 3.82(1H,brs), 4.03–4.22(2H,m), 4.30–4.44(2H,m), 5.18–5.27(1H,m), 7.17–7.34(5H,m)</p> <p>HR-MS m/z 708.45672</p> <p>[Calcd. for C₃₈H₅₄N₂O₁₀(M⁺): 708.45610]</p>

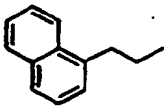
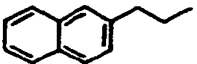
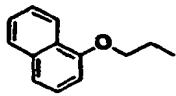
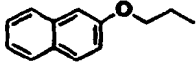
Reference example	R ³	Description and physical properties
42		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.02-1.70(20 H,m),1.06(3H,d,J=6.5Hz),1.10(3H,d,J=7.5Hz),1.88-2.03(3H,m),2.05-2.14(1H,m),2.25(6H,s),2.35(1H,brs),2.42-2.53(1H,m),2.60-2.73(4H,m),3.17(1H,s),3.24(1H,dd,J=10,7.5Hz),3.42-3.64(4H,m),3.69(1 H,s),3.70-3.79(1H,m),3.82(1H,brs),4.00-4.10(2H,m),4.37(1H,s),4.39(1H,d,J=7.5Hz),5.23(1H,dd,J=11.5,2Hz),7.13-7.33(5H,m) HR-MS m/z 708.45746 [Calcd.for C ₃₀ H ₂₄ N ₂ O ₁₀ (M ⁺):708.45610]
43		colorless solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.03-1.75(26 H,m),1.87-2.00(3H,m),2.06-2.14(1H,m),2.26(6H,s),2.35(1H,s),2.44-2.53(1H,m),2.58-2.73(4H,m),3.16(1H,s),3.24(1H,dd,J=10.5,7.5H z),3.44(1H,brs),3.49-3.64(3H,m),3.66-3.90(3H,m),3.79(3H,s),4.00-4.10(2H,m),4.38(1H,s),4.39(1H,d,J=8Hz),5.23(1H,dd,J=11.5,2Hz),6.84(2H,d,J=8.5Hz),7.09(2H,d,J=8.5Hz) HR-MS m/z 738.46546 [Calcd.for C ₃₀ H ₂₈ N ₂ O ₁₁ (M ⁺):738.46666]
44		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.04(3H,d,J=6.5Hz),1.09(3H,d,J=7.5Hz),1.15-1.80(27H,m),1.90-2.00(1H,m),2.08-2.15(1H,m),2.25(6H,s),2.29(1H,s),2.40-2.55(1H,m),2.60-2.75(4 H,m),3.17(1H,s),3.24(1H,dd,J=10.5,7.5Hz),3.40-3.61(4H,m),3.65-3.75(2H,m),4.00-4.10(2H,m),4.39(1H,d,J=6.5Hz),4.39(1H,s),5.23(1 H,dd,J=11,2.5Hz),7.10-7.30(5H,m) HR-MS m/z 722.47175 [Calcd.for C ₃₀ H ₂₈ N ₂ O ₁₀ (M ⁺):722.47175]
45		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.03(3H,d,J=7.5Hz),1.09(3H,d,J=8Hz),1.15-1.80(26H,m),1.90-1.98(1H,m),2.06-2.13(1H,m),2.26(6H,s),2.28(1H,s),2.45-2.53(1H,m),2.59-2.70(4H, m),3.16(1H,s),3.24(1H,dd,J=10.5,7.5Hz),3.45(1H,brs),3.50-3.72(5 H,m),3.84(1H,brs),3.96-4.06(2H,m),4.40(1H,d,J=6.5Hz),4.40(1H,s),5.23(1H,dd,J=11,2.5Hz),7.13-7.20(3H,m),7.24-7.30(2H,m) HR-MS m/z 736.48631 [Calcd.for C ₄₀ H ₃₄ N ₂ O ₁₀ (M ⁺):736.48740]

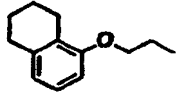
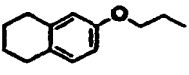
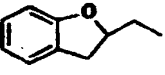
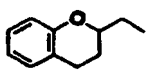
Reference example	R ³	Description and physical properties
46		pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.07(3H,d,J=6.5Hz),1.10(3H,d,J=7.5Hz),1.16-1.73(20H,m),1.90-1.99(1H,m),2.06-2.14(1H,m),2.25(6H,s),2.33(1H,s),2.43-2.51(1H,m),2.61-2.74(2H,m),3.17(1H,s),3.24(1H,dd,J=10.5,7.5Hz),3.45(1H,brs),3.49-3.56(2H,m),3.56-3.63(1H,m),3.71(1H,s),3.73-3.87(2H,m),4.38(1H,d,J=7.5Hz),4.38(1H,s),4.69(2H,d,J=6.5Hz),5.24(1H,dd,J=11,2.5Hz),6.29(1H,dt,J=16,6.5Hz),6.82(1H,d,J=16Hz),7.22-7.28(1H,m),7.34(2H,t,J=7.5Hz),7.40(2H,d,J=7.5Hz) HR-MS m/z 706.44045 [Calcd.for C ₃₃ H ₄₂ N ₂ O ₁₆ (M ⁺):706.44045]
47		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.04(3H,d,J=6.5Hz),1.09(3H,d,J=7.5Hz),1.15-1.72(20H,m),1.88-2.00(1H,m),2.04-2.15(2H,m),2.25(6H,s),2.43-2.52(1H,m),2.60-2.73(2H,m),3.10-3.25(3H,m),3.23(1H,dd,J=10.5,7.5Hz),3.41-3.62(4H,m),3.64-3.75(2H,m),3.83(1H,brs),4.22-4.34(3H,m),4.38(1H,d,J=7.5Hz),5.23(1H,dd,J=11,2.5Hz),6.84(1H,d,J=3.5Hz),6.93(1H,dd,J=5.5,3.5Hz),7.15(1H,dd,J=5.5,1Hz) HR-MS m/z 700.39679 [Calcd.for C ₃₅ H ₄₀ N ₂ O ₁₀ S(M ⁺):700.39687]
48		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.07(3H,d,J=7.5Hz),1.09(3H,d,J=7.5Hz),1.15-1.75(21H,m),1.88-2.03(3H,m),2.04-2.12(1H,m),2.25(6H,s),2.37(1H,s),2.43-2.51(1H,m),2.60-2.74(4H,m),3.15(1H,s),3.24(1H,dd,J=10.5,7.5Hz),3.47-3.62(3H,m),3.67-3.76(2H,m),3.83(1H,brs),4.01-4.11(2H,m),4.30(1H,s),4.39(1H,d,J=7.5Hz),5.22(1H,dd,J=11,2.5Hz),7.08-7.15(2H,m),8.47-8.54(2H,m) HR-MS m/z 709.44918 [Calcd.for C ₃₇ H ₄₃ N ₂ O ₁₀ (M ⁺):709.45135]
49		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.03(3H,d,J=6.5Hz),1.08(3H,d,J=7.5Hz),1.15-1.71(20H,m),1.88-2.00(1H,m),2.08-2.16(1H,m),2.25(6H,s),2.34(1H,s),2.42-2.50(1H,m),2.59-2.73(2H,m),3.16(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.38(1H,brs),3.43(1H,d,J=2.5Hz),3.47-3.60(2H,m),3.69-3.85(3H,m),4.15(2H,t,J=4.5Hz),4.33(1H,s),4.35(1H,d,J=7.5Hz),4.36-4.44(2H,m),5.24(1H,dd,J=11,2.5Hz),6.84-7.00(3H,m),7.26-7.36(2H,m) HR-MS m/z 710.43442 [Calcd.for C ₃₇ H ₄₃ N ₂ O ₁₁ (M ⁺):710.43536]

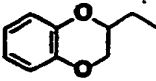

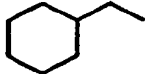
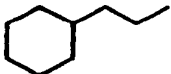
Reference example	R ³	Description and physical properties
50		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.02(3H,d,J=6.5Hz),1.09(3H,d,J=7.5Hz),1.15-1.75(20H,m),1.89-1.99(1H,m),2.10-2.18(1H,m),2.25(6H,s),2.42-2.50(2H,m),2.60-2.73(2H,m),3.17(1H,s),3.23(1H,dd,J=10.5,7.5Hz),3.38-3.45(2H,m),3.47-3.58(2H,m),3.72-3.88(3H,m),3.85(3H,s),4.14-4.28(2H,m),4.34(1H,s),4.35-4.45(2H,m),4.36(1H,d,J=8Hz),5.24(1H,dd,J=11.2,5Hz),6.86-6.99(4H,m) HR-MS m/z 740.44436 [Calcd.for C ₃₉ H ₆₄ N ₂ O ₁₂ (M ⁺):740.44593]
51		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.03(3H,d,J=6.5Hz),1.08(3H,d,J=7.5Hz),1.14-1.75(20H,m),1.87-2.00(1H,m),2.06-2.15(1H,m),2.24(6H,s),2.36(1H,s),2.42-2.50(1H,m),2.60-2.74(2H,m),3.17(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.40-3.58(4H,m),3.70-3.86(3H,m),3.80(3H,s),4.09-4.18(2H,m),4.30-4.43(4H,m),5.23(1H,d,J=11.2,5Hz),6.44-6.57(3H,m),7.20(1H,t,J=8Hz) HR-MS m/z 740.44573 [Calcd.for C ₃₉ H ₆₄ N ₂ O ₁₂ (M ⁺):740.44593]
52		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.03(3H,d,J=6.5Hz),1.09(3H,d,J=7.5Hz),1.15-1.75(20H,m),1.90-1.99(1H,m),2.09-2.17(1H,m),2.25(6H,s),2.40-2.50(2H,m),2.60-2.73(2H,m),3.17(1H,s),3.22(1H,dd,J=10.7,5Hz),3.39(1H,brs),3.43(1H,d,J=2.5Hz),3.46-3.57(2H,m),3.72-3.85(3H,m),3.78(3H,s),4.02-4.15(2H,m),4.31-4.40(4H,m),5.25(1H,dd,J=11.5,2Hz),6.86(2H,d,J=9.5Hz),6.89(2H,d,J=9.5Hz) HR-MS m/z 740.44627 [Calcd.for C ₃₉ H ₆₄ N ₂ O ₁₂ (M ⁺):740.44593]
53		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.86(3H,t,J=7.5Hz),1.04(3H,d,J=6.5Hz),1.07(3H,d,J=7.5Hz),1.15-1.74(21H,m),1.89-2.00(1H,m),2.03-2.11(1H,m),2.24(6H,s),2.42-2.50(1H,m),2.58-2.75(2H,m),3.13(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.39(1H,brs),3.40(1H,d,J=2.5Hz),3.45-3.57(2H,m),3.67-3.77(2H,m),3.81(1H,brs),4.20-4.32(3H,m),4.35(1H,d,J=7.5Hz),4.38-4.53(2H,m),5.23(1H,dd,J=11.5,2Hz),7.01(2H,d,J=9Hz),8.24(2H,d,J=9Hz) HR-MS m/z 755.42020 [Calcd.for C ₃₇ H ₆₁ N ₃ O ₁₃ (M ⁺):755.42044]

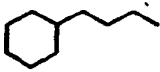

Reference example	R ³	Description and physical properties
54		pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.86(3H,t,J=7.5Hz),1.03(3H,d,J=7.5Hz),1.07(3H,d,J=7.5Hz),1.15-1.75(20H,m),1.88-1.99(1H,m),2.04-2.12(1H,m),2.25(6H,s),2.27(1H,s),2.42-2.51(1H,m),2.57(3H,s),2.59-2.73(2H,m),3.15(1H,s),3.22(1H,dd,J=10,7.5Hz),3.37-3.43(2H,m),3.46-3.57(2H,m),3.68-3.78(2H,m),3.81(1H,brs),4.17-4.25(2H,m),4.27(1H,s),4.33(1H,d,J=7.5Hz),4.35-4.48(2H,m),5.24(1H,dd,J=11.2,5Hz),6.97(2H,d,J=9Hz),7.96(2H,d,J=9Hz) HR-MS m/z 752.44624 [Calcd.for C ₂₀ H ₂₄ N ₂ O ₁₂ (M ⁺):752.44593]
55		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.03(3H,d,J=6.5Hz),1.08(3H,d,J=7.5Hz),1.13-1.82(20H,m),1.88-2.00(1H,m),2.05-2.15(1H,m),2.27(6H,s),2.30(1H,s),2.45-2.54(1H,m),2.58-2.74(2H,m),3.15(1H,s),3.23(1H,dd,J=10.5,7.5Hz),3.35(1H,brs),3.44(1H,d,J=2.5Hz),3.46-3.57(2H,m),3.68-3.86(3H,m),4.09-4.20(2H,m),4.28(1H,s),4.31-4.44(3H,m),5.23(1H,dd,J=11.2,5Hz),6.60-6.75(3H,m),7.18-7.28(1H,m) HR-MS m/z 728.42674 [Calcd.for C ₂₁ H ₂₁ FN ₂ O ₁₁ (M ⁺):728.42594]
56		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.04(3H,d,J=7.5Hz),1.09(3H,d,J=7.5Hz),1.16-1.75(20H,m),1.87-2.00(1H,m),2.02(1H,s),2.07-2.16(1H,m),2.24(6H,s),2.40-2.50(2H,m),2.57-2.74(2H,m),3.18(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.38-3.57(4H,m),3.70-3.92(2H,m),3.85(3H,s),3.88(3H,s),4.06-4.16(2H,m),4.34-4.42(4H,m),5.24(1H,dd,J=11.2,5Hz),6.43(1H,dd,J=9.3Hz),6.57(1H,d,J=3Hz),6.81(1H,d,J=9Hz) HR-MS m/z 770.45834 [Calcd.for C ₂₈ H ₃₀ N ₂ O ₁₃ (M ⁺):770.45649]
57		pale brown amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.04(3H,d,J=6.5Hz),1.09(3H,d,J=7.5Hz),1.14-1.83(21H,m),1.87-1.99(1H,m),2.04-2.18(3H,m),2.25(6H,s),2.28(1H,s),2.43-2.52(1H,m),2.60-2.74(2H,m),3.16(1H,s),3.24(1H,dd,J=10.5,7.5Hz),3.40-3.95(6H,m),4.05(2H,t,J=6.5Hz),4.16-4.29(2H,m),4.34(1H,s),4.38(1H,d,J=7.5Hz),5.23(1H,dd,J=11.2Hz),6.84-6.95(3H,m),7.22-7.32(2H,m) HR-MS m/z 724.45457 [Calcd.for C ₂₈ H ₂₄ N ₂ O ₁₁ (M ⁺):724.45101]

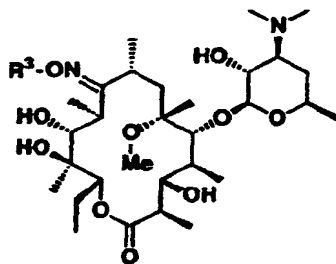
Reference example	R ³	Description and physical properties
58		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.04(3H,d,J=6.5Hz),1.09(3H,d,J=7.5Hz),1.15-2.00(25H,m),2.06-2.13(1H,m),2.26(6H,s),2.33(1H,s),2.45-2.53(1H,m),2.60-2.73(2H,m),3.17(1H,s),3.24(1H,dd,J=10.7,7.5Hz),3.40-3.61(4H,m),3.68-3.77(2H,m),3.82(1H,brs),3.95-4.02(2H,m),4.11(2H,t,J=6Hz),4.38(1H,s),4.39(1H,d,J=6.5Hz),5.23(1H,dd,J=11.2,5Hz),6.80-7.00(3H,m),7.20-7.30(2H,m) HR-MS m/z 738.46452 [Calcd.for C ₃₉ H ₄₀ N ₂ O ₁₁ (M ⁺):738.46666]
59		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.04(3H,d,J=6.5Hz),1.09(3H,d,J=7.5Hz),1.10-1.80(20H,m),1.90-2.00(1H,m),2.05-2.18(1H,m),2.26(6H,s),2.40-2.50(2H,m),2.60-2.75(2H,m),3.10-3.20(3H,m),3.24(1H,dd,J=10.5,7.5Hz),3.41(1H,brs),3.45-3.62(3H,m),3.65-3.90(3H,m),4.10-4.24(3H,m),4.39(1H,d,J=7.5Hz),5.23(1H,dd,J=11.2,5Hz),7.18-7.42(5H,m) HR-MS m/z 726.41315 [Calcd.for C ₃₇ H ₄₂ N ₂ O ₁₀ S(M ⁺):726.41252]
60		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.00(3H,d,J=7.5Hz),1.09(3H,d,J=7.5Hz),1.12-1.73(20H,m),1.88-1.98(1H,m),2.07-2.14(1H,m),2.26(6H,s),2.44-2.52(1H,m),2.62-2.73(3H,m),3.11(1H,s),3.24(1H,dd,J=10.5,7.5Hz),3.32-3.39(1H,m),3.43-3.64(6H,m),3.74(1H,s),3.83(1H,brs),4.13(1H,s),4.32-4.40(2H,m),4.50-4.56(1H,m),5.19(1H,dd,J=11.5,2Hz),7.61-7.70(3H,m),7.90-7.94(2H,m) HR-MS m/z 758.40365 [Calcd.for C ₃₇ H ₄₂ N ₂ O ₁₂ S(M ⁺):758.40235]
61		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.99(3H,d,J=7.5Hz),1.08(3H,d,J=7.5Hz),1.15-1.75(20H,m),1.85-2.00(1H,m),2.02-2.11(1H,m),2.26(6H,s),2.41(1H,s),2.45-2.52(1H,m),2.60-2.72(2H,m),2.94(3H,s),3.16(1H,s),3.24(1H,dd,J=10.5,7.5Hz),3.38-3.60(6H,m),3.62-3.71(2H,m),3.81(1H,brs),4.22(2H,t,J=6Hz),4.29(1H,s),4.37(1H,d,J=7.5Hz),5.23(1H,dd,J=11.2,5Hz),6.69-6.76(3H,m),7.20-7.28(2H,m) HR-MS m/z 723.46848 [Calcd.for C ₃₈ H ₄₅ N ₃ O ₁₀ (M ⁺):723.46700]

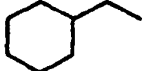
Reference example	R ³	Description and physical properties
62		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),1.00(3H,d,J=7.5Hz),1.08(3H,d,J=7.5Hz),1.13-1.74(20H,m),1.88-2.00(1H,m),2.03-2.12(1H,m),2.19(1H,s),2.26(6H,s),2.45-2.53(1H,m),2.59-2.73(2H,m),3.18(1H,s),3.24(1H,dd,J=10.5,7.5Hz),3.37-3.72(8H,m),3.83(1H,brs),4.31-4.46(4H,m),5.24(1H,dd,J=11,2.5Hz),7.31-7.56(4H,m),7.74(1H,d,J=8Hz),7.85(1H,d,J=8Hz),8.05(1H,d,J=8.5Hz) HR-MS m/z 744.45572 [Calcd.for C ₂₁ H ₂₄ N ₂ O ₁₀ (M ⁺):744.45610]
63		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.99(3H,d,J=6.5Hz),1.06(3H,d,J=7.5Hz),1.10-1.78(20H,m),1.88-2.10(3H,m),2.26(6H,s),2.42-2.53(1H,m),2.59-2.70(2H,m),3.06-3.13(2H,m),3.18(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.34-3.41(2H,m),3.43-3.62(3H,m),3.69(1H,s),3.82(1H,brs),4.31(1H,d,J=7.5Hz),4.34-4.42(2H,m),4.42(1H,s),5.24(1H,dd,J=11,2.5Hz),7.34(1H,dd,J=8.5,2Hz),7.40-7.47(2H,m),7.63(1H,s),7.73-7.81(3H,m) HR-MS m/z 570.34210 [Calcd.for C ₂₃ H ₂₆ NO ₇ (M ⁺ -C ₈ H ₁₀ NO ₃):570.34308]
64		pale brown amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.86(3H,t,J=7.5Hz),0.98(3H,d,J=6.5Hz),1.06(3H,d,J=7.5Hz),1.14-1.77(21H,m),1.90-2.00(1H,m),2.04-2.12(1H,m),2.23(6H,s),2.30(1H,s),2.39-2.47(1H,m),2.59-2.75(2H,m),3.16(1H,s),3.19(1H,dd,J=10.5,7.5Hz),3.30-3.39(2H,m),3.40-3.55(2H,m),3.70-3.82(2H,m),4.27(1H,d,J=7.5Hz),4.30-4.40(3H,m),4.48-4.57(2H,m),5.25(1H,dd,J=11,2.5Hz),6.85(1H,d,J=7.5Hz),7.32-7.52(4H,m),7.75-7.82(1H,m),8.27-8.33(1H,m) HR-MS m/z 760.45071 [Calcd.for C ₂₁ H ₂₄ N ₂ O ₁₁ (M ⁺):760.45101]
65		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.87(3H,t,J=7.5Hz),1.02(3H,d,J=7.5Hz),1.08(3H,d,J=7.5Hz),1.15-1.80(20H,m),1.90-2.00(1H,m),2.08-2.16(1H,m),2.24(6H,s),2.38(1H,s),2.40-2.48(1H,m),2.58-2.74(2H,m),3.18(1H,s),3.21(1H,dd,J=10,7.5Hz),3.35-3.41(2H,m),3.42-3.56(2H,m),3.71-3.85(3H,m),4.22-4.33(3H,m),4.37(1H,s),4.40-4.53(2H,m),5.27(1H,dd,J=11,2.5Hz),7.16(1H,d,J=2.5Hz),7.20(1H,dd,J=8,5,2.5Hz),7.34(1H,td,J=8,1Hz),7.44(1H,td,J=8,1Hz),7.71-7.80(3H,m) HR-MS m/z 760.45020 [Calcd.for C ₂₁ H ₂₄ N ₂ O ₁₁ (M ⁺):760.45101]

Reference example	R ³	Description and physical properties
66		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.85(3H, t, J=7.5Hz), 1.01(3H, d, J=7.5Hz), 1.08(3H, d, J=8Hz), 1.15–1.84(25H, m), 1.87–2.00(1H, m), 2.05–2.15(1H, m), 2.24(6H, s), 2.43–2.51(1H, m), 2.60–2.80(6H, m), 3.16(1H, s), 3.22(1H, dd, J=10.5, 7.5Hz), 3.34–3.40(1H, m), 3.40–3.58(3H, m), 3.69–3.87(3H, m), 4.09–4.20(2H, m), 4.32(1H, s), 4.34–4.44(2H, m), 4.38(1H, d, J=7.5Hz), 5.24(1H, dd, J=11, 2.5Hz), 6.66(1H, d, J=8Hz), 6.71(1H, d, J=8Hz), 7.06(1H, t, J=8Hz)</p> <p>HR-MS m/z 764.48230 [Calcd. for C₂₁H₂₈N₂O₁₁(M⁺): 764.48231]</p>
67		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.86(3H, t, J=7.5Hz), 1.03(3H, d, J=6.5Hz), 1.09(3H, d, J=7.5Hz), 1.16–1.82(24H, m), 1.87–2.00(1H, m), 2.08–2.17(1H, m), 2.25(6H, s), 2.36(1H, s), 2.43–2.50(1H, m), 2.58–2.81(6H, m), 3.17(1H, s), 3.23(1H, dd, J=10.5, 7.5Hz), 3.39(1H, d, J=4.5Hz), 3.45(1H, d, J=2.5Hz), 3.47–3.59(2H, m), 3.70–3.86(3H, m), 4.06–4.15(2H, m), 4.30–4.43(4H, m), 5.25(1H, dd, J=11, 2.5Hz), 6.64(1H, d, J=2.5Hz), 6.69(1H, dd, J=8.5, 2.5Hz), 6.99(1H, d, J=8.5Hz)</p> <p>HR-MS m/z 764.48142 [Calcd. for C₂₁H₂₈N₂O₁₁(M⁺): 764.48231]</p>
68		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84, 0.85 (total 3H, each t, J=7.5Hz), 0.99, 1.00 (total 3H, each d, J=6.5Hz), 1.08, 1.09 (total 3H, each d, J=7.5Hz), 1.14–1.73(19H, m), 1.90–2.00(1H, m), 2.09–2.20(1H, m), 2.20–2.40(1H, m), 2.25(6H, s), 2.38(1H, s), 2.43–2.53(1H, m), 2.59–2.73(2H, m), 2.90–3.00(1H, m), 3.15, 3.17 (total 1H, each s), 3.20–3.34(2H, m), 3.35–3.64(4H, m), 3.68–3.87(3H, m), 4.11–4.32(3H, m), 4.39(1H, d, J=7.5Hz), 4.90–5.07(1H, m), 5.20–5.30(1H, m), 6.73–6.89(2H, m), 7.05–7.20(2H, m)</p> <p>HR-MS m/z 723.44292 [Calcd. for C₂₀H₂₅N₂O₁₁(M⁺+1): 723.44319]</p>
69		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84, 0.86 (total 3H, each t, J=7Hz), 1.05, 1.06 (total 3H, each d, J=6.5Hz), 1.09, 1.11 (total 3H, each d, J=7.5Hz), 1.16–2.07(23H, m), 2.09–2.33(1H, m), 2.25(6H, s), 2.36–2.53(2H, m), 2.58–2.95(4H, m), 3.15, 3.16 (total 1H, each s), 3.20–3.28(1H, m), 3.35–3.46(1H, m), 3.46–3.67(3H, m), 3.72–3.91(3H, m), 4.17–4.34(4H, m), 4.38(1H, d, J=7.5Hz), 5.22, 5.28 (total 1H, each dd, J=11, 2.5Hz), 6.80–6.94(2H, m), 7.00–7.19(2H, m)</p> <p>HR-MS m/z 736.45127 [Calcd. for C₂₀H₂₅N₂O₁₁(M⁺): 736.45101]</p>

Reference example	R ³	Description and physical properties
70		colorless amorphous solid NMR spectrum δ (CDCl ₃) ppm: 0.85(3H, t, J=7.5 Hz), 1.05, 1.06 (total 3H, each d, J=7.5 Hz), 1.08, 1.09 (total 3H, each d, J=7.5 Hz), 1.15–1.75(21H, m), 1.87–2.00(1H, m), 2.04–2.16(1H, m), 2.25(6H, s), 2.35–2.40(1H, m), 2.43–2.52(1H, m), 2.60–2.76(2H, m), 3.13(1H, s), 3.20–3.28(1H, m), 3.42–3.64(4H, m), 3.70–3.90(2H, m), 3.98–4.46(7H, m), 5.18–5.27(1H, m), 6.80–7.00(4H, m) HR-MS m/z 739.43839 [Calcd. for C ₃₈ H ₄₂ N ₂ O ₁₂ (M ⁺): 739.43810]
71		colorless amorphous solid NMR spectrum δ (CDCl ₃) ppm: 0.20–0.30(2H, m), 0.51–0.60(2H, m), 0.84(3H, t, J=7.5 Hz), 1.00–1.72(21H, m), 1.06(3H, d, J=6.5 Hz), 1.10(3H, d, J=7.5 Hz), 1.88–2.00(1H, m), 2.08–2.16(1H, m), 2.25(6H, s), 2.33(1H, s), 2.43–2.52(1H, m), 2.60–2.73(2H, m), 3.17(1H, s), 3.24(1H, dd, J=10.5, 7.5 Hz), 3.41(1H, d, J=5 Hz), 3.44–3.64(3H, m), 3.70–3.92(5H, m), 4.40(1H, d, J=7.5 Hz), 4.42(1H, s), 5.23(1H, dd, J=11, 2.5 Hz) HR-MS m/z 644.42528 [Calcd. for C ₂₃ H ₃₀ N ₂ O ₁₀ (M ⁺): 644.42480]
72		colorless amorphous solid NMR spectrum δ (CDCl ₃) ppm: 0.85(3H, t, J=7.5 Hz), 0.94–1.77(30H, m), 1.05(3H, d, J=6.5 Hz), 1.10(3H, d, J=7.5 Hz), 1.88–1.98(1H, m), 2.07–2.13(1H, m), 2.25(6H, s), 2.30(1H, s), 2.44–2.50(1H, m), 2.60–2.71(2H, m), 3.17(1H, s), 3.24(1H, dd, J=10.5, 7.5 Hz), 3.43(1H, d, J=4.5 Hz), 3.50–3.62(3H, m), 3.67(1H, s), 3.68–3.75(1H, m), 3.78–3.90(4H, m), 4.40(1H, d, J=7.5 Hz), 4.43(1H, s), 5.23(1H, dd, J=11, 2.5 Hz) HR-MS m/z 686.47084 [Calcd. for C ₃₃ H ₄₈ N ₂ O ₁₀ (M ⁺): 686.47175]
73		pale yellow amorphous solid NMR spectrum δ (CDCl ₃) ppm: 0.85(3H, t, J=7.5 Hz), 0.87–1.75(33H, m), 1.05(3H, d, J=6.5 Hz), 1.10(3H, d, J=7.5 Hz), 1.89–1.99(1H, m), 2.07–2.14(1H, m), 2.25(6H, s), 2.32(1H, s), 2.44–2.52(1H, m), 2.60–2.72(2H, m), 3.18(1H, s), 3.24(1H, dd, J=10.7, 7.5 Hz), 3.43–3.48(1H, m), 3.50–3.62(3H, m), 3.65–3.75(2H, m), 3.83(1H, brs), 4.04–4.10(2H, m), 4.40(1H, d, J=7.5 Hz), 4.42(1H, s), 5.23(1H, dd, J=11, 2.5 Hz) HR-MS m/z 700.48745 [Calcd. for C ₃₇ H ₅₈ N ₂ O ₁₀ (M ⁺): 700.48740]

Reference example	R ³	Description and physical properties
74		pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.80–1.80(35H,m),0.85(3H,t,J=7.5Hz),1.05(3H,d,J=6.5Hz),1.10(3H,d,J=7.5Hz),1.88–2.00(1H,m),2.06–2.15(1H,m),2.25(6H,s),2.33(1H,s),2.43–2.53(1H,m),2.58–2.72(2H,m),3.17(1H,s),3.24(1H,dd,J=10,7.5Hz),3.40–3.76(6H,m),3.82(1H,brs),3.92–4.07(2H,m),4.40(1H,d,J=7.5Hz),4.42(1H,s),5.23(1H,dd,J=11,2.5Hz) HR-MS m/z 714.50347 [Calcd.for C ₃₃ H ₅₀ N ₂ O ₁₀ (M ⁺):714.50305]
75		pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),1.00–1.80(24H,m),1.05(3H,d,J=6.5Hz),1.10(3H,d,J=7.5Hz),1.88–2.00(1H,m),2.16–2.33(2H,m),2.25(6H,s),2.42–2.52(1H,m),2.58–2.75(2H,m),3.08(1H,s),3.24(1H,dd,J=10.5,7.5Hz),3.35(1H,s),3.46–3.72(3H,m),3.76–3.98(3H,m),4.18–4.30(2H,m),4.40(1H,d,J=7.5Hz),4.56(1H,d,J=17Hz),4.66(1H,d,J=17Hz),5.24(1H,dd,J=11,2.5Hz) HR-MS m/z 676.41267 [Calcd.for C ₃₃ H ₅₀ N ₂ O ₁₂ (M ⁺):676.41463]



Reference example	R ³	Description and physical properties
76		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.96(3H,d,J=6.5Hz),1.09(3H,d,J=7.5Hz),1.11–1.83(31H,m),1.90–2.02(1H,m),2.09–2.18(1H,m),2.25(6H,s),2.41–2.50(1H,m),2.52–2.60(1H,m),2.61–2.71(1H,m),2.97(3H,s),3.24(1H,dd,J=10,7Hz),3.30(1H,s),3.45–3.59(3H,m),3.63–3.92(6H,m),4.37(1H,d,J=7.5Hz),4.68(1H,s),5.22(1H,dd,J=11,2.5Hz) HR-MS m/z 700.48766 [Calcd.for C ₃₇ H ₅₈ N ₂ O ₁₀ (M ⁺):700.48740]

Reference Example 77: 5-O-Desosaminy-6-O-methylerythronolide A 9-[O-(1-methoxycyclohexyl)oxime]

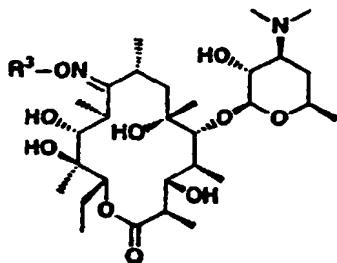
[0049] To a mixture of 1.10 g of 5-O-desosaminy-6-O-methylerythronolide A 9-oxime and 0.32 g of pyridinium

hydrochloride in 11 ml of dichloromethane, a solution of 1.4 ml of 1,1-dimethoxycyclohexane in 4 ml of dichloromethane was added dropwise at room temperature with stirring, and the reaction mixture was stirred at room temperature for 19 hours and then refluxed for 18 hours. The reaction mixture was added with water, and the mixture was made alkaline with saturated aqueous sodium hydrogencarbonate solution and extracted with diethyl ether. The extract was washed with saturated brine, dried over sodium sulfate, and the solvent was removed under reduced pressure. The residue was purified by column chromatography (silica gel, ethyl acetate:methanol:aqueous ammonia = 20:1:0.1 → 10:1:0.1) and the resulting solid was washed with diisopropyl ether to give 0.37 g of a colorless amorphous solid.

NMR spectrum δ (CDCl₃) ppm: 0.84 (3H, t, J=7.5Hz), 0.99 (3H, d, J=7.5Hz), 1.10 (3H, d, J=7.5Hz), 1.07-2.02 (31H, m), 2.09-2.20 (1H, m), 2.25 (6H, s), 2.43-2.52 (1H, m), 2.57-2.72 (2H, m), 3.00 (3H, s), 3.21 (3H, s), 3.24 (1H, dd, J=10.5, 7.5Hz), 3.33 (1H, s), 3.48-3.60 (3H, m), 3.68-3.93 (4H, m), 4.38 (1H, d, J=7.5Hz), 4.54 (1H, s), 5.23 (1H, dd, J=11, 2.5Hz)

HR-MS m/z 716.47970 [Calcd. for C₃₇H₆₈N₂O₁₁ (M⁺): 716.48231]

[0050] Compounds of Reference Examples 78 through 80 were obtained in the same manner as that described in Reference Example 77.



Reference example	R ³	Description and physical properties
78		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84(3H,t,J=7.5Hz), 1.00–2.00(34H,m), 1.06(3H,d,J=6.5Hz), 1.10(3H,d,J=7.5Hz), 2.08–2.15(1H,m), 2.29(6H,s), 2.32(1H,s), 2.48–2.55(1H,m), 2.60–2.68(1H,m), 2.68–2.74(1H,m), 3.23(1H,s), 3.25(1H,dd,J=10.5,7.5Hz), 3.35(1H,brs), 3.45(2H,qd,J=7.5,2Hz), 3.50–3.62(3H,m), 3.67(1H,s), 3.71–3.89(1H,m), 3.83(1H,brs), 4.41(1H,d,J=7.5Hz), 4.54(1H,s), 5.24(1H,dd,J=11.2,5Hz)</p> <p>HR-MS m/z 716.48180</p> <p>[Calcd. for C₃₇H₆₈N₂O₁₁ (M⁺): 716.48231]</p>
79		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84(3H,t,J=7.5Hz), 1.02–2.05(38H,m), 1.06(3H,d,J=6.5Hz), 1.10(3H,d,J=7.5Hz), 2.06–2.18(1H,m), 2.30(6H,s), 2.32(1H,s), 2.50–2.77(3H,m), 3.21(1H,brs), 3.26(1H,dd,J=10.7,5Hz), 3.35(1H,brs), 3.50–3.70(4H,m), 3.72–3.80(1H,m), 4.00–4.09(1H,m), 4.42(1H,d,J=7.5Hz), 4.45(1H,s), 5.23(1H,dd,J=11.5,2Hz)</p> <p>HR-MS m/z 730.49242</p> <p>[Calcd. for C₃₈H₇₀N₂O₁₁ (M⁺): 730.49796]</p>
80		<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84(3H,t,J=7.5Hz), 1.00–2.00(31H,m), 1.07(3H,d,J=7.5Hz), 1.10(3H,d,J=7.5Hz), 2.08–2.13(1H,m), 2.26(6H,s), 2.31(1H,s), 2.44–2.52(1H,m), 2.60–2.68(1H,m), 2.69–2.75(1H,m), 3.20(3H,s), 3.22(1H,s), 3.25(1H,dd,J=10.7,5Hz), 3.42(1H,d,J=5Hz), 3.49–3.64(3H,m), 3.67(1H,s), 3.70–3.81(1H,m), 3.83(1H,brs), 4.41(1H,d,J=8Hz), 4.50(1H,s), 5.23(1H,dd,J=11.2,5Hz)</p> <p>HR-MS m/z 702.46524</p> <p>[Calcd. for C₃₆H₆₆N₂O₁₁ (M⁺): 702.46666]</p>

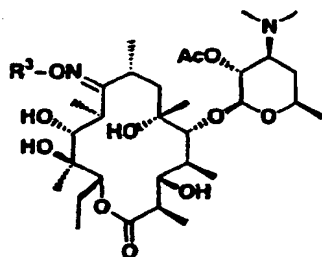
Reference Example 81: 2'-O-Acetyl-5-O-desosaminylerthronolide A 9-[O-(phenethyl)oxime]

[0051] To a solution of 3.25 g of 5-O-desosaminylerthronolide A 9-[O-(phenethyl)oxime] in 40 ml of acetone, 0.53 ml of acetic anhydride was added at room temperature with stirring, and the reaction mixture was stirred at room temperature for 4 hours. The reaction mixture was concentrated under reduced pressure, and the residue was added with water. The reaction mixture was made alkaline with saturated aqueous sodium hydrogencarbonate solution and extracted with dichloromethane. The extract was washed with water, dried over sodium sulfate, and the solvent was removed under reduced pressure to give 3.45 g of a pale yellow amorphous solid.

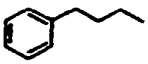
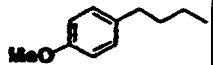
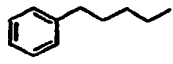
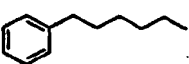
NMR spectrum δ (CDCl₃) ppm: 0.84 (3H, t, J=7.5Hz), 0.91 (3H, d, J=7.5Hz), 0.99 (3H, d, J=6.5Hz), 1.12-1.52 (19H, m), 1.70-1.76 (2H, m), 1.90-2.00 (1H, m), 2.06 (3H, s), 2.05-2.14 (1H, m), 2.28 (6H, s), 2.61-2.68 (2H, m), 2.73-2.83 (1H, m), 2.94 (2H, t, J=6.5Hz), 3.16 (1H, brs), 3.42-3.60 (5H, m), 3.68 (1H, s), 4.26 (2H, m), 4.46 (1H, brs), 4.56 (1H, d, J=7.5Hz), 4.77 (1H, dd, J=10.5, 8Hz), 5.24 (1H, dd, J=11, 2.5Hz), 7.17-7.31 (5H, m)

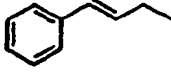
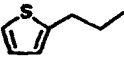
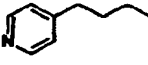
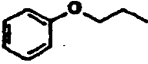
HR-MS m/z 736.45008 [Calcd. for C₃₉H₆₄N₂O₁₁ (M⁺): 736.45101]

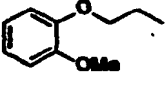
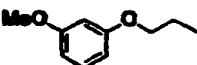
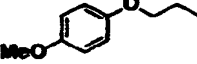
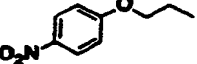
[0052] Compounds of Reference Examples 82 through 123 were obtained in the same manner as that described in Reference Example 81.

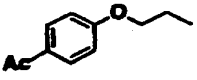
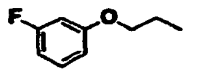
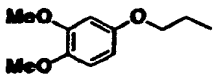
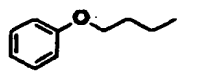


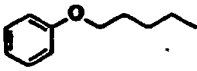
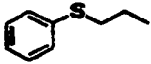
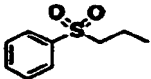
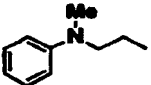
Reference example	R ³	Description and physical properties
82		colorless amorphous solid NMR spectrum δ (CDCl ₃) ppm: 0.84(3H, t, J=7.5Hz), 0.92(3H, d, J=7.5Hz), 0.99(3H, d, J=6.5Hz), 1.13-1.76(22H, m), 1.88-2.00(1H, m), 2.03-2.15(1H, m), 2.06(3H, s), 2.25(6H, s), 2.32(3H, s), 2.60-2.74(3H, m), 2.86-2.95(2H, m), 3.16(1H, s), 3.43-3.60(4H, m), 3.68(1H, s), 4.18-4.28(2H, m), 4.47(1H, brs), 4.56(1H, d, J=8Hz), 4.75(1H, dd, J=10.5, 8Hz), 5.24(1H, dd, J=11, 2Hz), 7.07(2H, d, J=8Hz), 7.10(2H, d, J=8Hz) HR-MS m/z 750.46681 [Calcd. for C ₄₀ H ₆₈ N ₂ O ₁₁ (M ⁺): 750.46666]
83		colorless amorphous solid NMR spectrum δ (CDCl ₃) ppm: 0.84(3H, t, J=7.5Hz), 0.92(3H, d, J=7.5Hz), 1.00(3H, d, J=7.5Hz), 1.10-1.78(22H, m), 1.89-2.00(1H, m), 2.06(3H, s), 2.06-2.14(1H, m), 2.26(6H, s), 2.60-2.75(3H, m), 2.88(2H, t, J=7Hz), 3.15(1H, s), 3.41-3.61(4H, m), 3.68(1H, s), 3.79(3H, s), 4.15-4.27(2H, m), 4.47(1H, s), 4.56(1H, d, J=7.5Hz), 4.75(1H, dd, J=10.5, 8Hz), 5.24(1H, dd, J=11.5, 2Hz), 6.84(2H, d, J=8.5Hz), 7.10(2H, d, J=8.5Hz) HR-MS m/z 766.46244 [Calcd. for C ₄₀ H ₆₈ N ₂ O ₁₂ (M ⁺): 766.46158]
84		colorless amorphous solid NMR spectrum δ (CDCl ₃) ppm: 0.84, 0.85 (total 3H, each t, J=7.5 Hz), 0.90, 0.91 (total 3H, each d, J=7.5 Hz), 0.92, 0.96 (total 3H, each d, J=7.5 Hz), 1.05-1.85(25H, m), 1.88-2.00(1H, m), 2.00-2.17(1H, m), 2.05, 2.06 (total 3H, each s), 2.25, 2.26 (total 6H, each s), 2.56-2.78(3H, m), 3.06-3.20(2H, m), 3.36-3.60(4H, m), 3.65, 3.67 (total 1H, each s), 4.03-4.20(2H, m), 4.43, 4.49 (total 1H, each s), 4.55(1H, d, J=8Hz), 4.74, 4.75 (total 1H, each dd, J=10.5, 8Hz), 5.23, 5.24 (total 1H, each dd, J=11, 2.5Hz), 7.16-7.33(5H, m) HR-MS m/z 750.46653 [Calcd. for C ₄₀ H ₆₈ N ₂ O ₁₁ (M ⁺): 750.46666]

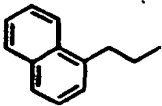
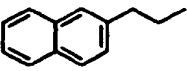
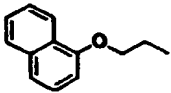
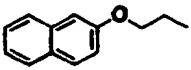
Reference example	R ³	Description and physical properties
85		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,d,J=7.5Hz),1.04(3H,d,J=7.5Hz),1.10-1.89(21H,m),1.90-2.00(3H,m),2.01-2.08(1H,m),2.06(3H,s),2.09-2.18(1H,m),2.26(6H,s),2.60-2.77(5H,m),3.15(1H,brs),3.42-3.59(3H,m),3.61-3.70(2H,m),4.00-4.10(2H,m),4.47(1H,s),4.58(1H,d,J=8Hz),4.77(1H,dd,J=10.5,7.5Hz),5.24(1H,dd,J=11,2.5Hz),7.13-7.33(5H,m) HR-MS m/z 750.46426 [Calcd.for C ₄₀ H ₃₈ N ₂ O ₁₁ (M ⁺):750.46666]
86		pale brown amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,d,J=7.5Hz),1.04(3H,d,J=7.5Hz),1.13-1.75(21H,m),1.87-2.00(3H,m),2.03(1H,s),2.06(3H,s),2.10-2.18(1H,m),2.26(6H,s),2.54-2.77(5H,m),3.14(1H,s),3.43-3.60(3H,m),3.61-3.72(2H,m),3.79(3H,s),4.00-4.09(2H,m),4.46(1H,s),4.57(1H,d,J=7.5Hz),4.76(1H,dd,J=10.5,8Hz),5.24(1H,dd,J=11,2.5Hz),6.84(2H,d,J=8.5Hz),7.09(2H,d,J=8.5Hz) HR-MS m/z 780.47994 [Calcd.for C ₄₁ H ₃₈ N ₂ O ₁₂ (M ⁺):780.47723]
87		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,d,J=7.5Hz),1.02(3H,d,J=6.5Hz),1.10-1.80(25H,m),1.90-2.00(2H,m),2.06(3H,s),2.10-2.15(1H,m),2.26(6H,s),2.60-2.75(5H,m),3.14(1H,s),3.40-3.58(3H,m),3.60-3.70(2H,m),3.95-4.10(2H,m),4.47(1H,s),4.57(1H,d,J=8Hz),4.76(1H,dd,J=10.5,7.5Hz),5.23(1H,dd,J=11,2Hz),7.10-7.30(5H,m) HR-MS m/z 764.48182 [Calcd.for C ₄₁ H ₃₈ N ₂ O ₁₁ (M ⁺):764.48231]
88		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,d,J=7.5Hz),1.01(3H,d,J=8.5Hz),1.12-1.74(27H,m),1.90-1.98(1H,m),2.00(1H,s),2.06(3H,s),2.08-2.15(1H,m),2.26(6H,s),2.58-2.74(5H,m),3.15(1H,s),3.43-3.67(5H,m),3.96-4.05(2H,m),4.48(1H,s),4.58(1H,d,J=8Hz),4.76(1H,dd,J=10.5,8Hz),5.23(1H,dd,J=11,2.5Hz),7.13-7.20(3H,m),7.24-7.30(2H,m) HR-MS m/z 778.49789 [Calcd.for C ₄₂ H ₃₈ N ₂ O ₁₁ (M ⁺):778.49796]

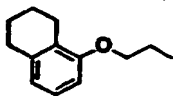
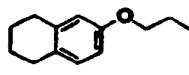
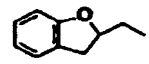
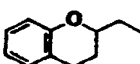
Reference example	R ³	Description and physical properties
89		pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.85(3H,t,J=7.5Hz), 0.93(3H,d,J=7.5Hz), 1.05(3H,d,J=6.5Hz), 1.11-1.81(21H,m), 1.90-1.99(2H,m), 2.06(3H,s), 2.10-2.18(1H,m), 2.26(6H,s), 2.62-2.75(3H,m), 3.16(1H,s), 3.42-3.56(3H,m), 3.65-3.73(2H,m), 4.49(1H,s), 4.57(1H,d,J=8Hz), 4.63-4.73(2H,m), 4.76(1H,dd,J=10.5,7.5Hz), 5.25(1H,dd,J=11.2,5Hz), 6.28(1H,dt,J=16.6Hz), 6.62(1H,d,J=16Hz), 7.23-7.28(1H,m), 7.34(2H,t,J=7.5Hz), 7.40(2H,d,J=7.5Hz) HR-MS m/z 748.45012 [Calcd. for C ₁₀ H ₁₀ N ₂ O ₁₁ (M ⁺): 748.45101]
90		pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.84(3H,t,J=7.5Hz), 0.92(3H,d,J=7.5Hz), 1.02(3H,d,J=6.5Hz), 1.12-1.80(21H,m), 1.90-2.16(3H,m), 2.06(3H,s), 2.26(6H,s), 2.60-2.77(3H,m), 3.09-3.24(3H,m), 3.41-3.55(3H,m), 3.58-3.72(2H,m), 4.18-4.32(2H,m), 4.41(1H,s), 4.56(1H,d,J=7.5Hz), 4.76(1H,dd,J=10.5,7.5Hz), 5.24(1H,dd,J=11.2,5Hz), 6.83(1H,d,J=2.5Hz), 6.93(1H,dd,J=5.5,3.5Hz), 7.15(1H,dd,J=5.5,1Hz) HR-MS m/z 742.40582 [Calcd. for C ₁₇ H ₁₈ N ₂ O ₁₁ S(M ⁺): 742.40743]
91		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.85(3H,t,J=7.5Hz), 0.94(3H,d,J=7.5Hz), 1.05(3H,d,J=7.5Hz), 1.10-1.75(21H,m), 1.87-2.01(4H,m), 2.06(3H,s), 2.07-2.16(1H,m), 2.26(6H,s), 2.61-2.75(5H,m), 3.13(1H,s), 3.42-3.57(3H,m), 3.58-3.70(2H,m), 4.00-4.10(2H,m), 4.39(1H,s), 4.58(1H,d,J=7.5Hz), 4.76(1H,dd,J=10.5,7.5Hz), 5.23(1H,dd,J=11.2,5Hz), 7.07-7.15(2H,m), 8.46-8.54(2H,m) HR-MS m/z 751.46166 [Calcd. for C ₁₀ H ₁₀ N ₃ O ₁₁ (M ⁺): 751.46191]
92		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.85(3H,t,J=7.5Hz), 0.92(3H,d,J=7.5Hz), 1.01(3H,d,J=7.5Hz), 1.14-1.73(21H,m), 1.90-2.01(1H,m), 2.05(3H,s), 2.08(1H,s), 2.09-2.17(1H,m), 2.25(6H,s), 2.60-2.74(3H,m), 3.14(1H,s), 3.40-3.54(3H,m), 3.64-3.75(1H,m), 3.77(1H,s), 4.09-4.20(2H,m), 4.35-4.44(3H,m), 4.53(1H,d,J=8Hz), 4.74(1H,dd,J=10.5,7.5Hz), 5.25(1H,dd,J=11.2,5Hz), 6.93(2H,d,J=8Hz), 6.97(1H,t,J=7.5Hz), 7.30(2H,dd,J=8,7.5Hz) HR-MS m/z 752.44287 [Calcd. for C ₁₉ H ₂₄ N ₂ O ₁₂ (M ⁺): 752.44593]

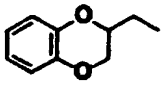
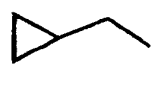
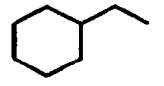
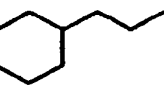
Reference example	R ²	Description and physical properties
93		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84(3H,t,J=7.5Hz), 0.92(3H,d,J=7.5Hz), 1.00(3H,d,J=7.5Hz), 1.10–1.85(21H,m), 1.90–1.99(1H,m), 2.05(3H,s), 2.10–2.18(2H,m), 2.25(6H,s), 2.60–2.73(3H,m), 3.15(1H,s), 3.38–3.51(3H,m), 3.65–3.74(1H,m), 3.76(1H,s), 3.84(3H,s), 4.13–4.23(2H,m), 4.34–4.44(3H,m), 4.54(1H,d,J=7.5Hz), 4.75(1H,dd,J=10.5,8Hz), 5.24(1H,dd,J=11.5,2Hz), 6.86–6.99(4H,m)</p> <p>HR-MS m/z 782.45772 [Calcd. for C₄₀H₄₈N₂O₁₃(M⁺): 782.45649]</p>
94		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84(3H,t,J=7.5Hz), 0.92(3H,d,J=7.5Hz), 1.01(3H,d,J=7.5Hz), 1.10–1.87(22H,m), 1.89–2.00(1H,m), 2.06(3H,s), 2.08–2.17(1H,m), 2.25(6H,s), 2.60–2.75(3H,m), 3.15(1H,s), 3.40–3.50(3H,m), 3.63–3.73(1H,m), 3.75(1H,s), 3.80(3H,s), 4.07–4.18(2H,m), 4.33–4.43(3H,m), 4.54(1H,d,J=7.5Hz), 4.75(1H,dd,J=10.5,8Hz), 5.23(1H,dd,J=11.2Hz), 6.45–6.56(3H,m), 7.20(1H,t,J=8Hz)</p> <p>HR-MS m/z 782.45422 [Calcd. for C₄₀H₄₈N₂O₁₃(M⁺): 782.45649]</p>
95		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.85(3H,t,J=7.5Hz), 0.92(3H,d,J=7.5Hz), 1.02(3H,d,J=7.5Hz), 1.08–1.76(21H,m), 1.90–2.00(1H,m), 2.05(3H,s), 2.11–2.20(2H,m), 2.26(6H,s), 2.60–2.76(3H,m), 3.14(1H,s), 3.40–3.52(3H,m), 3.64–3.75(1H,m), 3.77(3H,s), 3.79(1H,s), 4.00–4.06(1H,m), 4.08–4.14(1H,m), 4.32–4.38(2H,m), 4.42(1H,s), 4.53(1H,d,J=8Hz), 4.75(1H,dd,J=10.5,7.5Hz), 5.26(1H,dd,J=11.2,5Hz), 6.86(2H,d,J=9Hz), 6.89(2H,d,J=9Hz)</p> <p>HR-MS m/z 782.45786 [Calcd. for C₄₀H₄₈N₂O₁₃(M⁺): 782.45649]</p>
96		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.85(3H,t,J=7.5Hz), 0.91(3H,d,J=7.5Hz), 1.02(3H,d,J=6.5Hz), 1.13–1.80(21H,m), 1.85(1H,s), 1.90–2.00(1H,m), 2.03–2.13(1H,m), 2.05(3H,s), 2.25(6H,s), 2.59–2.75(3H,m), 3.11(1H,s), 3.27–3.53(3H,m), 3.60–3.70(1H,m), 3.76(1H,s), 4.15–4.30(2H,m), 4.35(1H,s), 4.38–4.60(2H,m), 4.52(1H,d,J=8Hz), 4.73(1H,dd,J=10.5,7.5Hz), 5.24(1H,dd,J=11.5,2Hz), 7.01(2H,d,J=9Hz), 8.24(2H,d,J=9Hz)</p> <p>HR-MS m/z 797.43345 [Calcd. for C₃₉H₄₃N₃O₁₄(M⁺): 797.43101]</p>

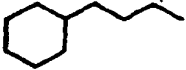

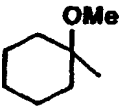
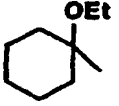
Reference example	R ³	Description and physical properties
97		pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.85(3H, t, J=7.5Hz), 0.91(3H, d, J=7.5Hz), 1.01(3H, d, J=6.5Hz), 1.10–1.76(21H, m), 1.88–2.00(2H, m), 2.05–2.14(1H, m), 2.05(3H, s), 2.25(6H, s), 2.57(3H, s), 2.60–2.73(3H, m), 3.12(1H, s), 3.32–3.50(3H, m), 3.62–3.72(1H, m), 3.75(1H, s), 4.16–4.28(2H, m), 4.36(1H, s), 4.37–4.48(2H, m), 4.52(1H, d, J=8Hz), 4.74(1H, dd, J=10.5, 8Hz), 5.24(1H, dd, J=11.5, 2Hz), 6.97(2H, d, J=9Hz), 7.96(2H, d, J=9Hz) HR-MS m/z 794.45599 [Calcd. for C ₄₁ H ₄₀ N ₂ O ₁₂ (M ⁺): 794.45649]
98		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.85(3H, t, J=7.5Hz), 0.92(3H, d, J=8Hz), 1.01(3H, d, J=6.5Hz), 1.08–1.80(21H, m), 1.90–2.00(1H, m), 2.02(1H, s), 2.06(3H, s), 2.07–2.17(1H, m), 2.27(6H, s), 2.60–2.78(3H, m), 3.13(1H, s), 3.36–3.54(3H, m), 3.62–3.72(1H, m), 3.75(1H, s), 4.07–4.19(2H, m), 4.27–4.44(3H, m), 4.54(1H, d, J=7.5Hz), 4.75(1H, dd, J=10.5, 8Hz), 5.24(1H, dd, J=11.2, 5Hz), 6.60–6.74(3H, m), 7.18–7.28(1H, m) HR-MS m/z 770.43722 [Calcd. for C ₂₀ H ₁₃ FN ₂ O ₁₂ (M ⁺): 770.43651]
99		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.84(3H, t, J=7.5Hz), 0.91(3H, d, J=7.5Hz), 1.02(3H, d, J=6.5Hz), 1.13–1.80(21H, m), 1.90–2.00(1H, m), 2.05(3H, s), 2.08–2.16(2H, m), 2.25(6H, s), 2.60–2.74(3H, m), 3.16(1H, s), 3.35–3.53(3H, m), 3.65–3.74(1H, m), 3.76(1H, s), 3.84(3H, s), 3.87(3H, s), 4.03–4.17(2H, m), 4.31–4.41(2H, m), 4.48(1H, s), 4.53(1H, d, J=8Hz), 4.74(1H, dd, J=10.5, 7.5Hz), 5.23(1H, dd, J=11.5, 2Hz), 6.43(1H, dd, J=9, 3Hz), 6.55(1H, d, J=3Hz), 6.81(1H, d, J=9Hz) HR-MS m/z 596.34328 [Calcd. for C ₃₁ H ₃₀ NO ₁₀ (M ⁺ -C ₁₀ H ₁₀ NO ₂): 596.34347]
100		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.84(3H, t, J=7.5Hz), 0.93(3H, d, J=7.5Hz), 1.02(3H, d, J=6.5Hz), 1.13–1.75(21H, m), 1.90–2.00(1H, m), 1.91(1H, s), 2.06(3H, s), 2.08–2.17(3H, m), 2.26(6H, s), 2.60–2.76(3H, m), 3.14(1H, s), 3.41–3.55(3H, m), 3.60–3.74(2H, m), 4.04(2H, t, J=6.5Hz), 4.18–4.28(2H, m), 4.43(1H, s), 4.56(1H, d, J=8Hz), 4.76(1H, dd, J=10.5, 7.5Hz), 5.23(1H, dd, J=11.2, 5Hz), 6.90(2H, d, J=8Hz), 6.94(1H, t, J=7.5Hz), 7.28(2H, dd, J=8, 7.5Hz) HR-MS m/z 766.46398 [Calcd. for C ₄₀ H ₃₀ N ₂ O ₁₂ (M ⁺): 766.46158]

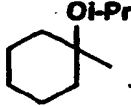
Reference example	R ³	Description and physical properties
101		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,d,J=7.5Hz),1.03(3H,d,J=7.5Hz),1.10-2.00(26H,m),2.02(1H,s),2.06(3H,s),2.07-2.15(1H,m),2.27(6H,s),2.60-2.75(3H,m),3.15(1H,s),3.42-3.51(2H,m),3.54(1H,d,J=3Hz),3.60-3.70(2H,m),3.95-4.05(2H,m),4.11(2H,t,J=8Hz),4.47(1H,s),4.57(1H,d,J=7.5Hz),4.76(1H,dd,J=10.5,8Hz),5.24(1H,dd,J=11,2.5Hz),6.85-7.00(3H,m),7.20-7.35(2H,m) HR-MS m/z 780.47729 [Calcd.for C ₄₁ H ₄₈ N ₂ O ₁₂ (M ⁺):780.47723]
102		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.93(3H,d,J=7.5Hz),1.02(3H,d,J=6.5Hz),1.10-1.80(21H,m),1.90-2.00(1H,m),2.06(3H,s),2.10-2.23(2H,m),2.26(6H,s),2.60-2.75(3H,m),3.05-3.23(3H,m),3.40-3.57(3H,m),3.60-3.70(1H,m),3.74(1H,s),4.10-4.25(2H,m),4.32(1H,s),4.56(1H,d,J=8Hz),4.76(1H,dd,J=10.5,7.5Hz),5.24(1H,dd,J=11,2.5Hz),7.18-7.42(5H,m) HR-MS m/z 768.42186 [Calcd.for C ₂₉ H ₃₄ N ₂ O ₁₁ S(M ⁺):768.42308]
103		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.85(3H,t,J=7.5Hz),0.93(3H,d,J=8Hz),0.97(3H,d,J=7.5Hz),1.10-1.80(21H,m),1.89-1.98(1H,m),2.06(3H,s),2.11-2.18(1H,m),2.26(6H,s),2.37(1H,s),2.63-2.74(3H,m),3.09(1H,s),3.31-3.37(1H,m),3.42-3.57(5H,m),3.75(1H,s),4.20(1H,s),4.28-4.35(1H,m),4.48-4.57(2H,m),4.76(1H,dd,J=10.5,7.5Hz),5.21(1H,dd,J=11.5,2Hz),7.61-7.71(3H,m),7.89-7.93(2H,m) HR-MS m/z 599.36715 [Calcd.for C ₃₁ H ₃₃ NO ₁₀ (M ⁺ -C ₄ H ₁₁ NO ₃ S):599.36695]
104		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.84(3H,t,J=7.5Hz),0.92(3H,d,J=7.5Hz),0.97(3H,d,J=6.5Hz),1.10-1.80(21H,m),1.90-2.00(1H,m),2.04(1H,s),2.06(3H,s),2.06-2.15(1H,s),2.26(6H,s),2.60-2.75(3H,m),2.94(3H,s),3.14(1H,s),3.40-3.65(6H,m),3.71(1H,s),4.22(2H,t,J=5.5Hz),4.41(1H,s),4.55(1H,d,J=8Hz),4.75(1H,dd,J=10.5,8Hz),5.24(1H,dd,J=11,2Hz),6.70-6.80(3H,m),7.20-7.30(2H,m) HR-MS m/z 765.47899 [Calcd.for C ₄₀ H ₄₇ N ₃ O ₁₁ (M ⁺):765.47756]

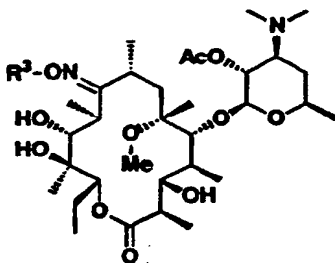
Reference example	R ¹	Description and physical properties
105		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.84(3H,t,J=7.5Hz),0.92(3H,d,J=7.5Hz),0.98(3H,d,J=6.5Hz),1.12-1.85(22H,m),1.90-2.00(1H,m),2.06(3H,s),2.08-2.15(1H,m),2.27(6H,s),2.60-2.80(3H,m),3.16(1H,s),3.37-3.62(6H,m),3.70(1H,s),4.31-4.46(2H,m),4.46(1H,s),4.56(1H,d,J=7.5Hz),4.78(1H,dd,J=10.5,8Hz),5.24(1H,dd,J=11.5,2Hz),7.34(1H,d,J=6.5Hz),7.40(1H,t,J=7.5Hz),7.44-7.57(2H,m),7.74(1H,d,J=8Hz),7.86(1H,d,J=7.5Hz),8.04(1H,d,J=8.5Hz)</p> <p>HR-MS m/z 786.46419 [Calcd.for C₂₃H₂₈N₂O₁₁(M⁺):786.46666]</p>
106		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.85(3H,t,J=7.5Hz),0.89(3H,d,J=8Hz),0.97(3H,d,J=7.5Hz),1.04-1.78(22H,m),1.89-2.00(1H,m),2.01-2.12(1H,m),2.04(3H,s),2.26(6H,s),2.60-2.75(3H,m),3.11(2H,t,J=7Hz),3.16(1H,s),3.38(1H,d,J=3.5Hz),3.40-3.60(3H,m),3.69(1H,s),4.30-4.40(2H,m),4.49(1H,s),4.52(1H,d,J=8Hz),4.73(1H,dd,J=10.8Hz),5.25(1H,dd,J=11.5,2Hz),7.34(1H,dd,J=8,1.5Hz),7.40-7.50(2H,m),7.63(1H,s),7.74-7.82(3H,m)</p> <p>HR-MS m/z 570.34164 [Calcd.for C₂₃H₂₈NO₇(M⁺-C₁₀H₁₈NO₇):570.37468]</p>
107		<p>pale brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.85(3H,t,J=7.5Hz),0.89(3H,d,J=8Hz),0.96(3H,d,J=6.5Hz),1.10-1.78(21H,m),1.85-2.00(1H,m),2.01(1H,s),2.04(3H,s),2.04-2.14(1H,m),2.24(6H,s),2.57-2.75(3H,m),3.15(1H,s),3.30-3.45(3H,m),3.64-3.77(2H,m),4.27-4.40(2H,m),4.42-4.58(4H,m),4.71(1H,dd,J=10.5,7.5Hz),5.24(1H,dd,J=11.2,5Hz),6.86(1H,d,J=7.5Hz),7.35-7.53(4H,m),7.75-7.83(1H,m),8.25-8.32(1H,m)</p> <p>HR-MS m/z 802.46379 [Calcd.for C₂₃H₂₈N₂O₁₂(M⁺):802.46158]</p>
108		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.86(3H,t,J=7.5Hz),0.90(3H,d,J=8Hz),1.01(3H,d,J=6.5Hz),1.09-1.80(21H,m),1.91-2.01(1H,m),2.05(3H,s),2.07(1H,s),2.09-2.17(1H,m),2.25(6H,s),2.59-2.73(3H,m),3.15(1H,s),3.33-3.47(3H,m),3.66-3.75(1H,m),3.80(1H,s),4.21-4.32(2H,m),4.41-4.53(4H,m),4.73(1H,dd,J=10.5,8Hz),5.27(1H,dd,J=11.2Hz),7.17(1H,d,J=2.5Hz),7.20(1H,dd,J=8.5,2.5Hz),7.31-7.36(1H,m),7.40-7.46(1H,m),7.72-7.80(3H,m)</p> <p>HR-MS m/z 802.45977 [Calcd.for C₂₃H₂₈N₂O₁₂(M⁺):802.46158]</p>

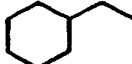
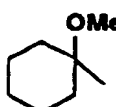
Reference example	R ³	Description and physical properties
109		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.85(3H, t, J=7.5Hz), 0.91(3H, d, J=7.5Hz), 0.99(3H, d, J=6.5Hz), 1.13–1.83(25H, m), 1.90–2.00(2H, m), 2.05(3H, s), 2.08–2.16(1H, m), 2.25(6H, s), 2.59–2.82(7H, m), 3.14(1H, s), 3.40–3.53(3H, m), 3.62–3.77(2H, m), 4.08–4.19(2H, m), 4.33–4.42(2H, m), 4.43(1H, s), 4.53(1H, d, J=7.5Hz), 4.74(1H, dd, J=10.5, 7.5Hz), 5.24(1H, dd, J=11.5, 2Hz), 6.66(1H, d, J=7.5Hz), 6.71(1H, d, J=7.5Hz), 7.06(1H, t, J=7.5Hz)</p> <p>HR-MS m/z 806.49277 [Calcd. for C₂₃H₂₆N₂O₁₂(M⁺): 806.49288]</p>
110		<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.85(3H, t, J=7.5Hz), 0.92(3H, d, J=7.5Hz), 1.01(3H, d, J=6.5Hz), 1.13–1.82(24H, m), 1.88–2.01(2H, m), 2.06(3H, s), 2.09(1H, s), 2.10–2.18(1H, m), 2.26(6H, s), 2.60–2.81(7H, m), 3.15(1H, s), 3.40–3.52(3H, m), 3.63–3.74(1H, m), 3.76(1H, s), 4.05–4.16(2H, m), 4.30–4.39(2H, m), 4.42(1H, s), 4.54(1H, d, J=8Hz), 4.75(1H, dd, J=10.5, 7.5Hz), 5.24(1H, dd, J=11.2, 5Hz), 6.63(1H, d, J=2.5Hz), 6.69(1H, d, J=8.5, 2.5Hz), 6.98(1H, d, J=8.5Hz)</p> <p>HR-MS m/z 590.37072 [Calcd. for C₂₃H₂₂NO₈(M⁺-C₁₀H₁₈NO₄): 590.36929]</p>
111		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84, 0.85(total 3H, each t, J=7.5 Hz), 0.92, 0.93(total 3H, each d, J=7.5Hz), 0.95–1.02(3H, m), 1.13–1.77(21H, m), 1.90–2.00(1H, m), 2.06(3H, s), 2.11–2.23(2H, m), 2.26(6H, s), 2.80–2.75(3H, m), 2.87–2.97(1H, m), 3.12, 3.16(total 1H, each s), 3.24–3.35(1H, m), 3.43–3.58(3H, m), 3.61–3.73(1H, m), 3.78, 3.82(total 1H, each s), 4.10–4.42(3H, m), 4.56(1H, d, J=8Hz), 4.73–4.81(1H, m), 4.90–5.03(1H, m), 5.20–5.30(1H, m), 6.74–6.90(2H, m), 7.06–7.18(2H, m)</p> <p>HR-MS m/z 765.45230 [Calcd. for C₄₀H₄₃N₂O₁₂(M⁺+1): 765.45375]</p>
112		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84, 0.85(total 3H, each t, J=7.5 Hz), 0.93, 0.94(total 3H, each d, J=7.5Hz), 1.00–1.06(3H, m), 1.15–1.85(24H, m), 1.90–2.03(2H, m), 2.06, 2.07(total 3H, each s), 2.26(6H, s), 2.60–2.94(5H, m), 3.13(1H, s), 3.40–3.57(3H, m), 3.67–3.88(2H, m), 4.17–4.38(4H, m), 4.56, 4.57(total 1H, each d, J=8Hz), 4.71–4.80(1H, m), 5.19–5.32(1H, m), 6.79–6.93(2H, m), 6.99–7.20(2H, m)</p> <p>HR-MS m/z 778.46089 [Calcd. for C₄₁H₄₆N₂O₁₂(M⁺): 778.46158]</p>

Reference example	R ³	Description and physical properties
113		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.84,0.85(total 3H,each t,J=7.5 Hz),0.90-0.97(3H,m),1.03,1.04(total 3H,each d,J=7Hz),1.13-1.80 (21H,m),1.90-2.00(2H,m),2.06(3H,s),2.10-2.22(1H,m),2.26(6H,s),2.60-2.76(3H,m),3.10,3.11(total 1H,each s),3.42-3.58(3H,m),3.63-3.82(2H,m),3.97-4.35(5H,m),4.36-4.43(1H,m),4.56,4.57(total 1H,each d,J=8Hz),4.72-4.80(1H,m),5.23,5.26(total 1H,each dd,J=11.2,5Hz),6.80-6.98(4H,m)</p> <p>HR-MS m/z 781.44770</p> <p>[Calcd.for C₄₀H₄₅N₂O₁₃(M⁺+1):781.44867]</p>
114		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.20-0.30(2H,m),0.50-0.60(2H,m),0.84(3H,t,J=7.5Hz),0.93(3H,d,J=7.5Hz),1.00-1.80(22H,m),1.04 (3H,d,J=6.5Hz),1.89-2.00(1H,m),2.06(3H,s),2.08(1H,s),2.09-2.20(1H,m),2.26(6H,s),2.60-2.75(3H,m),3.15(1H,s),3.43-3.58(3H,m),3.63-3.75(2H,m),3.79-3.91(2H,m),4.49(1H,s),4.58(1H,d,J=8Hz),4.76(1H,dd,J=10.5,7.5Hz),5.23(1H,dd,J=11.5,2Hz)</p> <p>HR-MS m/z 686.43686</p> <p>[Calcd.for C₃₅H₄₂N₂O₁₁(M⁺):686.43536]</p>
115		<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,d,J=8Hz),1.03(3H,d,J=7.5Hz),0.79-1.83(31H,m),1.89-2.00(1H,m),2.00-2.18(1H,m),2.08(3H,s),2.23-2.38(1H,m),2.30(6H,s),2.60-2.70(2H,m),2.74-2.85(2H,m),3.16(1H,brs),3.43-3.71(5H,m),3.75-3.92(2H,m),4.51(1H,brs),4.60(1H,d,J=8Hz),4.78(1H,dd,J=10.5,8Hz),5.23(1H,dd,J=11.5,2Hz)</p> <p>HR-MS m/z 728.48093</p> <p>[Calcd.for C₃₈H₄₈N₂O₁₁(M⁺):728.48231]</p>
116		<p>pale brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,d,J=8Hz),1.03(3H,d,J=6.5Hz),1.10-1.77(33H,m),1.89-2.00(2H,m),2.02 (1H,s),2.06(3H,s),2.10-2.17(1H,m),2.26(6H,s),2.60-2.77(3H,m),3.15(1H,s),3.43-3.58(3H,m),3.60-3.70(2H,m),4.02-4.10(2H,m),4.50(1H,s),4.58(1H,d,J=7.5Hz),4.76(1H,dd,J=10.5,7.5Hz),5.24(1H,dd,J=11.5,2Hz)</p> <p>HR-MS m/z 742.49867</p> <p>[Calcd.for C₃₉H₅₀N₂O₁₁(M⁺):742.49796]</p>

Reference example	R ³	Description and physical properties
117		pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.80-1.86(36H,m),0.84(3H,t,J=7.5Hz),0.93(3H,d,J=7.5Hz),1.03(3H,d,J=6.5Hz),1.89-1.99(1H,m),2.04(1H,s),2.06(3H,s),2.08-2.17(1H,m),2.26(6H,s),2.58-2.77(3H,m),3.15(1H,s),3.41-3.58(3H,m),3.59-3.71(2H,m),3.89-4.07(2H,m),4.49(1H,s),4.58(1H,d,J=7.5Hz),4.76(1H,dd,J=10.5,8Hz),5.23(1H,dd,J=11,2.5Hz) HR-MS m/z 756.51445 [Calcd.for C ₄₀ H ₇₂ N ₂ O ₁₁ (M ⁺):756.51361]
118	EtO ₂ C 	colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.83(3H,t,J=7.5Hz),0.92(3H,d,J=8Hz),1.04(3H,d,J=6.5Hz),1.09-2.00(25H,m),2.07(3H,s),2.15-2.26(1H,m),2.28(6H,s),2.60-2.71(2H,m),2.72-2.83(1H,m),3.07(1H,brs),3.36(1H,s),3.42-3.62(3H,m),3.69-3.80(1H,m),3.83(1H,brs),3.88(1H,s),4.20-4.51(2H,m),4.56(1H,d,J=17Hz),4.85(1H,d,J=17Hz),4.59(1H,d,J=8Hz),4.79(1H,dd,J=10.5,8Hz),5.23(1H,dd,J=10.5,2Hz) HR-MS m/z 718.42543 [Calcd.for C ₃₅ H ₆₂ N ₂ O ₁₃ (M ⁺):718.42519]
119		pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.83(3H,t,J=7.5Hz),0.93(3H,d,J=7.5Hz),1.05(3H,d,J=7.5Hz),1.16-1.98(31H,m),2.06(3H,s),2.10-2.20(1H,m),2.26(6H,s),2.62-2.75(4H,m),3.19(3H,s),3.20(1H,s),3.44-3.53(2H,m),3.58(1H,d,J=3Hz),3.65-3.80(3H,m),4.58(1H,s),4.59(1H,d,J=6.5Hz),4.77(1H,dd,J=10.5,7.5Hz),5.23(1H,dd,J=11,2Hz) HR-MS m/z 744.47526 [Calcd.for C ₃₃ H ₅₈ N ₂ O ₁₂ (M ⁺):744.47723]
120		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.83(3H,t,J=7.5Hz),0.93(3H,d,J=7.5Hz),1.04(3H,d,J=6.5Hz),1.08-1.84(34H,m),1.90-2.00(1H,m),2.06(3H,s),2.08-2.18(2H,m),2.26(6H,s),2.61-2.75(3H,m),3.21(1H,s),3.38-3.54(4H,m),3.58(1H,d,J=3.5Hz),3.60-3.72(2H,m),4.58(1H,d,J=7.5Hz),4.62(1H,s),4.77(1H,dd,J=10.5,8Hz),5.24(1H,dd,J=11.5,2Hz) HR-MS m/z 631.37918 [Calcd.for C ₃₁ H ₅₅ N ₂ O ₁₁ (M ⁺ -C ₈ H ₁₅ O):631.38059]

Reference example	R ³	Description and physical properties
121		pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.83(3H,t,J=7.5Hz), 0.94(3H,d,J=7.5Hz), 1.00-2.00(38H,m), 1.05(3H,d,J=6.5Hz), 2.07(3H,s), 2.10-2.18(1H,m), 2.22-2.32(1H,m), 2.26(6H,s), 2.60-2.77(3H,m), 3.20(1H,s), 3.41-3.55(2H,m), 3.58(1H,d,J=3Hz), 3.63-3.75(2H,m), 4.00-4.08(1H,m), 4.52(1H,s), 4.59(1H,d,J=7.5Hz), 4.77(1H,dd,J=10.5,8Hz), 5.24(1H,dd,J=11.5,2Hz) HR-MS m/z 632.38805 [Calcd. for C ₃₁ H ₅₈ N ₂ O ₁₁ (M ⁺ +1-C ₈ H ₁₇ O): 632.38841]



Reference example	R ³	Description and physical properties
122		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.84(3H,t,J=7.5Hz), 0.91(3H,d,J=7.5Hz), 0.95(3H,d,J=7.5Hz), 1.10-2.02(32H,m), 2.05(3H,s), 2.08-2.15(1H,m), 2.26(6H,s), 2.49-2.58(1H,m), 2.61-2.74(2H,m), 2.95(3H,s), 3.30(1H,s), 3.40-3.52(2H,m), 3.60-3.86(6H,m), 4.59(1H,d,J=8Hz), 4.72(1H,s), 4.75(1H,dd,J=10.5,7.5Hz), 5.21(1H,dd,J=11.2,5Hz) HR-MS m/z 742.49972 [Calcd. for C ₃₉ H ₇₀ N ₂ O ₁₁ (M ⁺): 742.49796]
123		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.83(3H,t,J=7.5Hz), 0.92(3H,d,J=7.5Hz), 0.98(3H,d,J=7.5Hz), 1.13-2.00(32H,m), 2.06(3H,s), 2.07-2.16(1H,m), 2.26(6H,s), 2.55-2.75(3H,m), 2.97(3H,s), 3.21(3H,s), 3.32(1H,s), 3.42-3.53(2H,m), 3.68-3.82(3H,m), 4.58(1H,s), 4.60(1H,d,J=8Hz), 4.76(1H,dd,J=10.5,8Hz), 5.22(1H,dd,J=11.2,5Hz) HR-MS m/z 758.49189 [Calcd. for C ₃₉ H ₇₀ N ₂ O ₁₂ (M ⁺): 758.49288]

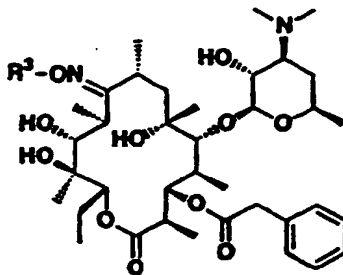
Example 1: 5-O-Desosaminyl-3-O-phenylacetylerythronolide A 9-[O-(1-methoxycyclohexyl)oxime]

[0053] To a solution of 1.11 g of phenylacetic acid and 1.2 ml of triethylamine in 40 ml of dichloromethane, 1.0 ml of pivaloyl chloride was added dropwise under ice-cooling with stirring, and the reaction mixture was stirred at the same temperature for 1 hour. To the reaction mixture, 2.2 ml of pyridine and a solution of 2.00 g of 2'-O-acetyl-5-O-desosaminylerthronolide A 9-[O-(1-methoxycyclohexyl)oxime] in 15 ml of dichloromethane were successively added dropwise under ice-cooling with stirring, and the reaction mixture was stirred at room temperature for 1 day. The reaction mixture was added with ice-water, and the mixture was made alkaline with saturated aqueous sodium hydrogencarbonate solution and extracted with dichloromethane. The extract was washed with water, dried over sodium sulfate, and the solvent was removed under reduced pressure. The residue was purified by column chromatography (silica gel, dichloromethane:methanol = 33:1) to give 1.38 g of yellowish brown viscous oil. A solution of 1.20 g of the resulting yellowish brown viscous oil in 50 ml of methanol was stirred at room temperature for 1 day. The reaction mixture was concentrated under reduced pressure, and the residue was purified by column chromatography (silica gel, dichloromethane:methanol = 100:1 → 50:3) to give 0.72 g of a colorless amorphous solid.

NMR spectrum δ (CDCl₃) ppm: 0.80 (3H, t, J=7.5Hz), 0.86 (3H, d, J=6.5Hz), 1.03 (3H, d, J=6.5Hz), 1.12-1.98 (32H, m), 2.22-2.31 (1H, m), 2.30 (6H, s), 2.33-2.42 (1H, m), 2.60 (1H, brs), 2.64-2.72 (1H, m), 2.75-2.85 (1H, m), 3.04-3.21 (3H, m), 3.19 (3H, s), 3.50 (1H, d, J=4.5Hz), 3.64-3.78 (2H, m), 3.65 (1H, d, J=14.5Hz), 3.71 (1H, d, J=14.5Hz), 3.96 (1H, d, J=7.5Hz), 4.57 (1H, s), 5.14 (1H, d, J=10.5Hz), 5.20 (1H, dd, J=11, 2.5Hz), 7.24-7.38 (5H, m)

HR-MS m/z 820.50550 [Calcd. for C₄₄H₇₂N₂O₁₂ (M⁺): 820.50853]

[0054] Compounds of Examples 2 and 3 were obtained in the same manner as that described in Example 1.



Example	R ³	Description and physical properties
2		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.80(3H, t, J=7.5Hz), 0.87(3H, d, J=6.5Hz), 1.02(3H, d, J=7.5Hz), 1.11–1.96(35H, m), 2.22–2.40(2H, m), 2.28(6H, s), 2.64–2.70(1H, m), 2.76–2.84(1H, m), 3.06–3.13(1H, m), 3.14–3.20(2H, m), 3.28(1H, brs), 3.41–3.48(3H, m), 3.65(1H, d, J=15Hz), 3.66–3.73(2H, m), 3.71(1H, d, J=15Hz), 3.97(1H, d, J=7.5Hz), 4.61(1H, s), 5.15(1H, d, J=11Hz), 5.21(1H, dd, J=11.5, 2Hz), 7.23–7.39(5H, m)</p> <p>HR-MS m/z 707.40590 [Calcd. for C₃₇H₅₉N₂O₁₁ (M⁺-C₈H₁₅O): 707.41189]</p>
3		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.79(3H, t, J=7.5Hz), 0.85(3H, d, J=7.5Hz), 1.03(3H, d, J=6.5Hz), 1.08–1.98(38H, m), 2.22–2.36(1H, m), 2.32(6H, s), 2.41–2.50(1H, m), 2.64–2.86(2H, m), 3.04–3.14(1H, m), 3.21(1H, dd, J=10.5, 7.5Hz), 3.50(1H, d, J=4.5Hz), 3.66(1H, d, J=15.5Hz), 3.63–3.77(3H, m), 3.71(1H, d, J=15.5Hz), 3.98(1H, d, J=7.5Hz), 4.00–4.09(1H, m), 4.51(1H, s), 4.90(1H, brs), 5.14(1H, d, J=11Hz), 5.21(1H, dd, J=11, 2.5Hz), 7.22–7.39(5H, m)</p> <p>HR-MS m/z 707.41310 [Calcd. for C₃₇H₅₉N₂O₁₁ (M⁺-C₈H₁₇O): 707.41189]</p>

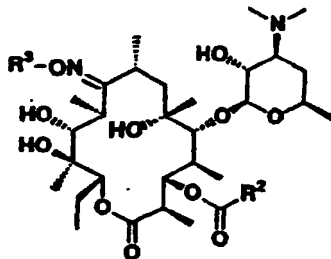
Example 4: 5-O-Desosaminyl-3-O-phenylacetyl-6-O-methylerythronolide A 9-[O-(1-methoxycyclohexyl)oxime]

[0055] To a solution of 0.05 g of phenylacetic acid and 0.03 ml of oxalyl chloride in 0.6 ml of dichloromethane, 1 drop of N,N-dimethylformamide was added with stirring at room temperature. Then, the reaction mixture was stirred at room temperature for 30 minutes, and concentrated under reduced pressure. To a solution of 0.10 g of 2'-O-acetyl-5-O-desosaminyl-6-O-methylerythronolide A 9-[O-(1-methoxycyclohexyl)oxime] and 0.09 ml of pyridine in 0.8 ml of dichloromethane, a solution of the resulting residue in 2.5 ml of dichloromethane was added dropwise at room temperature with stirring, and the reaction mixture was stirred at room temperature for 1 hour. The reaction mixture was added with ice-water, and the mixture was made alkaline with saturated aqueous sodium hydrogencarbonate solution and extracted with diethyl ether. The extract was washed with water, dried over sodium sulfate, and the solvent was removed under reduced pressure. A solution of the resulting residue in 4 ml of methanol was stirred at room temperature for 20 hours. The reaction mixture was concentrated under reduced pressure, and the residue was added with ice-water. The mixture was made alkaline with saturated aqueous sodium hydrogencarbonate solution and extracted with diethyl ether. The extract was washed with water, dried over sodium sulfate, and the solvent was removed under reduced pressure. The residue was purified by column chromatography (silica gel, dichloromethane:methanol = 20:1) to give 0.05 g of a colorless amorphous solid.


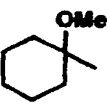
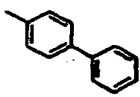
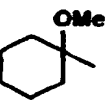
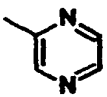
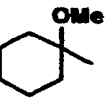
NMR spectrum δ (CDCl₃) ppm: 0.80 (3H, t, J=7.5Hz), 0.89 (3H, d, J=6.5Hz), 0.97 (3H, d, J=7.5Hz), 1.00-2.00 (31H, m), 2.10-2.39 (2H, m), 2.28 (6H, s), 2.52-2.64 (1H, m), 2.76-2.88 (1H, m), 2.90-3.35 (4H, m), 3.07 (3H, s), 3.21 (3H,

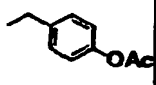
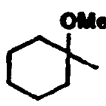
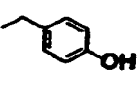
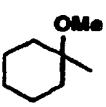
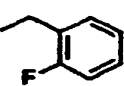
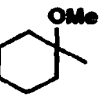
s), 3.37-3.50 (1H, m), 3.67 (1H, d, J=15Hz), 3.73 (1H, d, J=15Hz), 3.68-3.82 (2H, m), 3.90 (1H, d, J=7.5Hz), 4.58 (1H, s), 5.07 (1H, d, J=11Hz), 5.20 (1H, dd, J=11, 2Hz), 7.17-7.40 (5H, m)
 HR-MS m/z 721.42800 [Calcd. for C₃₈H₆₁N₂O₁₁ (M⁺-C₇H₁₃O): 721.42754]

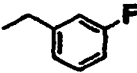
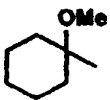
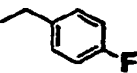
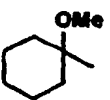
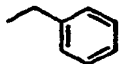

5 [0056] Compounds of Examples 5 through 22 were obtained in the same manner as that described in Example 4.

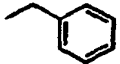
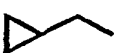
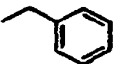
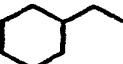
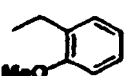
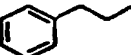


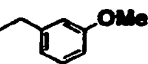
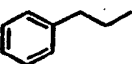
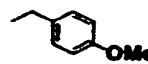
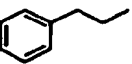
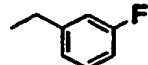
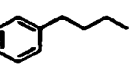
Example	R ²	R ³	Description and physical properties
5	Me		pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.83(3H, t, J=7.5Hz), 1.04 (3H, d, J=7.5Hz), 1.07(3H, d, J=7Hz), 1.08-2.00(33H, m), 2.12 (3H, s), 2.20-2.30(1H, m), 2.28(6H, s), 2.39-2.44(1H, m), 2.65-2.72(1H, m), 2.80-2.86(1H, m), 3.17-3.40(3H, m), 3.20(3H, s), 3.47(1H, d, J=4.5Hz), 3.67-3.77(2H, m), 4.05(1H, d, J=7.5Hz), 4.59(1H, s), 5.12(1H, d, J=11Hz), 5.24(1H, dd, J=11, 2Hz) HR-MS m/z 744.47504 [Calcd. for C ₃₈ H ₆₅ N ₂ O ₁₂ (M ⁺): 744.47723]
6			pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.83(3H, t, J=7.5Hz), 1.04 (3H, d, J=6.5Hz), 1.06(3H, d, J=6.5Hz), 1.10-1.98(35H, m), 2.10-2.36(1H, m), 2.38-2.50(1H, m), 2.40(6H, s), 2.58-2.78(6H, m), 2.82-2.89(1H, m), 3.17-3.30(2H, m), 3.20(3H, s), 3.43(1H, d, J=4.5Hz), 3.44-3.50(1H, m), 3.67-3.76(2H, m), 4.07(1H, d, J=7.5Hz), 4.08-4.20(2H, m), 4.58(1H, s), 5.16(1H, d, J=11Hz), 5.22(1H, dd, J=11, 2.5Hz) HR-MS m/z 717.41938 [Calcd. for C ₃₅ H ₅₁ N ₂ O ₁₃ (M ⁺ -C ₇ H ₁₃ O): 717.41737]

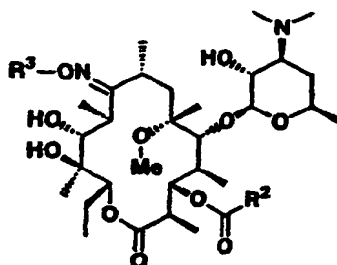
Example	R ²	R ³	Description and physical properties
7			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 1.03(3H,d,J=7.5Hz), 1.05(3H,d,J=6.5Hz), 1.10-2.04(34H,m), 2.2-2.33(1H,m), 2.29(6H,s), 2.34-2.52(5H,m), 2.66-2.72(1H,m), 2.80-2.88(1H,m), 3.17-3.22(2H,m), 3.20(3H,s), 3.34-3.41(1H,m), 3.45(1H,d,J=4.5Hz), 3.62-3.76(3H,m), 3.67(3H,s), 4.02(1H,d,J=7.5Hz), 4.59(1H,s), 5.16(1H,d,J=11Hz), 5.23(1H,dd,J=11,2Hz)</p> <p>HR-MS m/z 717.41800 [Calcd. for C₃₅H₄₁N₂O₁₃(M⁺-C₇H₁₃O): 717.41737]</p>
8			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.80(3H,t,J=7.5Hz), 0.92(3H,d,J=6.5Hz), 1.03(3H,d,J=6.5Hz), 1.08-1.97(32H,m), 2.18-2.29(1H,m), 2.23(6H,s), 2.30-2.40(1H,m), 2.64-2.71(1H,m), 2.78-2.87(1H,m), 3.02-3.12(1H,m), 3.13-3.22(1H,m), 3.17(3H,s), 3.33(1H,brs), 3.45-3.52(1H,m), 3.50(1H,d,J=5Hz), 3.65-3.77(2H,m), 3.97(1H,d,J=7.5Hz), 4.58(1H,s), 5.18(1H,d,J=11Hz), 5.20(1H,dd,J=11.5,2.5Hz), 7.34(1H,t,J=7.5Hz), 7.40-7.47(4H,m), 7.52-7.60(4H,m)</p> <p>HR-MS m/z 590.37892 [Calcd. for C₂₉H₃₄N₂O₁₀(M⁺-C₂₀H₂₀O₂): 590.37785]</p>
9			<p>pale orange amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.85(3H,t,J=7.5Hz), 1.00(3H,d,J=6Hz), 1.05(3H,d,J=7.5Hz), 1.12-2.01(32H,m), 2.15(6H,s), 2.40-2.48(1H,m), 2.49-2.57(1H,m), 2.68-2.74(1H,m), 3.02-3.10(2H,m), 3.17(1H,s), 3.18-3.24(2H,m), 3.22(3H,s), 3.54(1H,d,J=3.5Hz), 3.68-3.78(2H,m), 3.94(1H,d,J=7.5Hz), 4.64(1H,s), 5.28(1H,dd,J=11,2.5Hz), 5.50(1H,d,J=11Hz), 8.77-8.80(2H,m), 9.39(1H,d,J=1Hz)</p> <p>HR-MS m/z 695.38690 [Calcd. for C₃₄H₃₃N₄O₁₁(M⁺-C₇H₁₃O): 695.38674]</p>

Example	R ²	R ³	Description and physical properties
10			<p>yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.80(3H,t,J=7.5Hz),0.88(3H,d,J=6Hz),1.03(3H,d,J=6.5Hz),1.07-2.03(32H,m),2.15-2.38(2H,m),2.28(6H,s),2.29(3H,s),2.60-2.71(1H,m),2.71-2.88(1H,m),3.04-3.23(3H,m),3.20(3H,s),3.30(1H,brs),3.49(1H,d,J=3.5Hz),3.60-3.78(2H,m),3.65(1H,d,J=14.5Hz),3.71(1H,d,J=14.5Hz),3.97(1H,d,J=8Hz),4.58(1H,s),5.15(1H,d,J=11Hz),5.20(1H,d,J=10Hz),7.05(2H,d,J=8Hz),7.37(2H,d,J=8Hz)</p> <p>HR-MS m/z 766.42066 [Calcd.for C₃₀H₄₂N₂O₁₃(M⁺+1-C₇H₁₃O):766.42519]</p>
11			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.81(3H,t,J=7.5Hz),0.91(3H,d,J=6.5Hz),1.03(3H,d,J=6.5Hz),1.06-2.15(33H,m),2.20-2.43(2H,m),2.34(6H,s),2.61-2.72(1H,m),2.77-2.88(1H,m),3.00-3.08(1H,m),3.13-3.26(3H,m),3.19(3H,s),3.48(1H,d,J=4.5Hz),3.60(2H,s),3.67-3.77(2H,m),3.83(1H,d,J=7.5Hz),4.58(1H,s),5.13(1H,d,J=11Hz),5.20(1H,d,J=9Hz),6.81(2H,d,J=8.5Hz),7.22(2H,d,J=8.5Hz)</p> <p>HR-MS m/z 724.41888 [Calcd.for C₃₇H₅₀N₂O₁₂(M⁺+1-C₇H₁₃O):724.41463]</p>
12			<p>colorless needles(recry. solv.: i-Pr₂O)</p> <p>m.p. 212.5-213°C</p> <p>NMR spectrum δ (CDCl₃)ppm:0.81(3H,t,J=7.5Hz),0.97(3H,d,J=6.5Hz),1.04(3H,d,J=6.5Hz),1.09-1.98(32H,m),2.22-2.34(1H,m),2.29(6H,s),2.40-2.50(1H,m),2.63-2.72(1H,m),2.77-2.86(1H,m),3.13-3.23(2H,m),3.19(3H,s),3.28-3.37(2H,m),3.49(1H,d,J=4.5Hz),3.67-3.78(2H,m),3.75(2H,s),4.06(1H,d,J=7.5Hz),4.58(1H,s),5.18(1H,d,J=11.5Hz),5.21(1H,dd,J=11.2Hz),7.02-7.14(2H,m),7.22-7.36(2H,m)</p> <p>Anal.Calcd.for C₄₄H₇₁FN₂O₁₂ (Calcd.) :C,62.99;H,8.53;N,3.34 (Found) :C,62.91;H,8.52;N,3.23</p>

Example	R ²	R ³	Description and physical properties
13			<p>colorless needles(recry. solv.: AcOEt-i-Pr₂O) m.p. 208.5–209.5°C NMR spectrum δ (CDCl₃)ppm:0.81(3H,t,J=7.5Hz),0.80(3H,d,J=6.5Hz),1.04(3H,d,J=7.5Hz),1.10–1.98(32H,m),2.22–2.39(2H,m),2.27(6H,s),2.63–2.72(1H,m),2.77–2.87(1H,m),3.07–3.22(3H,m),3.20(3H,s),3.29(1H,brs),3.48(1H,d,J=5Hz),3.63–3.77(2H,m),3.65(1H,d,J=15.5Hz),3.71(1H,d,J=15.5Hz),3.95(1H,d,J=7.5Hz),4.58(1H,s),5.16(1H,d,J=11Hz),5.21(1H,d,J=8.5Hz),6.97(1H,t,J=8Hz),7.09(1H,d,J=10Hz),7.13(1H,d,J=8Hz),7.29(1H,dd,J=10,8Hz) Anal.Calcd.for C₄₄H₇₁FN₂O₁₂ (Calcd.) :C,62.99;H,8.53;N,3.34 (Found) :C,62.72;H,8.40;N,3.19</p>
14			<p>pale yellow amorphous solid NMR spectrum δ (CDCl₃)ppm:0.80(3H,t,J=7.5Hz),0.87(3H,d,J=6.5Hz),1.04(3H,d,J=8.5Hz),1.08–1.97(32H,m),2.18–2.40(3H,m),2.28(6H,s),2.63–2.72(1H,m),2.76–2.85(1H,m),3.07–3.23(3H,m),3.20(3H,s),3.48(1H,d,J=4.5Hz),3.59–3.77(4H,m),3.95(1H,d,J=7.5Hz),4.57(1H,s),5.15(1H,d,J=11Hz),5.21(1H,dd,J=11,2Hz),7.01(2H,t,J=9Hz),7.32(2H,dd,J=9,5Hz) HR-MS m/z 726.41328 [Calcd.for C₃₇H₅₉FN₂O₁₁(M⁺+1-C₇H₁₃O):726.41029]</p>
15			<p>colorless amorphous solid NMR spectrum δ (CDCl₃)ppm:0.81(3H,t,J=7.5Hz),0.87(3H,d,J=6.5Hz),1.02(3H,d,J=6.5Hz),1.10–1.80(21H,m),1.88–1.99(1H,m),2.17–2.35(1H,m),2.35(6H,s),2.48–2.71(2H,m),2.77–2.87(1H,m),3.00–3.25(3H,m),3.48(1H,d,J=4.5Hz),3.61(1H,s),3.62–3.75(4H,m),3.95(1H,d,J=6.5Hz),4.40(1H,brs),4.52(2H,d,J=6Hz),5.13(1H,d,J=11.5Hz),5.16–5.30(3H,m),5.86–5.99(1H,m),7.13–7.40(5H,m) HR-MS m/z 748.45473 [Calcd.for C₄₁H₆₄N₂O₁₁(M⁺):748.45101]</p>

Example	R ²	R ³	Description and physical properties
16			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.21–0.28(2H,m),0.53–0.60(2H,m),0.81(3H,t,J=7.5Hz),0.87(3H,d,J=6.5Hz),1.02(3H,d,J=6.5Hz),1.04–1.65(21H,m),1.87–1.98(2H,m),2.20–2.40(2H,m),2.28(6H,s),2.62–2.70(1H,m),2.76–2.85(1H,m),3.06–3.14(2H,m),3.17(1H,dd,J=10.5,7.5Hz),3.27(1H,brs),3.48(1H,d,J=4.5Hz),3.64–3.77(2H,m),3.65(1H,d,J=15Hz),3.70(1H,d,J=15Hz),3.81(1H,dd,J=11,7.5Hz),3.89(1H,dd,J=11,7.5Hz),3.97(1H,d,J=7.5Hz),4.49(1H,s),5.16(1H,d,J=11Hz),5.20(1H,dd,J=11,2Hz),7.22–7.38(5H,m)</p> <p>HR-MS m/z 762.46563 [Calcd.for C₄₁H₄₈N₂O₁₁(M⁺):762.46666]</p>
17			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.81(3H,t,J=7.5Hz),0.87(3H,d,J=6.5Hz),0.91–1.99(33H,m),1.01(3H,d,J=6.5Hz),2.22–2.31(1H,m),2.40(6H,s),2.57–2.68(2H,m),2.77–2.85(1H,m),3.00–3.09(1H,m),3.24(1H,dd,J=10.5,7.5Hz),3.48(1H,d,J=5Hz),3.59–3.73(5H,m),3.76–3.90(3H,m),3.96(1H,d,J=7.5Hz),4.49(1H,brs),5.12(1H,d,J=11Hz),5.20(1H,dd,J=11,2Hz),7.16–7.40(5H,m)</p> <p>HR-MS m/z 804.51532 [Calcd.for C₄₄H₇₂N₂O₁₁(M⁺):804.51361]</p>
18			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.82(3H,t,J=7.5Hz),0.97(3H,d,J=6.5Hz),0.99(3H,d,J=6.5Hz),1.04–1.69(21H,m),1.88–1.98(1H,m),2.19–2.29(1H,m),2.29(6H,s),2.41–2.50(1H,m),2.60–2.68(1H,m),2.73–2.83(1H,m),2.94(2H,t,J=6.5Hz),3.14(1H,s),3.18(1H,dd,J=10,7.5Hz),3.27(1H,brs),3.33–3.42(1H,m),3.41(1H,d,J=5Hz),3.55–3.59(1H,m),3.62(1H,d,J=16Hz),3.73(1H,s),3.77(1H,d,J=16Hz),3.82(3H,s),4.08(1H,d,J=7.5Hz),4.23–4.30(2H,m),4.47(1H,s),5.11(1H,d,J=10.5Hz),5.22(1H,d,J=9Hz),6.85–6.93(2H,m),7.15–7.33(7H,m)</p> <p>HR-MS m/z 684.37266 [Calcd.for C₃₈H₅₄NO₁₀(M⁺-C₈H₁₆NO₂):684.37477]</p>

Example	R ²	R ³	Description and physical properties
19			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.91(3H,d,J=6.5Hz), 0.97(3H,d,J=7.5Hz), 1.02–1.99(22H,m), 2.18–2.40(2H,m), 2.27(6H,s), 2.58–2.69(1H,m), 2.77–2.88(1H,m), 2.95(2H,t,J=7Hz), 3.00–3.19(3H,m), 3.39(1H,d,J=5Hz), 3.50–3.61(1H,m), 3.63(1H,d,J=14.5Hz), 3.64–3.83(2H,m), 3.67(1H,d,J=14.5Hz), 3.80(3H,s), 3.91(1H,d,J=6.5Hz), 4.28(2H,td,J=6.5,2Hz), 4.48(1H,s), 5.13(1H,d,J=11Hz), 5.21(1H,d,J=11.2Hz), 6.80(1H,dd,J=9.2Hz), 6.89–6.97(2H,m), 7.17–7.35(6H,m)</p> <p>HR-MS m/z 706.42547 [Calcd. for C₃₈H₄₀NO₁₁(M⁺+1-C₈H₁₁NO): 706.41664]</p>
20			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.89(3H,d,J=6.5Hz), 0.97(3H,d,J=7.5Hz), 1.00–1.60(22H,m), 1.85–2.00(1H,m), 2.12–2.40(2H,m), 2.27(6H,s), 2.57–2.70(1H,m), 2.75–2.90(1H,m), 2.95(2H,t,J=6.5Hz), 3.04–3.21(3H,m), 3.38(1H,d,J=4.5Hz), 3.51–3.88(4H,m), 3.79(3H,s), 3.93(1H,d,J=6.5Hz), 4.18–4.35(2H,m), 4.47(1H,s), 5.12(1H,d,J=10.5Hz), 5.22(1H,dd,J=11.5,2Hz), 6.86(2H,d,J=8.5Hz), 7.15–7.36(7H,m)</p> <p>HR-MS m/z 684.37499 [Calcd. for C₃₈H₅₄NO₁₀(M⁺-C₈H₁₈NO₂): 684.37477]</p>
21			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.91(3H,d,J=6.5Hz), 1.03(3H,d,J=6.5Hz), 1.06–1.68(20H,m), 1.85(1H,brs), 1.86–2.01(3H,m), 2.23–2.32(2H,m), 2.47(6H,s), 2.62–2.90(5H,m), 2.99–3.06(1H,m), 3.06(1H,brs), 3.28(1H,dd,J=10.5,7.5Hz), 3.47(1H,d,J=4.5Hz), 3.61–3.74(4H,m), 3.94(1H,d,J=7.5Hz), 4.00–4.10(2H,m), 4.62(1H,brs), 5.13(1H,d,J=11Hz), 5.21(1H,dd,J=11.5,2Hz), 6.88–7.34(9H,m)</p> <p>HR-MS m/z 671.38336 [Calcd. for C₃₈H₅₄FNO₈(M⁺-C₈H₁₅NO₂): 671.38335]</p>



Example	R ²	R ³	Description and physical properties
22			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.81(3H, t, J=7.5Hz), 0.89(3H, d, J=6.5Hz), 0.95(3H, d, J=6.5Hz), 1.00-1.81(31H, m), 1.89-2.00(1H, m), 2.17-2.37(2H, m), 2.28(6H, s), 2.48-2.57(1H, m), 2.78-2.89(1H, m), 3.00-3.11(1H, m), 3.05(3H, s), 3.15(1H, dd, J=10.5, 7.5Hz), 3.24(1H, brs), 3.28(1H, s), 3.61-3.88(7H, m), 3.90(1H, d, J=7.5Hz), 4.71(1H, s), 5.06(1H, d, J=11Hz), 5.19(1H, dd, J=11.5, 2Hz), 7.18-7.38(5H, m)</p> <p>HR-MS m/z 699.47798</p> <p>[Calcd. for C₃₇H₄₇N₂O₁₀(M⁺-C₈H₇O): 699.47957]</p>

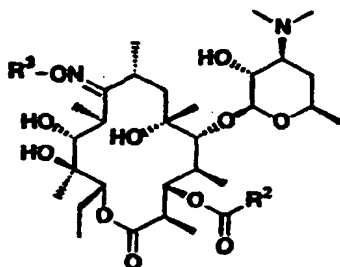
Example 23: 5-O-Desosaminy-3-O-phenylacetylerythronolide A 9-[O-(phenethyl)oxime]

[0057] A mixture of 0.50 g of 2'-O-acetyl-5-O-desosaminylerythronolide A 9-[O-(phenethyl)oxime], 0.28 g of phenylacetic acid, 0.40 g of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 0.11 g of 4-dimethylaminopyridine in 5 ml of dichloromethane was stirred at room temperature for 4 hours. The reaction mixture was added with water, and the mixture was made alkaline with saturated aqueous sodium hydrogencarbonate solution and extracted with dichloromethane. The extract was washed with water, dried over sodium sulfate, and the solvent was removed under reduced pressure. A solution of the resulting residue in 40 ml of methanol was stirred at room temperature for 2.6 days. The reaction mixture was concentrated under reduced pressure and the residue was purified by column chromatography (silica gel, dichloromethane:methanol = 50:1 → 25:1) to give 0.32 g of a pale yellow amorphous solid.

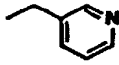
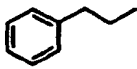
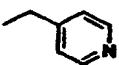
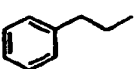

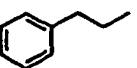
NMR spectrum δ (CDCl₃) ppm: 0.81 (3H, t, J=7.5Hz), 0.88 (3H, d, J=6.5Hz), 0.97 (3H, d, J=7.5Hz), 1.05-1.65 (21H, m), 1.87-1.97 (1H, m), 2.19-2.39 (2H, m), 2.27 (6H, s), 2.60-2.68 (1H, m), 2.76-2.85 (1H, m), 2.95 (2H, t, J=7Hz), 3.06-3.19 (3H, m), 3.26 (1H, brs), 3.39 (1H, d, J=4.5Hz), 3.52-3.61 (1H, m), 3.65 (1H, d, J=14.5Hz), 3.70 (1H, d, J=14.5Hz), 3.72 (1H, s), 3.94 (1H, d, J=7.5Hz), 4.27 (2H, td, J=7, 2Hz), 4.47 (1H, s), 5.13 (1H, d, J=11Hz), 5.22 (1H, dd, J=11, 2Hz), 7.14-7.38 (10H, m)

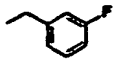
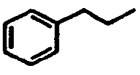
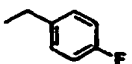
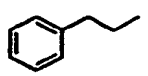
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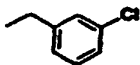
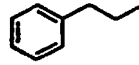
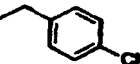
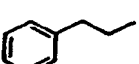
[0058] Compounds of Examples 24 through 202 were obtained in the same manner as that described in Example 23.

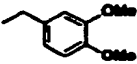
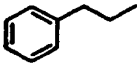
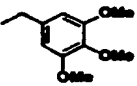
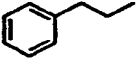
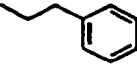
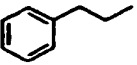


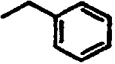
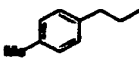
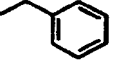
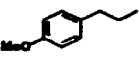
Example	R ²	R ³	Description and physical properties
24			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.86(3H, t, J=7.5Hz), 0.93(3H, d, J=6Hz), 0.97(3H, d, J=7.5Hz), 1.12–1.63(22H, m), 1.68–1.77(1H, m), 1.93–2.03(1H, m), 2.11(6H, s), 2.23–2.33(1H, m), 2.35–2.43(1H, m), 2.63–2.72(1H, m), 2.90–3.06(4H, m), 3.17(1H, s), 3.41(1H, d, J=3.5Hz), 3.53–3.63(1H, m), 3.77(1H, s), 3.86(1H, d, J=7.5Hz), 4.22–4.34(2H, m), 4.51(1H, s), 5.30(1H, dd, J=11.2, 5.5Hz), 5.37(1H, d, J=11Hz), 7.21(2H, d, J=7.5Hz), 7.24(1H, t, J=7.5Hz), 7.32(2H, t, J=7.5Hz), 7.47(2H, t, J=7.5Hz), 7.58(1H, t, J=7.5Hz), 8.15(2H, d, J=7.5Hz)</p> <p>HR-MS m/z 624.35121</p> <p>[Calcd. for C₃₈H₅₀NO₈ (M⁺-C₈H₁₆NO₂): 624.35364]</p>
25			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H, t, J=7.5Hz), 0.97(3H, d, J=6.5Hz), 1.00(3H, d, J=6.5Hz), 1.04–1.67(21H, m), 1.87–1.98(1H, m), 2.20–2.33(1H, m), 2.29(6H, s), 2.56–2.68(2H, m), 2.77–2.88(1H, m), 2.95(2H, t, J=6.5Hz), 3.15(1H, s), 3.18(1H, dd, J=10.5, 7.5Hz), 3.36–3.48(3H, m), 3.53–3.61(1H, m), 3.72(1H, s), 3.90(1H, d, J=16Hz), 3.94(1H, d, J=16Hz), 4.11(1H, d, J=7.5Hz), 4.23–4.31(2H, m), 4.49(1H, s), 5.16(1H, d, J=11Hz), 5.22(1H, dd, J=11.5, 2Hz), 7.17–7.33(6H, m), 7.38(1H, d, J=8Hz), 7.67(1H, td, J=8.2Hz), 8.52(1H, d, J=4.5Hz)</p> <p>HR-MS m/z 655.35798</p> <p>[Calcd. for C₃₈H₅₁N₂O₈ (M⁺-C₈H₁₆NO₂): 655.35946]</p>

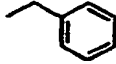
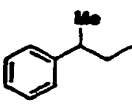

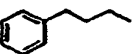
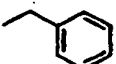
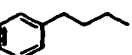
Example	R ²	R ³	Description and physical properties
26			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.92(3H,d,J=6.5Hz), 0.97(3H,d,J=6.5Hz), 1.08–1.69(21H,m), 1.87–2.00(1H,m), 2.20–2.40(2H,m), 2.27(6H,s), 2.59–2.68(1H,m), 2.77–2.87(1H,m), 2.95(2H,t,J=7Hz), 3.10–3.20(3H,m), 3.32(1H,brs), 3.37(1H,d,J=5Hz), 3.50–3.61(1H,m), 3.68(1H,d,J=16Hz), 3.71(1H,s), 3.73(1H,d,J=16Hz), 3.93(1H,d,J=7.5Hz), 4.20–4.33(2H,m), 4.48(1H,s), 5.15(1H,d,J=11Hz), 5.22(1H,dd,J=11.5,2Hz), 7.15–7.33(6H,m), 7.75(1H,d,J=8Hz), 8.54(1H,dd,J=5.2Hz), 8.55(1H,d,J=2Hz)</p> <p>HR-MS m/z 655.35793 [Calcd. for C₃₈H₅₁N₂O₉(M⁺-C₈H₁₈NO₂): 655.35946]</p>
27			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.92(3H,d,J=6.5Hz), 0.97(3H,d,J=7.5Hz), 1.07–1.77(21H,m), 1.89–2.00(1H,m), 2.18–2.33(2H,m), 2.26(6H,s), 2.60–2.70(1H,m), 2.78–2.89(1H,m), 2.95(2H,t,J=6.5Hz), 3.06–3.20(3H,m), 3.30(1H,brs), 3.36(1H,d,J=5Hz), 3.52–3.60(1H,m), 3.67(1H,d,J=15.5Hz), 3.71(1H,s), 3.72(1H,d,J=15.5Hz), 3.91(1H,d,J=7.5Hz), 4.21–4.31(2H,m), 4.48(1H,s), 5.16(1H,d,J=11Hz), 5.22(1H,dd,J=11.5,2Hz), 7.13–7.36(7H,m), 8.57(1H,d,J=4.5Hz), 8.58(1H,d,J=4.5Hz)</p> <p>HR-MS m/z 676.39392 [Calcd. for C₃₈H₅₅N₂O₁₀(M⁺-C₈H₁₁NO): 676.39350]</p>
28			<p>pale brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.97(3H,d,J=6.5Hz), 1.00(3H,d,J=6.5Hz), 1.03–1.78(22H,m), 1.80–1.99(1H,m), 2.21–2.38(2H,m), 2.27(6H,s), 2.61–2.68(1H,m), 2.82–2.92(1H,m), 2.95(2H,t,J=7Hz), 3.07–3.18(3H,m), 3.39(1H,d,J=4.5Hz), 3.53–3.63(1H,m), 3.72(1H,s), 3.87–3.96(3H,m), 4.23–4.33(2H,m), 4.48(1H,s), 5.16(1H,d,J=11Hz), 5.23(1H,dd,J=11.2,5Hz), 6.94–6.98(1H,m), 6.99–7.01(1H,m), 7.16–7.35(6H,m)</p> <p>HR-MS m/z 660.31897 [Calcd. for C₃₅H₅₀NO₉S(M⁺-C₈H₁₈NO₂): 660.32063]</p>

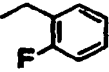
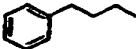
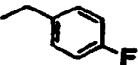
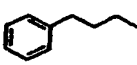
Example	R ²	R ³	Description and physical properties
29			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.91(3H,d,J=6.5Hz), 0.97(3H,d,J=7.5Hz), 1.05-1.70(21H,m), 1.88-1.98(1H,m), 2.18-2.38(2H,m), 2.27(6H,s), 2.60-2.68(1H,m), 2.78-2.87(1H,m), 2.95(2H,t,J=6.5Hz), 3.07-3.18(3H,m), 3.29(1H,brs), 3.38(1H,d,J=5Hz), 3.52-3.61(1H,m), 3.66(1H,d,J=15.5Hz), 3.70(1H,d,J=15.5Hz), 3.72(1H,s), 3.92(1H,d,J=7.5Hz), 4.23-4.30(2H,m), 4.48(1H,s), 5.14(1H,d,J=11.5Hz), 5.22(1H,dd,J=11.5,2Hz), 6.97(1H,td,J=8,2Hz), 7.08(1H,d,J=10Hz), 7.13(1H,d,J=8Hz), 7.16-7.34(6H,m)</p> <p>HR-MS m/z 672.35402 [Calcd. for C₃₇H₃₁FNO₈(M⁺-C₈H₁₈NO₂): 672.35479]</p>
30			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.89(3H,d,J=6.5Hz), 0.97(3H,d,J=7.5Hz), 1.07-1.65(21H,m), 1.87-1.98(1H,m), 2.20-2.40(2H,m), 2.29(6H,s), 2.60-2.69(1H,m), 2.76-2.86(1H,m), 2.91-2.99(2H,m), 3.06-3.20(2H,m), 3.16(1H,dd,J=10.5,7.5Hz), 3.30(1H,s), 3.37(1H,d,J=4.5Hz), 3.52-3.61(1H,m), 3.63(1H,d,J=15Hz), 3.67(1H,d,J=15Hz), 3.72(1H,s), 3.91(1H,d,J=7.5Hz), 4.22-4.32(2H,m), 4.47(1H,s), 5.13(1H,d,J=11Hz), 5.22(1H,dd,J=11.5,2Hz), 6.97-7.06(2H,m), 7.17-7.36(7H,m)</p> <p>HR-MS m/z 656.36110 [Calcd. for C₃₇H₃₁FNO₈(M⁺-C₈H₁₈NO₂): 656.35987]</p>

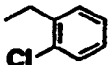
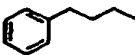
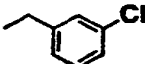
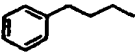
Example	R ²	R ³	Description and physical properties
31			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.94(3H,d,J=6.5Hz), 0.97(3H,d,J=6.5Hz), 1.10–1.67(21H,m), 1.88–1.99(1H,m), 2.20–2.38(2H,m), 2.27(6H,s), 2.59–2.70(1H,m), 2.78–2.88(1H,m), 2.92–3.00(2H,m), 3.05–3.19(3H,m), 3.28(1H,s), 3.37(1H,d,J=4.5Hz), 3.50–3.62(1H,m), 3.64(1H,d,J=15.5Hz), 3.68(1H,d,J=15.5Hz), 3.72(1H,s), 3.90(1H,d,J=7.5Hz), 4.22–4.32(2H,m), 4.47(1H,s), 5.15(1H,d,J=11Hz), 5.22(1H,dd,J=11.5,2Hz), 7.15–7.38(9H,m)</p> <p>HR-MS m/z 672.33032 [Calcd. for C₃₇H₅₁³⁵ClNO₈(M⁺-C₈H₁₈NO₃): 672.33032]</p> <p>HR-MS m/z 674.32699 [Calcd. for C₃₇H₅₁³⁷ClNO₈(M⁺-C₈H₁₈NO₃): 674.32737]</p>
32			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.90(3H,d,J=6.5Hz), 0.97(3H,d,J=7.5Hz), 1.07–1.65(21H,m), 1.88–1.98(1H,m), 2.20–2.36(2H,m), 2.27(6H,s), 2.60–2.67(1H,m), 2.77–2.87(1H,m), 2.92–2.97(2H,m), 2.99–3.07(1H,m), 3.12–3.17(2H,m), 3.28(1H,brs), 3.36(1H,d,J=5Hz), 3.52–3.61(1H,m), 3.62(1H,d,J=15.5Hz), 3.67(1H,d,J=15.5Hz), 3.72(1H,s), 3.89(1H,d,J=7.5Hz), 4.21–4.31(2H,m), 4.47(1H,s), 5.14(1H,d,J=11.5Hz), 5.22(1H,dd,J=11,2.5Hz), 7.15–7.35(9H,m)</p> <p>HR-MS m/z 672.32911 [Calcd. for C₃₇H₅₁³⁵ClNO₈(M⁺-C₈H₁₈NO₃): 672.33032]</p> <p>HR-MS m/z 674.32798 [Calcd. for C₃₇H₅₁³⁷ClNO₈(M⁺-C₈H₁₈NO₃): 674.32737]</p>

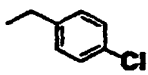
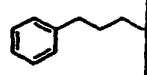
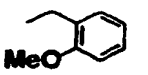
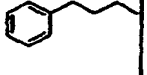
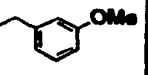
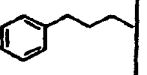
Example	R ²	R ³	Description and physical properties
33			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.89(3H,d,J=6.5Hz), 0.97(3H,d,J=7.5Hz), 1.05–1.72(21H,m), 1.87–1.97(1H,m), 2.17–2.37(2H,m), 2.27(6H,s), 2.60–2.69(1H,m), 2.76–2.85(1H,m), 2.95(2H,t,J=7Hz), 3.02–3.10(1H,m), 3.11–3.19(2H,m), 3.27(1H,brs), 3.39(1H,d,J=5Hz), 3.52–3.68(1H,m), 3.59(1H,d,J=14.5Hz), 3.63(1H,d,J=14.5Hz), 3.72(1H,s), 3.86(3H,s), 3.88(3H,s), 3.92(1H,d,J=6.5Hz), 4.23–4.30(2H,m), 4.47(1H,s), 5.13(1H,d,J=11Hz), 5.22(1H,dd,J=11,2Hz), 6.80(1H,d,J=8.5Hz), 6.87(1H,dd,J=8,2Hz), 6.93(1H,d,J=2Hz), 7.13–7.33(5H,m)</p> <p>HR-MS m/z 714.38516 [Calcd. for C₃₉H₃₉NO₁₁ (M⁺-C₈H₁₈NO₂): 714.38534]</p>
34			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.92(3H,d,J=6.5Hz), 0.97(3H,d,J=6.5Hz), 1.09–1.80(21H,m), 1.87–2.00(1H,m), 2.17–2.38(2H,m), 2.26(6H,s), 2.60–2.70(1H,m), 2.77–2.88(1H,m), 2.95(2H,t,J=6.5Hz), 3.00–3.08(1H,m), 3.10–3.20(2H,m), 3.29(1H,brs), 3.38(1H,d,J=5Hz), 3.52–3.65(3H,m), 3.72(1H,s), 3.82(3H,s), 3.85(6H,s), 3.88(1H,d,J=7.5Hz), 4.27(2H,td,J=6.5,2Hz), 4.48(1H,s), 5.15(1H,d,J=11Hz), 5.22(1H,dd,J=11.5,2Hz), 6.60(2H,s), 7.18–7.24(3H,m), 7.28–7.34(2H,m)</p> <p>HR-MS m/z 728.40166 [Calcd. for C₄₀H₃₉NO₁₁ (M⁺-C₈H₁₈NO₂): 728.40099]</p>
35			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.96(3H,d,J=7.5Hz), 0.97(3H,d,J=8Hz), 1.09–1.80(22H,m), 1.88–2.00(1H,m), 2.18–2.28(1H,m), 2.24(6H,s), 2.31–2.38(1H,m), 2.60–2.75(3H,m), 2.77–2.86(1H,m), 2.90–3.08(4H,m), 3.15(1H,s), 3.16(1H,dd,J=10.5,7.5Hz), 3.22–3.30(1H,m), 3.35(1H,d,J=4.5Hz), 3.53–3.63(1H,m), 3.73(1H,s), 3.98(1H,d,J=7.5Hz), 4.23–4.33(2H,m), 4.49(1H,s), 5.14(1H,d,J=11Hz), 5.24(1H,dd,J=11.5,2Hz), 7.14–7.35(10H,m)</p> <p>HR-MS m/z 668.37951 [Calcd. for C₃₁H₃₄NO₉ (M⁺-C₈H₁₈NO₂): 668.37986]</p>

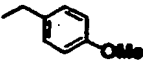
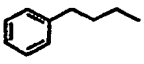
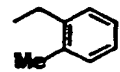
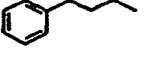
Example	R ²	R ³	Description and physical properties
36			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.88(3H,d,J=6.5Hz), 0.97(3H,d,J=6.5Hz), 1.09–1.71(21H,m), 1.87–1.97(1H,m), 2.20–2.40(2H,m), 2.27(6H,s), 2.33(3H,s), 2.60–2.68(1H,m), 2.77–2.85(1H,m), 2.90(2H,t,J=6.5Hz), 3.04–3.20(3H,m), 3.28(1H,brs), 3.40(1H,d,J=4.5Hz), 3.52–3.63(1H,m), 3.65(1H,d,J=14.5Hz), 3.70(1H,d,J=14.5Hz), 3.72(1H,s), 3.94(1H,d,J=7.5Hz), 4.20–4.32(2H,m), 4.49(1H,s), 5.12(1H,d,J=11Hz), 5.21(1H,dd,J=11,2Hz), 7.09(2H,d,J=8Hz), 7.12(2H,d,J=8Hz), 7.22–7.39(5H,m)</p> <p>HR-MS m/z 668.37731</p> <p>[Calcd. for C₃₈H₃₄NO₉(M⁺-C₈H₁₀NO₂): 668.37986]</p>
37			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.88(3H,d,J=6.5Hz), 0.98(3H,d,J=7.5Hz), 1.05–1.75(21H,m), 1.87–1.99(1H,m), 2.18–2.38(2H,m), 2.27(6H,s), 2.58–2.67(1H,m), 2.76–2.86(1H,m), 2.89(2H,t,J=6.5Hz), 3.04–3.18(3H,m), 3.27(1H,brs), 3.41(1H,d,J=4.5Hz), 3.52–3.62(1H,m), 3.65(1H,d,J=14.5Hz), 3.70(1H,d,J=14.5Hz), 3.73(1H,s), 3.79(3H,s), 3.94(1H,d,J=7.5Hz), 4.17–4.26(2H,m), 4.49(1H,s), 5.14(1H,d,J=11Hz), 5.22(1H,dd,J=11,2.5Hz), 6.86(2H,d,J=8.5Hz), 7.11(2H,d,J=8.5Hz), 7.20–7.38(5H,m)</p> <p>HR-MS m/z 676.40387</p> <p>[Calcd. for C₃₇H₃₈NO₁₀(M⁺+1-C₈H₁₃NO₂): 676.40607]</p>

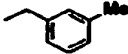
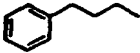
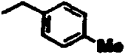

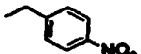
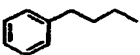
Example	R ²	R ³	Description and physical properties
38			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81, 0.82 (total 3H, each t, J=7.5Hz), 0.87, 0.90 (total 3H, each d, J=6.5Hz), 0.90, 0.93 (total 3H, each d, J=7Hz), 1.00–1.80 (25H, m), 1.86–1.98 (1H, m), 2.15–2.40 (2H, m), 2.28 (6H, s), 2.55–2.66 (1H, m), 2.74–2.85 (1H, m), 3.03–3.20 (3H, m), 3.28 (1H, brs), 3.31, 3.38 (total 1H, each d, J=4.5Hz), 3.40–3.56 (1H, m), 3.60–3.74 (3H, m), 3.92, 3.93 (total 1H, each d, J=7Hz), 4.07–4.20 (2H, m), 4.42, 4.52 (total 1H, each s), 5.10, 5.11 (total 1H, each d, J=10.5Hz), 5.20, 5.22 (total 1H, each dd, J=11.25Hz), 7.17–7.38 (10H, m)</p> <p>HR-MS m/z 826.49594 [Calcd. for C₄₈H₃₆N₂O₁₁ (M⁺): 826.49796]</p>
39			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.86 (3H, t, J=7.5Hz), 0.93 (3H, d, J=6Hz), 1.03 (3H, d, J=6.5Hz), 1.12–1.68 (20H, m), 1.77–1.86 (1H, m), 1.93–2.03 (4H, m), 2.17 (6H, s), 2.23–2.32 (1H, m), 2.38–2.46 (1H, m), 2.63–2.93 (4H, m), 2.97–3.10 (1H, m), 3.06 (1H, dd, J=10.5, 7.5Hz), 3.15 (1H, brs), 3.48 (1H, d, J=4.5Hz), 3.64–3.74 (1H, m), 3.77 (1H, s), 3.90 (1H, d, J=7.5Hz), 4.02–4.13 (2H, m), 4.49 (1H, brs), 5.29 (1H, dd, J=11.5, 2Hz), 5.39 (1H, dd, J=11.1Hz), 7.14–7.32 (5H, m), 7.47 (2H, t, J=7.5Hz), 7.58 (1H, t, J=7.5Hz), 8.16 (2H, d, J=7.5Hz)</p> <p>HR-MS m/z 638.37014 [Calcd. for C₃₇H₃₂NO₉ (M⁺-C₈H₁₆NO₂): 638.36929]</p>
40			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81 (3H, t, J=7.5Hz), 0.87 (3H, d, J=6.5Hz), 1.02 (3H, d, J=6.5Hz), 1.09–1.70 (20H, m), 1.80–2.00 (4H, m), 2.20–2.40 (2H, m), 2.27 (6H, s), 2.61–2.73 (3H, m), 2.76–2.87 (1H, m), 3.05–3.20 (3H, m), 3.29 (1H, s), 3.48 (1H, d, J=4.5Hz), 3.64–3.77 (2H, m), 3.66 (1H, d, J=15Hz), 3.70 (1H, d, J=15Hz), 3.97 (1H, d, J=7.5Hz), 4.05 (2H, t, J=6.5Hz), 4.44 (1H, s), 5.15 (1H, d, J=11Hz), 5.21 (1H, dd, J=11.2Hz), 7.15–7.40 (10H, m)</p> <p>HR-MS m/z 668.38178 [Calcd. for C₃₈H₃₄NO₉ (M⁺-C₈H₁₆NO₂): 668.37986]</p>

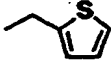
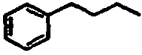
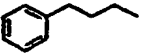
Example	R ²	R ³	Description and physical properties
41			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.98(3H,d,J=6.5Hz), 1.02(3H,d,J=6.5Hz), 1.07-1.70(20H,m), 1.82(1H,s), 1.88-2.02(3H,m), 2.23-2.38(1H,m), 2.31(6H,s), 2.43-2.53(1H,m), 2.62-2.74(3H,m), 2.78-2.89(1H,m), 3.12(1H,s), 3.20(1H,dd,J=10,7.5Hz), 3.25-3.38(2H,m), 3.48(1H,d,J=5Hz), 3.63-3.80(2H,m), 3.75(2H,s), 3.99-4.10(3H,m), 4.43(1H,s), 5.18(1H,d,J=11Hz), 5.22(1H,dd,J=11,2Hz), 7.03-7.14(2H,m), 7.15-7.21(3H,m), 7.22-7.35(4H,m)</p> <p>HR-MS m/z 844.49017 [Calcd. for C₂₈H₂₈FN₂O₁₁(M⁺): 844.48854]</p>
42			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.88(3H,d,J=6.5Hz), 1.02(3H,d,J=6.5Hz), 1.07-1.66(20H,m), 1.85(1H,s), 1.88-2.01(3H,m), 2.20-2.38(2H,m), 2.27(6H,s), 2.62-2.74(3H,m), 2.77-2.86(1H,m), 3.07-3.20(2H,m), 3.17(1H,d,J=10,7.5Hz), 3.30(1H,brs), 3.46(1H,d,J=4.5Hz), 3.62-3.73(2H,m), 3.63(1H,d,J=14.5Hz), 3.67(1H,d,J=14.5Hz), 3.95(1H,d,J=7.5Hz), 4.05(2H,t,J=8.5Hz), 4.44(1H,s), 5.15(1H,d,J=11Hz), 5.21(1H,dd,J=11.5,2Hz), 7.01(2H,t,J=8.5Hz), 7.16-7.22(3H,m), 7.26-7.35(4H,m)</p> <p>HR-MS m/z 686.37071 [Calcd. for C₂₈H₂₅FNO₉(M⁺-C₈H₁₈NO₂): 686.37044]</p>

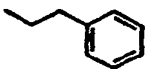
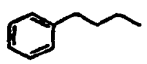
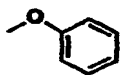
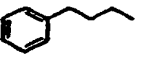
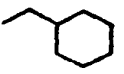

Example	R ²	R ³	Description and physical properties
43			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H, t, J=7.5Hz), 0.97(3H, d, J=6.5Hz), 1.03(3H, d, J=6.5Hz), 1.08-1.73(20H, m), 1.82(1H, s), 1.88-2.02(3H, m), 2.21-2.37(1H, m), 2.30(6H, s), 2.42-2.53(1H, m), 2.60-2.74(3H, m), 2.78-2.88(1H, m), 3.12(1H, s), 3.20(1H, dd, J=10, 7Hz), 3.26-3.39(2H, m), 3.49(1H, d, J=5Hz), 3.63-3.75(2H, m), 3.83(1H, d, J=16Hz), 3.90(1H, d, J=16Hz), 3.96-4.15(2H, m), 4.09(1H, d, J=7.5Hz), 4.43(1H, s), 5.18(1H, d, J=11Hz), 5.21(1H, dd, J=11.5, 2Hz), 7.15-7.32(7H, m), 7.35-7.41(2H, m)</p> <p>HR-MS m/z 686.34335 [Calcd. for C₃₉H₅₃³⁵ClNO₈(M⁺-C₈H₁₈NO₂): 686.34597]</p> <p>HR-MS m/z 688.34518 [Calcd. for C₃₉H₅₃³⁷ClNO₈(M⁺-C₈H₁₈NO₂): 688.34302]</p>
44			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H, t, J=7.5Hz), 0.93(3H, d, J=6.5Hz), 1.02(3H, d, J=6.5Hz), 1.07-1.72(20H, m), 1.85(1H, s), 1.88-2.02(3H, m), 2.20-2.38(2H, m), 2.27(6H, s), 2.61-2.74(3H, m), 2.78-2.88(1H, m), 3.05-3.20(2H, m), 3.17(1H, d, J=10, 7Hz), 3.30(1H, s), 3.46(1H, d, J=5Hz), 3.62-3.74(2H, m), 3.64(1H, d, J=15.5Hz), 3.69(1H, d, J=15.5Hz), 3.93(1H, d, J=7.5Hz), 4.05(2H, t, J=6.5Hz), 4.44(1H, s), 5.17(1H, d, J=11Hz), 5.22(1H, dd, J=11.5, 2Hz), 7.16-7.36(9H, m)</p> <p>HR-MS m/z 702.33925 [Calcd. for C₃₉H₅₃³⁵ClNO₈(M⁺-C₈H₁₈NO₂): 702.34089]</p> <p>HR-MS m/z 704.33663 [Calcd. for C₃₉H₅₃³⁷ClNO₈(M⁺-C₈H₁₈NO₂): 704.33793]</p>

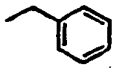
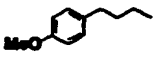
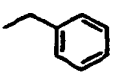
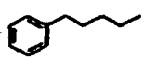
Example	R ²	R ³	Description and physical properties
45			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.82(3H, t, J=7.5Hz), 0.90(3H, d, J=6.5Hz), 1.02(3H, d, J=6.5Hz), 1.07-1.68(20H, m), 1.84(1H, s), 1.88-2.01(3H, m), 2.20-2.38(2H, m), 2.27(6H, s), 2.61-2.74(3H, m), 2.78-2.87(1H, m), 2.98-3.08(1H, m), 3.12(1H, s), 3.18(1H, dd, J=10.5, 7.5Hz), 3.29(1H, brs), 3.45(1H, d, J=4.5Hz), 3.62(1H, d, J=15.5Hz), 3.62-3.75(2H, m), 3.68(1H, d, J=15.5Hz), 3.92(1H, d, J=7.5Hz), 4.05(2H, t, J=6.5Hz), 4.44(1H, s), 5.16(1H, d, J=11Hz), 5.21(1H, dd, J=11.5, 2Hz), 7.16-7.21(3H, m), 7.25-7.32(6H, m)</p> <p>HR-MS m/z 702.34371 [Calcd. for C₃₈H₅₃³⁵ClNO₉ (M⁺-C₈H₁₆NO₂): 702.34089]</p> <p>HR-MS m/z 704.34055 [Calcd. for C₃₈H₅₃³⁷ClNO₉ (M⁺-C₈H₁₆NO₂): 704.33793]</p>
46			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.82(3H, t, J=7.5Hz), 0.98(3H, d, J=7.5Hz), 1.02(3H, d, J=6.5Hz), 1.07-1.70(20H, m), 1.82(1H, s), 1.88-2.01(3H, m), 2.21-2.35(1H, m), 2.30(6H, s), 2.43-2.52(1H, m), 2.61-2.74(3H, m), 2.75-2.85(1H, m), 3.12(1H, s), 3.20(1H, dd, J=10.5, 7.5Hz), 3.28(1H, brs), 3.32-3.42(1H, m), 3.50(1H, d, J=4.5Hz), 3.58-3.86(2H, m), 3.62(1H, d, J=16Hz), 3.78(1H, d, J=16Hz), 3.81(3H, s), 3.99-4.15(2H, m), 4.10(1H, d, J=7.5Hz), 4.44(1H, s), 5.13(1H, d, J=11Hz), 5.21(1H, dd, J=11.5, 2Hz), 6.85-6.94(2H, m), 7.15-7.31(7H, m)</p> <p>HR-MS m/z 698.39138 [Calcd. for C₃₈H₅₈NO₁₀ (M⁺-C₈H₁₆NO₂): 698.39042]</p>
47			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.82(3H, t, J=7.5Hz), 0.91(3H, d, J=6.5Hz), 1.02(3H, d, J=6.5Hz), 1.08-1.62(21H, m), 1.82(1H, s), 1.87-2.00(3H, m), 2.20-2.39(2H, m), 2.28(6H, s), 2.61-2.73(3H, m), 2.76-2.85(1H, m), 3.00-3.20(2H, m), 3.16(1H, dd, J=10.5, 7.5Hz), 3.48(1H, d, J=4.5Hz), 3.60-3.77(2H, m), 3.63(1H, d, J=14.5Hz), 3.67(1H, d, J=14.5Hz), 3.80(3H, s), 3.94(1H, d, J=7.5Hz), 4.01-4.08(2H, m), 4.44(1H, s), 5.15(1H, d, J=10.5Hz), 5.21(1H, dd, J=11.2Hz), 6.76-6.84(1H, m), 6.90-6.98(2H, m), 7.14-7.34(6H, m)</p> <p>HR-MS m/z 682.39485 [Calcd. for C₃₉H₅₈NO₉ (M⁺-C₈H₁₆NO₂): 682.39551]</p>

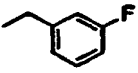
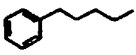
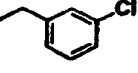
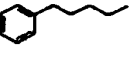
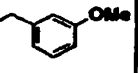

Example	R ²	R ³	Description and physical properties
48			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.88(3H,d,J=6.5Hz), 1.02(3H,d,J=7.5Hz), 1.07–1.62(21H,m), 1.82(1H,s), 1.84–2.00(3H,m), 2.21–2.43(2H,m), 2.29(6H,s), 2.60–2.72(3H,m), 2.77–2.87(1H,m), 3.05–3.20(2H,m), 3.17(1H,d,J=10.5,7.5Hz), 3.47(1H,d,J=4.5Hz), 3.57–3.75(2H,m), 3.59(1H,d,J=15Hz), 3.63(1H,d,J=15Hz), 3.79(3H,s), 3.95(1H,d,J=7.5Hz), 4.01–4.10(2H,m), 4.43(1H,s), 5.14(1H,d,J=10.5Hz), 5.21(1H,dd,J=11.2Hz), 6.85(2H,d,J=8Hz), 7.17–7.32(5H,m), 7.18(2H,d,J=8Hz)</p> <p>HR-MS m/z 683.40421 [Calcd. for C₂₈H₂₇NO₂ (M⁺+1-C₈H₉NO₂): 683.40333]</p>
49			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.84(3H,d,J=6.5Hz), 1.02(3H,d,J=7.5Hz), 1.10–1.67(20H,m), 1.82(1H,s), 1.87–2.00(3H,m), 2.22–2.47(2H,m), 2.31(6H,s), 2.38(3H,s), 2.61–2.74(3H,m), 2.76–2.85(1H,m), 3.12(1H,s), 3.14–3.25(2H,m), 3.30(1H,s), 3.49(1H,d,J=4.5Hz), 3.61–3.76(2H,m), 3.65(1H,d,J=14.5Hz), 3.72(1H,d,J=14.5Hz), 3.99(1H,d,J=6.5Hz), 4.05(2H,t,J=6.5Hz), 4.42(1H,s), 5.14(1H,d,J=11Hz), 5.20(1H,dd,J=11.5,2Hz), 7.12–7.21(5H,m), 7.24–7.31(4H,m)</p> <p>HR-MS m/z 840.51484 [Calcd. for C₄₇H₇₂N₂O₁₁ (M⁺): 840.51361]</p>

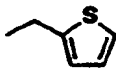

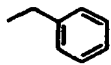

Example	R ²	R ³	Description and physical properties
50			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.82(3H,t,J=7.5Hz),0.90(3H,d,J=6.5Hz),1.02(3H,d,J=7.5Hz),1.07-1.75(20H,m),1.83(1H,s),1.88-2.02(3H,m),2.22-2.39(2H,m),2.28(6H,s),2.34(3H,s),2.62-2.74(3H,m),2.77-2.87(1H,m),3.05-3.20(2H,m),3.18(1H,dd,J=10,7.5Hz),3.27(1H,brs),3.48(1H,d,J=4.5Hz),3.58-3.74(2H,m),3.62(1H,d,J=14.5Hz),3.66(1H,d,J=14.5Hz),3.95(1H,d,J=7.5Hz),4.05(2H,t,J=6.5Hz),4.43(1H,s),5.15(1H,d,J=11Hz),5.21(1H,dd,J=11.5,2Hz),7.05-7.23(7H,m),7.24-7.31(2H,m)</p> <p>HR-MS m/z 840.51489 [Calcd.for C₄₇H₇₂N₂O₁₁(M⁺):840.51361]</p>
51			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.81(3H,t,J=7.5Hz),0.89(3H,d,J=6.5Hz),1.02(3H,d,J=6.5Hz),1.07-1.68(20H,m),1.82(1H,s),1.88-2.03(3H,m),2.22-2.43(2H,m),2.30(6H,s),2.32(3H,s),2.60-2.74(3H,m),2.76-2.87(1H,m),3.02-3.21(3H,m),3.28(1H,brs),3.48(1H,d,J=4.5Hz),3.57-3.75(2H,m),3.60(1H,d,J=15Hz),3.66(1H,d,J=15Hz),3.97(1H,d,J=7.5Hz),4.05(2H,t,J=6.5Hz),4.43(1H,s),5.14(1H,d,J=11Hz),5.21(1H,d,J=11,2.5Hz),7.10-7.31(9H,m)</p> <p>HR-MS m/z 840.51207 [Calcd.for C₄₇H₇₂N₂O₁₁(M⁺):840.51361]</p>
52			<p>pale brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.81(3H,t,J=7.5Hz),0.89(3H,d,J=6.5Hz),1.03(3H,d,J=6.5Hz),1.07-1.73(20H,m),1.85-2.02(4H,m),2.23-2.45(2H,m),2.31(6H,s),2.60-2.74(3H,m),2.76-2.88(1H,m),3.09-3.25(2H,m),3.12(1H,s),3.38(1H,brs),3.46(1H,d,J=4.5Hz),3.62-3.73(2H,m),3.77(1H,d,J=15.5Hz),3.83(1H,d,J=15.5Hz),3.98(1H,d,J=7.5Hz),4.00-4.09(2H,m),4.43(1H,s),5.13-5.27(2H,m),7.13-7.33(5H,m),7.54(2H,d,J=9Hz),8.20(2H,d,J=9Hz)</p> <p>HR-MS m/z 698.37981 [Calcd.for C₃₉H₅₄N₂O₁₀(M⁺+1-C₈H₁₆NO₂):698.37785]</p>


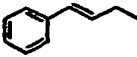
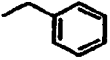
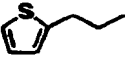
Example	R ²	R ³	Description and physical properties
53			<p>pale yellowish brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.99(3H,d,J=6.5Hz), 1.02(3H,d,J=6.5Hz), 1.07–1.67(20H,m), 1.85(1H,s), 1.88–2.02(3H,m), 2.20–2.37(2H,m), 2.26(6H,s), 2.62–2.74(3H,m), 2.82–2.91(1H,m), 3.07–3.18(2H,m), 3.15(1H,d,J=10.5,7.5Hz), 3.28(1H,brs), 3.48(1H,d,J=5.5Hz), 3.64–3.74(2H,m), 3.92(2H,s), 3.95(1H,d,J=7.5Hz), 4.05(2H,t,J=6.5Hz), 4.45(1H,s), 5.19(1H,d,J=11Hz), 5.23(1H,dd,J=11,2Hz), 6.95(1H,dd,J=5.5,3.5Hz), 6.99(1H,dd,J=3.5,1Hz), 7.15–7.32(6H,m)</p> <p>HR-MS m/z 674.33470 [Calcd. for C₃₈H₃₂NO₉S(M⁺-C₈H₁₈NO₂): 674.33628]</p>
54	Me		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84(3H,t,J=7.5Hz), 1.03(3H,d,J=7.5Hz), 1.08(3H,d,J=6.5Hz), 1.12–1.70(20H,m), 1.87–2.02(4H,m), 2.12(3H,s), 2.22–2.33(1H,m), 2.28(6H,s), 2.38–2.42(1H,m), 2.60–2.75(3H,m), 2.79–2.90(1H,m), 3.13(1H,s), 3.19(1H,dd,J=10.5,7.5Hz), 3.28(1H,brs), 3.31–3.43(1H,m), 3.46(1H,d,J=4.5Hz), 3.63–3.77(2H,m), 3.99–4.10(3H,m), 4.45(1H,s), 5.14(1H,d,J=11Hz), 5.24(1H,dd,J=11,2.5Hz), 7.16–7.21(3H,m), 7.25–7.32(2H,m)</p> <p>HR-MS m/z 750.46652 [Calcd. for C₄₀H₃₈N₂O₁₁(M⁺): 750.46666]</p>

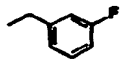
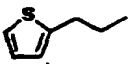
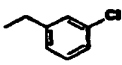
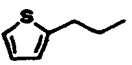
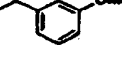
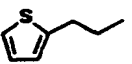
Example	R ²	R ³	Description and physical properties
55			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.95(3H,d,J=6.5Hz), 1.03(3H,d,J=7.5Hz), 1.08-1.70(21H,m), 1.87(1H,s), 1.89-2.02(3H,m), 2.19-2.39(2H,m), 2.25(6H,s), 2.60-2.78(5H,m), 2.78-2.87(1H,m), 2.90-3.07(2H,m), 3.13(1H,s), 3.18(1H,dd,J=10.5,7.5Hz), 3.21-3.33(1H,m), 3.44(1H,d,J=4.5Hz), 3.62-3.76(2H,m), 3.98-4.10(2H,m), 4.01(1H,d,J=7.5Hz), 4.45(1H,s), 5.17(1H,d,J=11Hz), 5.23(1H,dd,J=11.5,2Hz), 7.16-7.23(6H,m), 7.25-7.32(4H,m)</p> <p>HR-MS m/z 840.51434 [Calcd. for C₄₇H₇₂N₂O₁₁(M⁺): 840.51361]</p>
56			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.86(3H,t,J=7.5Hz), 1.05(3H,d,J=6.5Hz), 1.08-1.80(20H,m), 1.13(3H,d,J=6Hz), 1.88-2.05(4H,m), 2.20-2.50(7H,m), 2.51-2.75(4H,m), 2.95-3.05(1H,m), 3.12(1H,s), 3.20-3.43(3H,m), 3.59(1H,d,J=4.5Hz), 3.65-3.75(2H,m), 4.07(2H,t,J=8.5Hz), 4.30(1H,d,J=7.5Hz), 4.44(1H,s), 5.01(1H,d,J=11Hz), 5.27(1H,dd,J=11.5,2Hz), 7.10-7.42(10H,m)</p> <p>HR-MS m/z 828.47775 [Calcd. for C₄₅H₆₈N₂O₁₂(M⁺): 828.47723]</p>
57			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84(3H,t,J=7.5Hz), 0.91-1.87(38H,m), 1.88-2.02(3H,m), 2.15-2.37(3H,m), 2.33(6H,s), 2.48-2.57(1H,m), 2.60-2.74(3H,m), 2.80-3.17(3H,m), 3.22(1H,dd,J=10.7,7.5Hz), 3.30-3.40(1H,m), 3.43(1H,d,J=4.5Hz), 3.62-3.75(2H,m), 3.98-4.10(3H,m), 4.43(1H,brs), 5.16(1H,d,J=11Hz), 5.23(1H,dd,J=11.5,2Hz), 7.16-7.22(3H,m), 7.24-7.32(2H,m)</p> <p>HR-MS m/z 832.54501 [Calcd. for C₄₆H₇₆N₂O₁₁(M⁺): 832.54491]</p>

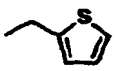

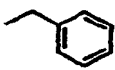
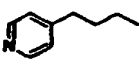

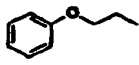
Example	R ¹	R ²	Description and physical properties
58			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H, t, J=7.5Hz), 0.87(3H, d, J=6.5Hz), 1.02(3H, d, J=6.5Hz), 1.05-1.75(20H, m), 1.84(1H, s), 1.85-1.98(3H, m), 2.22-2.38(2H, m), 2.28(6H, s), 2.55-2.70(3H, m), 2.75-2.88(1H, m), 3.03-3.20(2H, m), 3.17(1H, d, J=10.7Hz), 3.29(1H, brs), 3.48(1H, d, J=4.5Hz), 3.60-3.75(2H, m), 3.65(1H, d, J=15.5Hz), 3.70(1H, d, J=15.5Hz), 3.79(3H, s), 3.97(1H, d, J=7.5Hz), 4.03(2H, t, J=6.5Hz), 4.44(1H, s), 5.15(1H, d, J=11Hz), 5.21(1H, dd, J=11.5, 2Hz), 6.84(2H, d, J=8.5Hz), 7.10(2H, d, J=8.5Hz), 7.16-7.40(5H, m)</p> <p>HR-MS m/z 682.39477</p> <p>[Calcd. for C₃₃H₃₆NO₃ (M⁺-C₈H₁₆NO₂): 682.39551]</p>
59			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H, t, J=7.5Hz), 0.87(3H, d, J=6.5Hz), 1.00(3H, d, J=7.5Hz), 1.05-1.75(25H, m), 1.88-2.00(1H, m), 2.20-2.40(2H, m), 2.27(6H, s), 2.60-2.70(3H, m), 2.75-2.85(1H, m), 3.05-3.20(2H, m), 3.16(1H, dd, J=10.7, 5Hz), 3.29(1H, brs), 3.48(1H, d, J=4.5Hz), 3.60-3.75(2H, m), 3.66(1H, d, J=15Hz), 3.71(1H, d, J=15Hz), 3.96(1H, d, J=7.5Hz), 4.00-4.07(2H, m), 4.46(1H, s), 5.15(1H, d, J=11Hz), 5.21(1H, dd, J=11.2, 5Hz), 7.10-7.40(10H, m)</p> <p>HR-MS m/z 682.39507</p> <p>[Calcd. for C₃₃H₃₆NO₃ (M⁺-C₈H₁₆NO₂): 682.39551]</p>

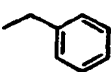
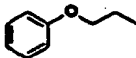
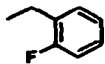
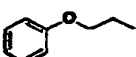
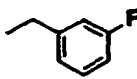
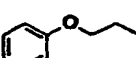
Example	R ²	R ³	Description and physical properties
60			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.91(3H,d,J=6.5Hz), 1.00(3H,d,J=6.5Hz), 1.10–1.80(25H,m), 1.85–1.98(1H,m), 2.20–2.40(2H,m), 2.27(6H,s), 2.60–2.70(3H,m), 2.78–2.85(1H,m), 3.05–3.20(2H,m), 3.17(1H,dd,J=10.5,7.5Hz), 3.29(1H,brs), 3.46(1H,d,J=4.5Hz), 3.60–3.75(2H,m), 3.66(1H,d,J=15Hz), 3.71(1H,d,J=15Hz), 3.95(1H,d,J=7.5Hz), 4.00–4.07(2H,m), 4.46(1H,s), 5.16(1H,d,J=11Hz), 5.21(1H,dd,J=11.5,2Hz), 6.90–7.00(1H,m), 7.05–7.20(5H,m), 7.21–7.33(3H,m)</p> <p>HR-MS m/z 700.38541 [Calcd. for C₃₉H₅₅FN₉(M⁺-C₈H₁₆NO₂): 700.38609]</p>
61			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.93(3H,d,J=6.5Hz), 1.00(3H,d,J=7.5Hz), 1.10–1.80(25H,m), 1.90–1.98(1H,m), 2.20–2.40(2H,m), 2.27(6H,s), 2.60–2.70(3H,m), 2.80–2.90(1H,m), 3.05–3.20(2H,m), 3.16(1H,dd,J=10,7.5Hz), 3.28(1H,brs), 3.45(1H,d,J=4.5Hz), 3.60–3.75(2H,m), 3.64(1H,d,J=15.5Hz), 3.69(1H,d,J=15.5Hz), 3.93(1H,d,J=7.5Hz), 4.01–4.06(2H,m), 4.46(1H,s), 5.16(1H,d,J=11Hz), 5.21(1H,dd,J=11.5,2Hz), 7.15–7.40(9H,m)</p> <p>HR-MS m/z 716.35475 [Calcd. for C₃₉H₅₅³⁵ClN₉(M⁺-C₈H₁₆NO₂): 716.35654] HR-MS m/z 718.35339 [Calcd. for C₃₉H₅₅³⁷ClN₉(M⁺-C₈H₁₆NO₂): 718.35358]</p>
62			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.91(3H,d,J=6.5Hz), 1.00(3H,d,J=7.5Hz), 1.10–1.80(25H,m), 1.85–2.00(1H,m), 2.20–2.40(2H,m), 2.27(6H,s), 2.60–2.70(3H,m), 2.78–2.85(1H,m), 3.00–3.18(2H,m), 3.15(1H,dd,J=10.5,7.5Hz), 3.27(1H,brs), 3.47(1H,d,J=4.5Hz), 3.60–3.75(2H,m), 3.63(1H,d,J=14.5Hz), 3.68(1H,d,J=14.5Hz), 3.80(3H,s), 3.94(1H,d,J=6.5Hz), 4.00–4.07(2H,m), 4.46(1H,s), 5.15(1H,d,J=11Hz), 5.21(1H,d,J=11,2.5Hz), 6.80(1H,dd,J=8,2Hz), 6.90–6.96(2H,m), 7.12–7.32(6H,m)</p> <p>HR-MS m/z 712.40680 [Calcd. for C₄₀H₅₈NO₁₀(M⁺-C₈H₁₆NO₂): 712.40607]</p>

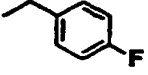
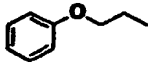
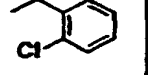
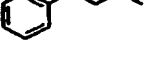
Example	R ²	R ³	Description and physical properties
63			<p>brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.83(3H, t, J=7.5Hz), 0.99(3H, d, J=6.5Hz), 1.00(3H, d, J=5.5Hz), 1.10–1.80(25H, m), 1.85–2.00(1H, m), 2.20–2.40(2H, m), 2.26(6H, s), 2.60–2.70(3H, m), 2.80–2.90(1H, m), 3.05–3.20(2H, m), 3.15(1H, dd, J=10, 7.5 Hz), 3.27(1H, brs), 3.47(1H, d, J=4.5Hz), 3.60–3.70(1H, m), 3.72(1H, s), 3.93(2H, s), 3.94(1H, d, J=6.5Hz), 4.01–4.07(2H, m), 4.47(1H, s), 5.18(1H, d, J=11Hz), 5.22(1H, dd, J=11, 2.5Hz), 6.96(1H, dd, J=5, 3.5Hz), 6.99–7.01(1H, m), 7.15–7.21(3H, m), 7.22(1H, dd, J=5.5, 1Hz), 7.25–7.31(2H, m)</p> <p>HR-MS m/z 688.35249</p> <p>[Calcd. for C₃₇H₃₄NO₂S(M⁺-C₈H₁₆NO₂): 688.35193]</p>
64			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.81(3H, t, J=7.5Hz), 0.87(3H, d, J=6.5Hz), 0.99(3H, d, J=6.5Hz), 1.06–1.75(25H, m), 1.80(1H, s), 1.88–1.97(1H, m), 2.22–2.39(2H, m), 2.28(6H, s), 2.59–2.67(3H, m), 2.77–2.85(1H, m), 3.06–3.20(2H, m), 3.17(1H, d, J=10.5, 7.5Hz), 3.29(1H, brs), 3.48(1H, d, J=4.5Hz), 3.60–3.75(2H, m), 3.66(1H, d, J=14.5Hz), 3.71(1H, d, J=14.5Hz), 3.93–4.05(3H, m), 4.47(1H, s), 5.15(1H, d, J=11Hz), 5.21(1H, dd, J=11, 2.5Hz), 7.13–7.21(3H, m), 7.23–7.39(7H, m)</p> <p>HR-MS m/z 696.41023</p> <p>[Calcd. for C₄₀H₃₈NO₂(M⁺-C₈H₁₆NO₂): 696.41116]</p>

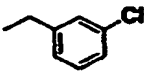
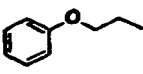
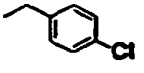
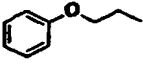
Example	R ²	R ³	Description and physical properties
65			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H, t, J=7.5Hz), 0.88(3H, d, J=6.5Hz), 1.03(3H, d, J=6.5Hz), 1.07–1.65(20H, m), 1.80(1H, s), 1.88–1.98(1H, m), 2.22–2.38(2H, m), 2.27(6H, s), 2.64–2.72(1H, m), 2.77–2.86(1H, m), 3.05–3.18(2H, m), 3.16(1H, d, J=10.5, 7.5Hz), 3.29(1H, s), 3.47(1H, d, J=4.5Hz), 3.65(1H, d, J=14.5Hz), 3.66–3.77(2H, m), 3.70(1H, d, J=14.5Hz), 3.96(1H, d, J=7.5Hz), 4.46(1H, s), 4.64–4.73(2H, m), 5.15(1H, d, J=10.5Hz), 5.22(1H, dd, J=11.5, 2Hz), 6.29(1H, dt, J=16, 6.5Hz), 6.62(1H, d, J=16Hz), 7.23–7.43(10H, m)</p> <p>HR-MS m/z 666.36340 [Calcd. for C₃₉H₅₂NO₉(M⁺-C₈H₁₈NO₂): 666.36421]</p>
66			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H, t, J=7.5Hz), 0.88(3H, d, J=6.5Hz), 0.99(3H, d, J=7.5Hz), 1.05–1.60(21H, m), 1.90–1.96(1H, m), 2.20–2.40(2H, m), 2.27(6H, s), 2.60–2.70(1H, m), 2.78–2.84(1H, m), 3.06–3.20(5H, m), 3.27(1H, s), 3.41(1H, d, J=4.5Hz), 3.60–3.74(2H, m), 3.65(1H, d, J=14.5Hz), 3.70(1H, d, J=14.5Hz), 3.94(1H, d, J=7.5Hz), 4.27(2H, t, J=6Hz), 4.41(1H, s), 5.13(1H, d, J=10.5Hz), 5.21(1H, dd, J=11.5, 2Hz), 6.82–6.86(1H, m), 6.96(1H, dd, J=5, 3.5Hz), 7.16(1H, dd, J=5, 1Hz), 7.23–7.37(5H, m)</p> <p>HR-MS m/z 660.31941 [Calcd. for C₃₅H₅₀NO₉S(M⁺-C₈H₁₈NO₂): 660.32063]</p>

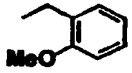
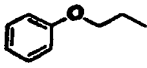
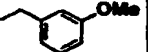
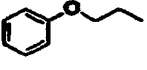
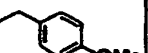
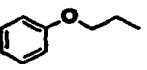
Example	R ²	R ³	Description and physical properties
67			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.91(3H,d,J=6.5Hz), 1.00(3H,d,J=7.5Hz), 1.05–1.60(21H,m), 1.90–1.98(1H,m), 2.20–2.40(2H,m), 2.27(6H,s), 2.60–2.70(1H,m), 2.78–2.85(1H,m), 3.05–3.20(5H,m), 3.29(1H,brs), 3.39(1H,d,J=4.5Hz), 3.60–3.75(2H,m), 3.65(1H,d,J=15.5Hz), 3.70(1H,d,J=15.5Hz), 3.93(1H,d,J=7.5Hz), 4.28(2H,t,J=6.5Hz), 4.41(1H,s), 5.15(1H,d,J=11Hz), 5.22(1H,dd,J=11.5,2Hz), 6.83–6.86(1H,m), 6.94–7.00(2H,m), 7.06–7.18(3H,m), 7.24–7.32(1H,m)</p> <p>HR-MS m/z 678.31185 [Calcd. for C₃₅H₄₅FNO₂S(M⁺-C₈H₁₈NO₂): 678.31121]</p>
68			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.94(3H,d,J=6.5Hz), 1.00(3H,d,J=6.5Hz), 1.05–1.80(21H,m), 1.90–1.99(1H,m), 2.20–2.40(2H,m), 2.28(6H,s), 2.60–2.70(1H,m), 2.80–2.90(1H,m), 3.05–3.20(5H,m), 3.30(1H,brs), 3.39(1H,d,J=5Hz), 3.60–3.75(2H,m), 3.64(1H,d,J=15Hz), 3.68(1H,d,J=15Hz), 3.91(1H,d,J=7.5Hz), 4.22–4.35(2H,m), 4.42(1H,s), 5.15(1H,d,J=10Hz), 5.22(1H,dd,J=11,2Hz), 6.83–6.86(1H,m), 6.96(1H,dd,J=5,3.5Hz), 7.16(1H,dd,J=5,1Hz), 7.20–7.40(4H,m)</p> <p>HR-MS m/z 694.28358 [Calcd. for C₃₅H₄₅³⁵ClNO₂S(M⁺-C₈H₁₈NO₂): 694.28166] HR-MS m/z 696.28048 [Calcd. for C₃₅H₄₅³⁷ClNO₂S(M⁺-C₈H₁₈NO₂): 696.27870]</p>
69			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.91(3H,d,J=6.5Hz), 0.99(3H,d,J=6.5Hz), 1.05–1.65(21H,m), 1.86–2.00(1H,m), 2.18–2.41(2H,m), 2.27(6H,s), 2.60–2.71(1H,m), 2.77–2.88(1H,m), 3.00–3.33(6H,m), 3.40(1H,d,J=5Hz), 3.58–3.71(1H,m), 3.63(1H,d,J=14.5Hz), 3.67(1H,d,J=14.5Hz), 3.73(1H,s), 3.80(3H,s), 3.92(1H,d,J=7.5Hz), 4.20–4.33(2H,m), 4.41(1H,s), 5.14(1H,d,J=10.5Hz), 5.19–5.25(1H,m), 6.78–6.86(2H,m), 6.88–6.99(3H,m), 7.13–7.18(1H,m), 7.19–7.30(1H,m)</p> <p>HR-MS m/z 674.33487 [Calcd. for C₃₈H₅₂NO₃S(M⁺-C₈H₁₈NO₂): 674.33628]</p>

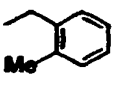
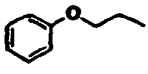
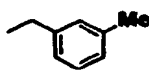
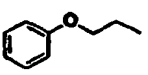
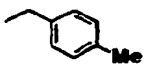
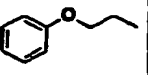
Example	R ²	R ³	Description and physical properties
70			<p>brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 1.00(3H,d,J=7.5Hz), 1.06-1.80(22H,m), 1.88-2.00(1H,m), 2.18-2.40(2H,m), 2.26(6H,s), 2.60-2.72(1H,m), 2.80-2.92(1H,m), 3.03-3.28(5H,m), 3.41(1H,d,J=4.5Hz), 3.60-3.70(1H,m), 3.74(1H,s), 3.82-3.97(3H,m), 4.19-4.32(2H,m), 4.42(1H,s), 5.16(1H,d,J=11Hz), 5.23(1H,dd,J=11,2Hz), 6.82-6.87(1H,m), 6.90-7.02(3H,m), 7.16(1H,dd,J=5,1Hz), 7.22(1H,dd,J=5,1Hz)</p> <p>HR-MS m/z 650.28264 [Calcd. for C₃₃H₄₈NO₈S₂(M⁺-C₈H₁₆NO₂): 650.28213]</p>
71			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.88(3H,d,J=6.5Hz), 1.03(3H,d,J=7.5Hz), 1.08-1.64(20H,m), 1.82(1H,s), 1.88-2.01(3H,m), 2.20-2.39(2H,m), 2.27(6H,s), 2.62-2.73(3H,m), 2.77-2.86(1H,m), 3.06-3.14(2H,m), 3.16(1H,dd,J=10.5,7.5Hz), 3.29(1H,brs), 3.48(1H,d,J=4.5Hz), 3.61-3.71(1H,m), 3.65(1H,d,J=14.5Hz), 3.70(1H,d,J=14.5Hz), 3.72(1H,s), 3.97(1H,d,J=7.5Hz), 4.06(2H,t,J=6.5Hz), 4.37(1H,s), 5.15(1H,d,J=11Hz), 5.20(1H,dd,J=11,2Hz), 7.13(2H,dd,J=4.5,1.5Hz), 7.22-7.38(5H,m), 8.51(2H,dd,J=4.5,1.5Hz)</p> <p>HR-MS m/z 669.37320 [Calcd. for C₃₇H₅₃N₂O₈(M⁺-C₈H₁₆NO₂): 669.37511]</p>
72			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.86(3H,t,J=7.5Hz), 0.91(3H,d,J=6.5Hz), 0.99(3H,d,J=7.5Hz), 1.02-1.80(22H,m), 1.92-2.00(1H,m), 2.08(1H,s), 2.11(6H,s), 2.20-2.30(1H,m), 2.40-2.50(1H,m), 2.65-2.75(1H,m), 2.95-3.07(2H,m), 3.16(1H,s), 3.42(1H,d,J=4.5Hz), 3.65-3.75(1H,m), 3.85(1H,s), 3.86(1H,d,J=7.5Hz), 4.18(2H,t,J=4.5Hz), 4.38-4.45(3H,m), 5.29(1H,dd,J=11,2.5Hz), 5.37(1H,d,J=11Hz), 6.90-7.00(3H,m), 7.30-7.40(2H,m), 7.42-7.52(2H,m), 7.55-7.60(1H,m), 8.10-8.20(2H,m)</p> <p>HR-MS m/z 814.45946 [Calcd. for C₄₄H₆₈N₂O₁₂(M⁺): 814.46158]</p>

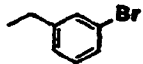
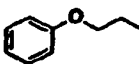
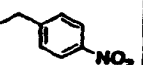
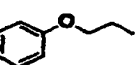
Example	R ²	R ³	Description and physical properties
73			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.87(3H,d,J=6.5Hz), 0.99(3H,d,J=7.5Hz), 1.08-1.63(20H,m), 1.88-2.00(2H,m), 2.23-2.38(2H,m), 2.27(6H,s), 2.65-2.73(1H,m), 2.75-2.85(1H,m), 3.05-3.22(2H,m), 3.08(1H,s), 3.14(1H,dd,J=10.5,7.5Hz), 3.43(1H,d,J=5Hz), 3.65(1H,d,J=14.5Hz), 3.66-3.76(1H,m), 3.68(1H,d,J=14.5Hz), 3.81(1H,s), 3.94(1H,d,J=7.5Hz), 4.13-4.20(2H,m), 4.29(1H,s), 4.35-4.43(2H,m), 5.12(1H,d,J=11Hz), 5.22(1H,dd,J=11,2.5Hz), 6.89-6.99(3H,m), 7.20-7.36(7H,m)</p> <p>HR-MS m/z 654.36345 [Calcd. for C₃₇H₃₂NO₂ (M⁺-C₈H₁₆NO₂): 654.36421]</p>
74			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.97(3H,d,J=6.5Hz), 0.99(3H,d,J=6.5Hz), 1.05-1.80(21H,m), 1.88-2.01(2H,m), 2.20-2.34(1H,m), 2.28(6H,s), 2.39-2.49(1H,m), 2.62-2.73(1H,m), 2.76-2.88(1H,m), 3.12(1H,s), 3.17(1H,dd,J=10.5,7.5Hz), 3.24-3.38(1H,m), 3.41(1H,d,J=5Hz), 3.64-3.83(2H,m), 3.74(2H,s), 4.04(1H,d,J=7.5Hz), 4.08-4.21(2H,m), 4.27-4.45(3H,m), 5.15(1H,d,J=10.5Hz), 5.22(1H,dd,J=11,2.5Hz), 6.92-6.98(3H,m), 7.03-7.14(2H,m), 7.23-7.36(4H,m)</p> <p>HR-MS m/z 672.35504 [Calcd. for C₃₇H₃₁FNO₂ (M⁺-C₈H₁₆NO₂): 672.35479]</p>
75			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.90(3H,d,J=6.5Hz), 0.99(3H,d,J=7.5Hz), 1.08-1.72(20H,m), 1.88-1.98(1H,m), 2.03(1H,s), 2.22-2.37(2H,m), 2.26(6H,s), 2.63-2.73(1H,m), 2.76-2.88(1H,m), 3.04-3.16(2H,m), 3.15(1H,d,J=10.5,7.5Hz), 3.38(1H,brs), 3.40(1H,d,J=4.5Hz), 3.64-3.77(1H,m), 3.65(1H,d,J=15.5Hz), 3.70(1H,d,J=15.5Hz), 3.80(1H,s), 3.92(1H,d,J=7.5Hz), 4.11-4.20(2H,m), 4.34-4.44(3H,m), 5.13(1H,d,J=11Hz), 5.22(1H,dd,J=11,2.5Hz), 6.92-7.01(4H,m), 7.06-7.11(1H,m), 7.11-7.15(1H,m), 7.24-7.34(3H,m)</p> <p>HR-MS m/z 672.35671 [Calcd. for C₃₇H₃₁FNO₂ (M⁺-C₈H₁₆NO₂): 672.35479]</p>

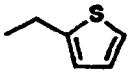
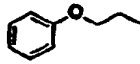
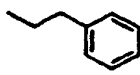
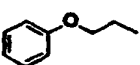
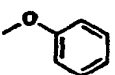
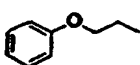
Example	R ²	R ³	Description and physical properties
76			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.87(3H,d,J=6.5Hz), 0.99(3H,d,J=7.5Hz), 1.08–1.63(20H,m), 1.88–1.98(1H,m), 2.01(1H,s), 2.22–2.38(2H,m), 2.28(6H,s), 2.63–2.73(1H,m), 2.75–2.86(1H,m), 3.05–3.15(2H,m), 3.16(1H,dd,J=10.5,7.5Hz), 3.29(1H,s), 3.39(1H,d,J=5Hz), 3.62(1H,d,J=15Hz), 3.66–3.76(1H,m), 3.67(1H,d,J=15Hz), 3.79(1H,s), 3.91(1H,d,J=7.5Hz), 4.11–4.21(2H,m), 4.32–4.43(3H,m), 5.12(1H,d,J=11Hz), 5.21(1H,dd,J=11,2.5Hz), 6.90–7.06(5H,m), 7.22–7.36(4H,m)</p> <p>HR-MS m/z 672.35472 [Calcd. for C₂₇H₃₁FNO₉ (M⁺-C₈H₁₆NO₂): 672.35479]</p>
77			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.86(3H,d,J=6.5Hz), 0.99(3H,d,J=6.5Hz), 1.06–1.70(21H,m), 1.88–2.01(2H,m), 2.22–2.34(1H,m), 2.28(6H,s), 2.40–2.49(1H,m), 2.63–2.73(1H,m), 2.76–2.88(1H,m), 3.11(1H,s), 3.18(1H,dd,J=10.5,7.5Hz), 3.22–3.38(1H,m), 3.43(1H,d,J=5Hz), 3.66–3.77(1H,m), 3.80(1H,s), 3.83(1H,d,J=16Hz), 3.90(1H,d,J=16Hz), 4.06(1H,d,J=7.5Hz), 4.10–4.21(2H,m), 4.28–4.44(3H,m), 5.15(1H,d,J=11Hz), 5.21(1H,dd,J=11,2.5Hz), 6.90–6.99(3H,m), 7.20–7.33(4H,m), 7.35–7.42(2H,m)</p> <p>HR-MS m/z 688.32411 [Calcd. for C₃₇H₅₁³⁵ClNO₉ (M⁺-C₈H₁₆NO₂): 688.32524]</p> <p>HR-MS m/z 690.32091 [Calcd. for C₃₇H₅₁³⁷ClNO₉ (M⁺-C₈H₁₆NO₂): 690.32228]</p>

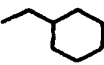
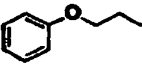
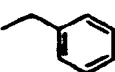
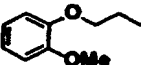
Example	R ²	R ³	Description and physical properties
78			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.92(3H,d,J=6.5Hz), 0.99(3H,d,J=6.5Hz), 1.05-1.75(20H,m), 1.88-1.98(1H,m), 2.02(1H,s), 2.20-2.38(2H,m), 2.28(6H,s), 2.63-2.72(1H,m), 2.78-2.87(1H,m), 3.04-3.18(2H,m), 3.15(1H,d,J=10.5,7.5Hz), 3.28(1H,brs), 3.39(1H,d,J=5Hz), 3.64(1H,d,J=15.5Hz), 3.67-3.75(1H,m), 3.68(1H,d,J=15.5Hz), 3.80(1H,s), 3.90(1H,d,J=7.5Hz), 4.12-4.20(2H,m), 4.34-4.42(3H,m), 5.14(1H,d,J=10.5Hz), 5.22(1H,dd,J=11.5,2Hz), 6.91-6.99(3H,m), 7.22-7.37(6H,m)</p> <p>HR-MS m/z 704.32078 [Calcd. for C₂₇H₃₁³⁵ClNO₁₀(M⁺-C₈H₁₈NO₂): 704.32015]</p> <p>HR-MS m/z 706.31744 [Calcd. for C₂₇H₃₁³⁷ClNO₁₀(M⁺-C₈H₁₈NO₂): 706.31720]</p>
79			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.89(3H,d,J=6.5Hz), 0.99(3H,d,J=6.5Hz), 1.05-1.75(20H,m), 1.88-1.98(1H,m), 2.02(1H,s), 2.20-2.37(2H,m), 2.28(6H,s), 2.63-2.72(1H,m), 2.77-2.85(1H,m), 2.98-3.06(1H,m), 3.12(1H,s), 3.16(1H,dd,J=10.5,7.5Hz), 3.29(1H,brs), 3.38(1H,d,J=5Hz), 3.62(1H,d,J=15Hz), 3.66-3.75(1H,m), 3.67(1H,d,J=15Hz), 3.79(1H,s), 3.89(1H,d,J=7.5Hz), 4.11-4.20(2H,m), 4.33-4.42(3H,m), 5.13(1H,d,J=11Hz), 5.21(1H,dd,J=11,2Hz), 6.91-6.99(3H,m), 7.27-7.34(6H,m)</p> <p>HR-MS m/z 704.31802 [Calcd. for C₂₇H₃₁³⁵ClNO₁₀(M⁺-C₈H₁₈NO₂): 704.32015]</p> <p>HR-MS m/z 706.31411 [Calcd. for C₂₇H₃₁³⁷ClNO₁₀(M⁺-C₈H₁₈NO₂): 706.31720]</p>

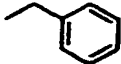
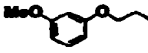
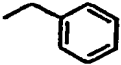

Example	R ²	R ³	Description and physical properties
80			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.97(3H,d,J=6.5Hz), 0.99(3H,d,J=8Hz), 1.06–1.70(21H,m), 1.87–1.98(2H,m), 2.22–2.33(1H,m), 2.29(6H,s), 2.41–2.50(1H,m), 2.61–2.72(1H,m), 2.74–2.84(1H,m), 3.12(1H,s), 3.18(1H,dd,J=10.5,7.5Hz), 3.31–3.40(1H,m), 3.44(1H,d,J=4.5Hz), 3.58–3.87(2H,m), 3.62(1H,d,J=16Hz), 3.77(1H,d,J=16Hz), 3.81(3H,s), 4.08(1H,d,J=7.5Hz), 4.10–4.20(2H,m), 4.31–4.43(3H,m), 5.11(1H,d,J=11Hz), 5.22(1H,dd,J=11,2Hz), 6.85–6.99(6H,m), 7.18–7.34(3H,m)</p> <p>HR-MS m/z 684.37342</p> <p>[Calcd. for C₃₃H₃₄NO₁₀(M⁺-C₈H₁₀NO₂): 684.37477]</p>
81			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.90(3H,d,J=7.5Hz), 0.99(3H,d,J=6.5Hz), 1.10–1.80(21H,m), 1.88–2.00(2H,m), 2.21–2.38(2H,m), 2.26(6H,s), 2.63–2.71(1H,m), 2.77–2.85(1H,m), 3.01–3.20(2H,m), 3.14(1H,dd,J=10.5,7.5Hz), 3.41(1H,d,J=4.5Hz), 3.62(1H,d,J=14.5Hz), 3.65–3.85(2H,m), 3.67(1H,d,J=14.5Hz), 3.80(3H,s), 3.91(1H,d,J=7.5Hz), 4.10–4.21(2H,m), 4.32–4.45(3H,m), 5.12(1H,d,J=11Hz), 5.22(1H,dd,J=11.5,2Hz), 6.81(1H,dd,J=8,2Hz), 6.86–7.00(5H,m), 7.25–7.35(3H,m)</p> <p>HR-MS m/z 700.36985</p> <p>[Calcd. for C₃₃H₃₄NO₁₁(M⁺-C₈H₁₀NO₂): 700.36969]</p>
82			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.87(3H,d,J=6.5Hz), 0.99(3H,d,J=7.5Hz), 1.07–1.68(20H,m), 1.88–2.00(2H,m), 2.20–2.39(2H,m), 2.27(6H,s), 2.65–2.72(1H,m), 2.74–2.87(1H,m), 3.07–3.20(2H,m), 3.15(1H,dd,J=10,7.5Hz), 3.26(1H,brs), 3.41(1H,d,J=4.5Hz), 3.58(1H,d,J=15Hz), 3.63(1H,d,J=15Hz), 3.68–3.82(2H,m), 3.79(3H,s), 3.93(1H,d,J=7.5Hz), 4.15(2H,t,J=4.5Hz), 4.37–4.43(3H,m), 5.11(1H,d,J=11Hz), 5.21(1H,dd,J=11,2Hz), 6.80–6.90(2H,m), 6.92–7.00(3H,m), 7.22–7.33(4H,m)</p> <p>HR-MS m/z 684.37308</p> <p>[Calcd. for C₃₃H₃₄NO₁₀(M⁺-C₈H₁₀NO₂): 684.37477]</p>

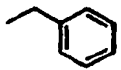
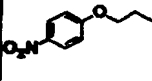
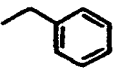
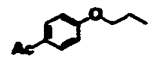
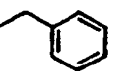
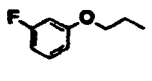
Example	R ²	R ³	Description and physical properties
83			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.75–0.85(6H,m), 0.99(3H,d,J=7.5Hz), 1.10–1.60(20H,m), 1.85–2.00(2H,m), 2.20–2.40(2H,m), 2.28(6H,s), 2.38(3H,s), 2.60–2.70(1H,m), 2.75–2.85(1H,m), 3.11(1H,s), 3.13–3.30(2H,m), 3.17(1H,dd,J=10.5,7.5Hz), 3.42(1H,d,J=5Hz), 3.60–3.75(1H,m), 3.65(1H,d,J=14.5Hz), 3.72(1H,d,J=14.5Hz), 3.79(1H,s), 3.98(1H,d,J=7.5Hz), 4.15(2H,t,J=4.5Hz), 4.35–4.40(3H,m), 5.11(1H,d,J=11Hz), 5.20(1H,dd,J=11,2.5Hz), 6.90–7.00(3H,m), 7.11–7.33(6H,m)</p> <p>HR-MS m/z 842.49163 [Calcd. for C₄₈H₇₀N₂O₁₂(M⁺): 842.49288]</p>
84			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.89(3H,d,J=6.5Hz), 0.99(3H,d,J=6.5Hz), 1.04–1.60(20H,m), 1.90–2.00(2H,m), 2.20–2.40(2H,m), 2.26(6H,s), 2.34(3H,s), 2.62–2.71(1H,m), 2.78–2.83(1H,m), 3.02–3.20(2H,m), 3.14(1H,d,J=10,7.5Hz), 3.24(1H,brs), 3.41(1H,d,J=4.5Hz), 3.61(1H,d,J=15Hz), 3.65(1H,d,J=15Hz), 3.68–3.75(1H,m), 3.80(1H,s), 3.92(1H,d,J=7.5Hz), 4.15(2H,t,J=4.5Hz), 4.35–4.43(3H,m), 5.12(1H,d,J=10.5Hz), 5.22(1H,dd,J=11.5,2Hz), 6.90–7.00(3H,m), 7.03–7.24(4H,m), 7.26–7.35(2H,m)</p> <p>HR-MS m/z 842.49284 [Calcd. for C₄₈H₇₀N₂O₁₂(M⁺): 842.49288]</p>
85			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.88(3H,d,J=6.5Hz), 0.99(3H,d,J=6.5Hz), 1.05–1.75(20H,m), 1.85–2.00(2H,m), 2.20–2.40(2H,m), 2.27(6H,s), 2.28(3H,s), 2.63–2.72(1H,m), 2.75–2.85(1H,m), 3.00–3.18(2H,m), 3.15(1H,d,J=10,7.5Hz), 3.25(1H,brs), 3.41(1H,d,J=4.5Hz), 3.60(1H,d,J=14.5Hz), 3.65(1H,d,J=14.5Hz), 3.65–3.75(1H,m), 3.80(1H,s), 3.95(1H,d,J=7.5Hz), 4.15(2H,t,J=4.5Hz), 4.35–4.40(3H,m), 5.11(1H,d,J=10.5Hz), 5.21(1H,dd,J=11.5,2Hz), 6.90–7.00(3H,m), 7.12(2H,d,J=8Hz), 7.23(2H,d,J=8Hz), 7.26–7.35(2H,m)</p> <p>HR-MS m/z 842.49114 [Calcd. for C₄₈H₇₀N₂O₁₂(M⁺): 842.49288]</p>

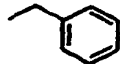
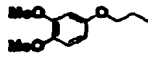
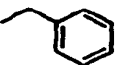
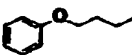
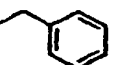

Example	R ²	R ³	Description and physical properties
86			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H, t, J=7.5Hz), 0.92(3H, d, J=6.5Hz), 0.99(3H, d, J=7.5Hz), 1.05–1.70(20H, m), 1.88–1.98(1H, m), 2.02(1H, s), 2.20–2.37(2H, m), 2.27(6H, s), 2.63–2.72(1H, m), 2.78–2.88(1H, m), 3.05–3.18(2H, m), 3.15(1H, d, J=10.5, 7.5Hz), 3.27(1H, brs), 3.39(1H, d, J=5Hz), 3.63(1H, d, J=15.5Hz), 3.66–3.76(1H, m), 3.67(1H, d, J=15.5Hz), 3.80(1H, s), 3.90(1H, d, J=7.5Hz), 4.11–4.20(2H, m), 4.33–4.43(3H, m), 5.14(1H, d, J=10.5Hz), 5.22(1H, dd, J=11.2, 5Hz), 6.90–7.00(3H, m), 7.21(1H, t, J=8Hz), 7.27–7.34(3H, m), 7.41(1H, d, J=8Hz), 7.51(1H, d, J=2Hz)</p> <p>HR-MS m/z 748.27195 [Calcd. for C₂₇H₃₁⁷⁹BrNO₁₀(M⁺-C₈H₁₆NO₂): 748.26965]</p> <p>HR-MS m/z 750.26926 [Calcd. for C₂₇H₃₁⁸¹BrNO₁₀(M⁺-C₈H₁₆NO₂): 750.26764]</p>
87			<p>brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H, t, J=7.5Hz), 0.87(3H, d, J=6.5Hz), 1.00(3H, d, J=6.5Hz), 1.10–1.75(20H, m), 1.85–2.00(1H, m), 2.07(1H, s), 2.20–2.40(2H, m), 2.27(6H, s), 2.63–2.71(1H, m), 2.75–2.85(1H, m), 3.10–3.20(2H, m), 3.18(1H, d, J=10.7, 7.5Hz), 3.28(1H, brs), 3.38(1H, d, J=5Hz), 3.67–3.85(2H, m), 3.77(1H, d, J=15.5Hz), 3.82(1H, d, J=15.5Hz), 3.95(1H, d, J=7.5Hz), 4.12–4.17(2H, m), 4.35–4.43(3H, m), 5.15(1H, d, J=11Hz), 5.21(1H, dd, J=11.5, 2Hz), 6.90–7.00(3H, m), 7.25–7.32(2H, m), 7.53(2H, d, J=8.5Hz), 8.20(2H, d, J=8.5Hz)</p> <p>HR-MS m/z 699.34721 [Calcd. for C₂₇H₃₁N₂O₁₁(M⁺-C₈H₁₆NO₃): 699.34929]</p>

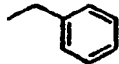
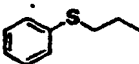
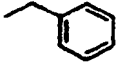
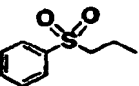
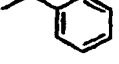
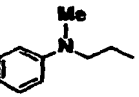
Example	R ²	R ¹	Description and physical properties
88			<p>pale brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.98(3H,d,J=6.5Hz), 0.99(3H,d,J=7.5Hz), 1.07-1.65(20H,m), 1.89-1.99(1H,m), 2.02(1H,s), 2.22-2.40(2H,m), 2.28(6H,s), 2.64-2.72(1H,m), 2.82-2.80(1H,m), 3.05-3.18(2H,m), 3.15(1H,d,J=10.5,7.5Hz), 3.27(1H,brs), 3.41(1H,d,J=4.5Hz), 3.67-3.75(1H,m), 3.80(1H,s), 3.91(1H,d,J=7.5Hz), 3.92(2H,s), 4.13-4.19(2H,m), 4.35-4.43(3H,m), 5.15(1H,d,J=11Hz), 5.23(1H,dd,J=11,2.5Hz), 6.90-7.03(5H,m), 7.18-7.36(3H,m)</p> <p>HR-MS m/z 660.31908 [Calcd. for C₃₅H₃₀NO₂S(M⁺-C₈H₁₀NO₂): 660.32063]</p>
89			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.95(3H,d,J=6.5Hz), 0.99(3H,d,J=7.5Hz), 1.10-1.70(20H,m), 1.89-2.00(1H,m), 2.02(1H,s), 2.20-2.40(2H,m), 2.26(6H,s), 2.65-2.73(3H,m), 2.75-2.85(1H,m), 2.90-3.10(2H,m), 3.10-3.30(4H,m), 3.37(1H,d,J=4.5Hz), 3.65-3.75(1H,m), 3.80(1H,s), 3.98(1H,d,J=7.5Hz), 4.16(2H,t,J=4.5Hz), 4.35-4.42(3H,m), 5.14(1H,d,J=11Hz), 5.24(1H,dd,J=11,2.5Hz), 6.90-7.00(3H,m), 7.15-7.35(7H,m)</p> <p>HR-MS m/z 684.37390 [Calcd. for C₃₅H₃₄NO₂(M⁺-C₈H₁₀NO₂): 684.37477]</p>
90			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.86(3H,t,J=7.5Hz), 1.01(3H,d,J=6.5Hz), 1.10(3H,d,J=6Hz), 1.13-1.70(20H,m), 1.90-2.00(1H,m), 2.17(1H,s), 2.25(6H,s), 2.30-2.41(1H,m), 2.41-2.50(1H,m), 2.65-2.75(1H,m), 2.97-3.03(1H,m), 3.13(1H,s), 3.18(1H,dd,J=10.5,7.5Hz), 3.30-3.40(2H,m), 3.52(1H,d,J=4.5Hz), 3.67-3.82(2H,m), 4.12-4.20(2H,m), 4.25(1H,d,J=6.5Hz), 4.36-4.45(3H,m), 4.98(1H,d,J=11.5Hz), 5.27(1H,dd,J=11.5,2Hz), 6.87-6.99(3H,m), 7.16-7.33(5H,m), 7.35-7.42(2H,m)</p> <p>HR-MS m/z 830.45399 [Calcd. for C₄₄H₃₈N₂O₁₃(M⁺): 830.45649]</p>

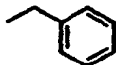
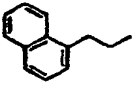
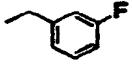
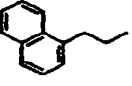
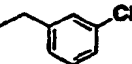
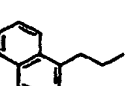
Example	R ²	R ³	Description and physical properties
91			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.84(3H, t, J=7.5Hz), 0.92-1.88(32H, m), 0.99(3H, d, J=7.5Hz), 1.06(3H, d, J=6.5Hz), 1.89-2.03(2H, m), 2.15-2.38(3H, m), 2.31(6H, s), 2.43-2.54(1H, m), 2.64-2.73(1H, m), 2.80-2.89(1H, m), 3.13(1H, brs), 3.20(1H, dd, J=10.5, 7.5Hz), 3.28-3.40(2H, m), 3.65-3.76(1H, m), 3.80(1H, s), 4.02(1H, d, J=6.5Hz), 4.11-4.21(2H, m), 4.28-4.45(3H, m), 5.14(1H, d, J=11Hz), 5.23(1H, dd, J=11, 2.5Hz), 6.92-7.00(3H, m), 7.27-7.34(2H, m)</p> <p>HR-MS m/z 834.52338 [Calcd. for C₂₅H₃₄N₂O₁₂(M⁺): 834.52418]</p>
92			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.81(3H, t, J=7.5Hz), 0.85(3H, d, J=6.5Hz), 0.98(3H, d, J=7.5Hz), 1.05-1.63(20H, m), 1.87-1.98(1H, m), 2.13(1H, s), 2.21-2.37(2H, m), 2.26(6H, s), 2.60-2.70(1H, m), 2.76-2.85(1H, m), 3.03-3.18(3H, m), 3.24(1H, s), 3.42(1H, d, J=4.5Hz), 3.65(1H, d, J=14.5Hz), 3.67-3.77(1H, m), 3.70(1H, d, J=14.5Hz), 3.81(1H, s), 3.89(3H, s), 3.94(1H, d, J=6.5Hz), 4.12-4.23(2H, m), 4.35-4.45(3H, m), 5.09(1H, d, J=11Hz), 5.21(1H, dd, J=11, 2.5Hz), 6.85-6.98(4H, m), 7.18-7.41(5H, m)</p> <p>HR-MS m/z 700.37096 [Calcd. for C₂₃H₂₄NO₁₁(M⁺-C₈H₁₆NO₂): 700.36969]</p>

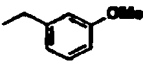
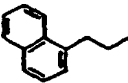
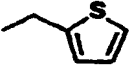
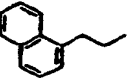
Example	R ²	R ³	Description and physical properties
93			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.81(3H, t, J=7.5Hz), 0.87(3H, d, J=6.5Hz), 0.99(3H, d, J=6.5Hz), 1.05–1.65(20H, m), 1.87–1.99(2H, m), 2.22–2.37(2H, m), 2.26(6H, s), 2.63–2.72(1H, m), 2.76–2.87(1H, m), 3.03–3.19(2H, m), 3.15(1H, dd, J=10.5, 7.5Hz), 3.27(1H, brs), 3.43(1H, d, J=4.5Hz), 3.60–3.83(2H, m), 3.65(1H, d, J=15Hz), 3.70(1H, d, J=15Hz), 3.79(3H, s), 3.94(1H, d, J=7.5Hz), 4.07–4.20(2H, m), 4.32–4.41(3H, m), 5.12(1H, d, J=11Hz), 5.21(1H, dd, J=11.5, 2Hz), 6.45–6.57(3H, m), 7.20(1H, t, J=8Hz), 7.23–7.40(5H, m)</p> <p>HR-MS m/z 684.37747 [Calcd. for C₃₉H₅₄NO₁₀ (M⁺-C₈H₁₃NO₂): 684.37477]</p>
94			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.81(3H, t, J=7.5Hz), 0.87(3H, d, J=6.5Hz), 1.00(3H, d, J=6.5Hz), 1.05–1.63(20H, m), 1.87–1.98(1H, m), 2.13(1H, s), 2.21–2.38(2H, m), 2.26(6H, s), 2.64–2.71(1H, m), 2.77–2.85(1H, m), 3.05–3.18(2H, m), 3.15(1H, dd, J=10.5, 7.5Hz), 3.26(1H, s), 3.41(1H, d, J=4.5Hz), 3.64(1H, d, J=14.5Hz), 3.65–3.75(1H, m), 3.69(1H, d, J=14.5Hz), 3.75(3H, s), 3.81(1H, s), 3.94(1H, d, J=7.5Hz), 4.03–4.15(2H, m), 4.33–4.39(2H, m), 4.39(1H, s), 5.12(1H, d, J=11Hz), 5.21(1H, dd, J=11.2, 5Hz), 6.86(2H, d, J=9.5Hz), 6.90(2H, d, J=9.5Hz), 7.18–7.40(5H, m)</p> <p>HR-MS m/z 700.36983 [Calcd. for C₃₈H₅₄NO₁₁ (M⁺-C₈H₁₀NO₂): 700.36969]</p>

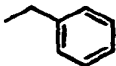

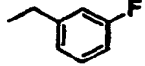
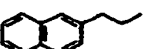
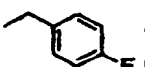

Example	R ²	R ³	Description and physical properties
95			pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.75–0.85(6H,m), 1.01(3H,d,J=7.5Hz), 1.05–1.73(20H,m), 1.86–1.97(1H,m), 1.98(1H,s), 2.18–2.37(2H,m), 2.26(6H,s), 2.63–2.72(1H,m), 2.74–2.84(1H,m), 3.00–3.08(2H,m), 3.12(1H,dd,J=10.5,7.5Hz), 3.28(1H,brs), 3.35(1H,d,J=5Hz), 3.57–3.72(1H,m), 3.63(1H,d,J=14.5Hz), 3.67(1H,d,J=14.5Hz), 3.75(1H,s), 3.90(1H,d,J=7.5Hz), 4.14–4.23(1H,m), 4.24–4.30(1H,m), 4.31(1H,s), 4.40–4.50(2H,m), 4.99(1H,d,J=10.5Hz), 5.16(1H,dd,J=11.2Hz), 7.05(2H,d,J=9Hz), 7.24–7.37(5H,m), 8.26(2H,d,J=9Hz) HR-MS m/z 675.39931 [Calcd. for C ₂₇ H ₂₇ NO ₁₀ (M ⁺ -C ₈ H ₁₀ N ₂ O ₂): 675.39825]
96			pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.82(3H,t,J=7.5Hz), 0.85(3H,d,J=6.5Hz), 1.00(3H,d,J=6.5Hz), 1.05–1.65(20H,m), 1.87–1.97(2H,m), 2.20–2.38(2H,m), 2.26(6H,s), 2.52(3H,s), 2.63–2.72(1H,m), 2.76–2.84(1H,m), 3.03–3.17(2H,m), 3.14(1H,d,J=10.7Hz), 3.27(1H,s), 3.37(1H,d,J=5Hz), 3.63(1H,d,J=14.5Hz), 3.63–3.75(1H,m), 3.68(1H,d,J=14.5Hz), 3.79(1H,s), 3.92(1H,d,J=7.5Hz), 4.15–4.27(2H,m), 4.34(1H,s), 4.37–4.47(2H,m), 5.05(1H,d,J=11Hz), 5.20(1H,dd,J=11.2,5Hz), 6.99(2H,d,J=8.5Hz), 7.17–7.40(5H,m), 7.97(2H,d,J=8.5Hz) HR-MS m/z 712.36975 [Calcd. for C ₃₀ H ₂₄ NO ₁₁ (M ⁺ -C ₈ H ₁₀ NO ₂): 712.36969]
97			colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.82(3H,t,J=7.5Hz), 0.87(3H,d,J=6.5Hz), 0.99(3H,d,J=6.5Hz), 1.05–1.73(20H,m), 1.87–1.98(2H,m), 2.20–2.41(2H,m), 2.29(6H,s), 2.63–2.72(1H,m), 2.76–2.86(1H,m), 3.03–3.20(2H,m), 3.16(1H,dd,J=10.5,7.5Hz), 3.27(1H,brs), 3.42(1H,d,J=5Hz), 3.60–3.75(1H,m), 3.65(1H,d,J=14.5Hz), 3.69(1H,d,J=14.5Hz), 3.79(1H,s), 3.93(1H,d,J=6.5Hz), 4.09–4.19(2H,m), 4.27–4.43(3H,m), 5.11(1H,d,J=11Hz), 5.20(1H,dd,J=11.2,5Hz), 6.61–6.70(2H,m), 6.75(1H,dd,J=8.5,2.5Hz), 7.21–7.38(6H,m) HR-MS m/z 846.46834 [Calcd. for C ₄₅ H ₄₇ FN ₂ O ₁₂ (M ⁺): 846.46781]

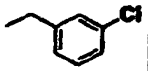

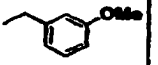
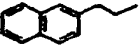
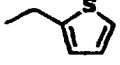
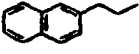
Example	R ²	R ³	Description and physical properties
98			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.87(3H,d,J=6.5Hz), 1.00(3H,d,J=7.5Hz), 1.07-1.80(20H,m), 1.88-1.98(1H,m), 2.08(1H,s), 2.23-2.38(2H,m), 2.26(6H,s), 2.65-2.72(1H,m), 2.77-2.87(1H,m), 3.03-3.12(1H,m), 3.13(1H,s), 3.15(1H,dd,J=10.5,7.5Hz), 3.29(1H,brs), 3.43(1H,d,J=4.5Hz), 3.63(1H,d,J=15Hz), 3.66-3.76(1H,m), 3.69(1H,d,J=15Hz), 3.79(1H,s), 3.82(3H,s), 3.86(3H,s), 3.94(1H,d,J=7.5Hz), 4.05-4.15(2H,m), 4.33-4.40(2H,m), 4.43(1H,s), 5.12(1H,d,J=10.5Hz), 5.21(1H,dd,J=11.5,2Hz), 6.43(1H,dd,J=8.5,3Hz), 6.56(1H,d,J=3Hz), 6.79(1H,d,J=8.5Hz), 7.22-7.40(5H,m)</p> <p>HR-MS m/z 714.38545 [Calcd. for C₃₉H₅₂NO₁₁ (M⁺-C₈H₁₈NO₃): 714.38534]</p>
99			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.88(3H,d,J=6.5Hz), 1.00(3H,d,J=6.5Hz), 1.10-1.80(21H,m), 1.87-1.98(1H,m), 2.05-2.18(2H,m), 2.21-2.30(1H,m), 2.27(6H,s), 2.30-2.38(1H,m), 2.60-2.72(1H,m), 2.76-2.87(1H,m), 3.03-3.18(1H,m), 3.13(1H,s), 3.16(1H,dd,J=10.5,7.5Hz), 3.29(1H,brs), 3.46(1H,d,J=5Hz), 3.60-3.75(1H,m), 3.66(1H,d,J=15Hz), 3.70(1H,d,J=15Hz), 3.73(1H,s), 3.95(1H,d,J=7.5Hz), 4.00-4.10(2H,m), 4.15-4.27(2H,m), 4.42(1H,s), 5.14(1H,d,J=11Hz), 5.21(1H,dd,J=11,2.5Hz), 6.88-6.97(3H,m), 7.23-7.38(7H,m)</p> <p>HR-MS m/z 668.38032 [Calcd. for C₃₈H₅₄NO₉ (M⁺-C₈H₁₈NO₃): 668.37986]</p>
100			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.87(3H,d,J=6.5Hz), 1.01(3H,d,J=6.5Hz), 1.03-2.00(26H,m), 2.22-2.40(2H,m), 2.29(6H,s), 2.60-2.70(1H,m), 2.77-2.85(1H,m), 3.05-3.13(2H,m), 3.17(1H,dd,J=10,7.5Hz), 3.28(1H,brs), 3.48(1H,d,J=5Hz), 3.61-3.73(4H,m), 3.93-4.03(2H,m), 3.96(1H,d,J=7.5Hz), 4.05-4.13(2H,m), 4.45(1H,s), 5.14(1H,d,J=11Hz), 5.21(1H,dd,J=11,2.5Hz), 6.85-7.00(3H,m), 7.20-7.40(7H,m)</p> <p>HR-MS m/z 698.39146 [Calcd. for C₃₉H₅₆NO₁₀ (M⁺-C₈H₁₈NO₂): 698.39042]</p>

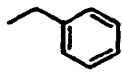
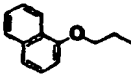
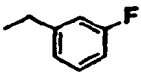
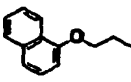
Example	R ²	R ³	Description and physical properties
101			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.88(3H,d,J=6.5Hz), 1.01(3H,d,J=6.5Hz), 1.08-1.70(20H,m), 1.85-2.00(1H,m), 2.03(1H,s), 2.25-2.40(2H,m), 2.27(6H,s), 2.65-2.70(1H,m), 2.75-2.85(1H,m), 3.05-3.20(4H,m), 3.17(1H,dd,J=10.5,7.5Hz), 3.28(1H,brs), 3.47(1H,d,J=4.5Hz), 3.60-3.75(1H,m), 3.65(1H,d,J=15Hz), 3.70(1H,d,J=15Hz), 3.77(1H,s), 3.96(1H,d,J=7.5Hz), 4.10-4.25(2H,m), 4.29(1H,s), 5.16(1H,d,J=10.5Hz), 5.21(1H,dd,J=11.2Hz), 7.10-7.45(10H,m)</p> <p>HR-MS m/z 686.33608 [Calcd. for C₃₇H₃₇NO₃S(M⁺-C₈H₁₀NO₂): 686.33628]</p>
102			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.80(3H,t,J=7.5Hz), 0.90(3H,d,J=6.5Hz), 0.97(3H,d,J=7.5Hz), 1.05-1.70(20H,m), 1.86-1.96(1H,m), 2.20-2.40(3H,m), 2.27(6H,s), 2.63-2.71(1H,m), 2.78-2.87(1H,m), 3.05-3.20(3H,m), 3.28-3.35(2H,m), 3.40-3.57(3H,m), 3.65(1H,d,J=15Hz), 3.70(1H,d,J=15Hz), 3.74(1H,s), 3.98(1H,d,J=7.5Hz), 4.23(1H,s), 4.32-4.38(1H,m), 4.54-4.62(1H,m), 5.15(1H,dd,J=11.25Hz), 5.19(1H,d,J=11Hz), 7.24-7.38(5H,m), 7.58-7.69(3H,m), 7.90-7.96(2H,m)</p> <p>HR-MS m/z 675.39827 [Calcd. for C₃₇H₃₇NO₁₀(M⁺-C₈H₁₁NO₃S): 675.39825]</p>
103			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.87(3H,d,J=6.5Hz), 0.95(3H,d,J=6.5Hz), 1.05-1.80(20H,m), 1.88-1.97(2H,m), 2.20-2.40(2H,m), 2.28(6H,s), 2.60-2.70(1H,m), 2.77-2.85(1H,m), 2.94(3H,s), 3.05-3.18(2H,m), 3.16(1H,dd,J=10.5,7.5Hz), 3.27(1H,s), 3.40-3.77(5H,m), 3.65(1H,d,J=14.5Hz), 3.70(1H,d,J=14.5Hz), 3.95(1H,d,J=7.5Hz), 4.21(2H,t,J=6Hz), 4.39(1H,s), 5.16(1H,d,J=11Hz), 5.21(1H,dd,J=11.5,2Hz), 6.65-6.80(3H,m), 7.19-7.40(7H,m)</p> <p>HR-MS m/z 683.38970 [Calcd. for C₃₈H₃₅N₂O₃(M⁺-C₈H₁₀NO₃): 683.39076]</p>

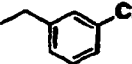
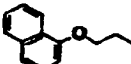
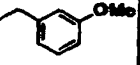
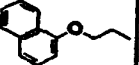
Example	R ²	R ³	Description and physical properties
104			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.88(3H,d,J=6.5Hz), 0.96(3H,d,J=7.5Hz), 1.05–1.70(21H,m), 1.87–1.99(1H,m), 2.19–2.39(2H,m), 2.27(6H,s), 2.59–2.72(1H,m), 2.75–2.89(1H,m), 3.03–3.20(2H,m), 3.15(1H,dd,J=10,7.5Hz), 3.27(1H,brs), 3.36–3.50(3H,m), 3.52–3.62(1H,m), 3.66(1H,d,J=15.5Hz), 3.70(1H,d,J=15.5Hz), 3.74(1H,s), 3.94(1H,d,J=7.5Hz), 4.29–4.50(2H,m), 4.47(1H,s), 5.14(1H,d,J=11Hz), 5.22(1H,dd,J=11.5,2Hz), 7.19–7.38(6H,m), 7.42(1H,t,J=7.5Hz), 7.45–7.56(2H,m), 7.74(1H,d,J=8.5Hz), 7.85(1H,d,J=8Hz), 8.05(1H,d,J=8Hz)</p> <p>HR-MS m/z 688.38778 [Calcd. for C₄₁H₅₄NO₈(M⁺-C₈H₁₈NO₃): 688.38494]</p>
105			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.91(3H,d,J=6.5Hz), 0.96(3H,d,J=6.5Hz), 1.09–1.75(22H,m), 1.90–2.00(1H,m), 2.20–2.40(2H,m), 2.27(6H,s), 2.61–2.71(1H,m), 2.79–2.89(1H,m), 3.06–3.20(2H,m), 3.15(1H,dd,J=10.5,7.5Hz), 3.38–3.49(3H,m), 3.52–3.63(1H,m), 3.65(1H,d,J=15.5Hz), 3.70(1H,d,J=15.5Hz), 3.74(1H,s), 3.93(1H,d,J=7.5Hz), 4.32–4.49(3H,m), 5.16(1H,d,J=11Hz), 5.23(1H,dd,J=11.5,2Hz), 6.91–7.17(3H,m), 7.22–7.58(5H,m), 7.74(1H,d,J=8.5Hz), 7.85(1H,d,J=8Hz), 8.05(1H,d,J=8.5Hz)</p> <p>HR-MS m/z 706.37457 [Calcd. for C₄₁H₅₃FNO₈(M⁺-C₈H₁₈NO₃): 706.37552]</p>
106			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.93(3H,d,J=6.5Hz), 0.96(3H,d,J=7.5Hz), 1.08–1.80(22H,m), 1.88–1.99(1H,m), 2.20–2.40(2H,m), 2.27(6H,s), 2.60–2.70(1H,m), 2.80–2.90(1H,m), 3.05–3.20(2H,m), 3.15(1H,dd,J=10.7Hz), 3.36–3.48(3H,m), 3.52–3.62(1H,m), 3.64(1H,d,J=15.5Hz), 3.68(1H,d,J=15.5Hz), 3.74(1H,s), 3.91(1H,d,J=7.5Hz), 4.31–4.50(3H,m), 5.16(1H,d,J=10.5Hz), 5.23(1H,dd,J=11.5,2Hz), 7.20–7.60(8H,m), 7.74(1H,d,J=7.5Hz), 7.85(1H,d,J=8Hz), 8.05(1H,d,J=8Hz)</p> <p>HR-MS m/z 722.34775 [Calcd. for C₄₁H₅₃³⁵ClNO₈(M⁺-C₈H₁₈NO₃): 722.34597] HR-MS m/z 724.34617 [Calcd. for C₄₁H₅₃³⁷ClNO₈(M⁺-C₈H₁₈NO₃): 724.34302]</p>


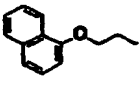
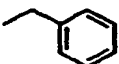
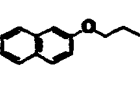
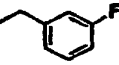
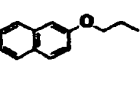
Example	R ²	R ³	Description and physical properties
107			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H, t, J=7.5Hz), 0.91(3H, d, J=6.5Hz), 0.96(3H, d, J=6.5Hz), 1.05–1.85(21H, m), 1.87–1.99(1H, m), 2.17–2.39(2H, m), 2.27(6H, s), 2.60–2.72(1H, m), 2.77–2.90(1H, m), 3.01–3.10(1H, m), 3.10–3.19(2H, m), 3.26(1H, brs), 3.35–3.45(3H, m), 3.52–3.70(1H, m), 3.63(1H, d, J=14.5Hz), 3.67(1H, d, J=14.5Hz), 3.75(1H, s), 3.80(3H, s), 3.92(1H, d, J=7.5Hz), 4.30–4.50(3H, m), 5.15(1H, d, J=10.5Hz), 5.23(1H, dd, J=11.5, 2Hz), 6.78–6.83(1H, m), 6.88–6.96(2H, m), 7.18–7.27(1H, m), 7.35(1H, d, J=7Hz), 7.38–7.56(3H, m), 7.74(1H, d, J=8Hz), 7.85(1H, d, J=8Hz), 8.05(1H, d, J=8.5Hz)</p> <p>HR-MS m/z 718.39612</p> <p>[Calcd. for C₄₅H₃₉NO₃ (M⁺-C₈H₁₀NO₃): 718.39551]</p>
108			<p>pale brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H, t, J=7.5Hz), 0.96(3H, d, J=7.5Hz), 0.99(3H, d, J=6.5Hz), 1.05–1.75(22H, m), 1.87–2.00(1H, m), 2.17–2.38(2H, m), 2.25(6H, s), 2.60–2.72(1H, m), 2.80–2.92(1H, m), 3.04–3.20(3H, m), 3.37–3.52(3H, m), 3.52–3.63(1H, m), 3.75(1H, s), 3.85–4.00(1H, m), 3.92(2H, s), 4.30–4.50(2H, m), 4.47(1H, s), 5.18(1H, d, J=10.5Hz), 5.24(1H, dd, J=11.2, 5Hz), 6.92–7.04(2H, m), 7.22(1H, dd, J=5, 1Hz), 7.35(1H, d, J=6.5Hz), 7.42(1H, t, J=7.5Hz), 7.45–7.57(2H, m), 7.75(1H, d, J=8Hz), 7.85(1H, d, J=8Hz), 8.05(1H, d, J=8.5Hz)</p> <p>HR-MS m/z 694.34188</p> <p>[Calcd. for C₃₉H₃₃NO₃S (M⁺-C₈H₁₀NO₃): 694.34136]</p>

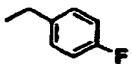
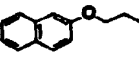
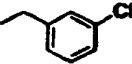
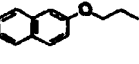
Example	R ²	R ³	Description and physical properties
109			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.89(3H,d,J=6.5Hz), 0.95(3H,d,J=6.5Hz), 1.02-1.67(21H,m), 1.87-1.98(1H,m), 2.18-2.37(2H,m), 2.26(6H,s), 2.60-2.68(1H,m), 2.76-2.85(1H,m), 3.03-3.18(5H,m), 3.25(1H,brs), 3.35(1H,d,J=4.5Hz), 3.51-3.60(1H,m), 3.65(1H,d,J=15Hz), 3.70(1H,d,J=15Hz), 3.74(1H,s), 3.92(1H,d,J=7.5Hz), 4.30-4.39(2H,m), 4.49(1H,s), 5.12(1H,d,J=11Hz), 5.23(1H,dd,J=11.5,2Hz), 7.23-7.38(6H,m), 7.39-7.49(2H,m), 7.64(1H,s), 7.77-7.83(3H,m)</p> <p>HR-MS m/z 688.38620 [Calcd. for C₄₁H₃₄NO₂ (M⁺-C₈H₁₀NO₂): 688.38494]</p>
110			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.92(3H,d,J=6.5Hz), 0.95(3H,d,J=6.5Hz), 1.06-1.61(21H,m), 1.90-1.98(1H,m), 2.20-2.34(2H,m), 2.26(6H,s), 2.63-2.69(1H,m), 2.77-2.85(1H,m), 3.03-3.15(5H,m), 3.25(1H,brs), 3.33(1H,d,J=4.5Hz), 3.50-3.57(1H,m), 3.65(1H,d,J=15.5Hz), 3.70(1H,d,J=15.5Hz), 3.74(1H,s), 3.89(1H,d,J=7.5Hz), 4.31-4.38(2H,m), 4.49(1H,s), 5.14(1H,d,J=11Hz), 5.23(1H,dd,J=11.2Hz), 6.95-7.01(1H,m), 7.06-7.16(2H,m), 7.27-7.47(4H,m), 7.64(1H,s), 7.78-7.82(3H,m)</p> <p>HR-MS m/z 706.37315 [Calcd. for C₄₁H₃₃FNO₂ (M⁺-C₈H₁₀NO₂): 706.37552]</p>
111			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.89(3H,d,J=6.5Hz), 0.95(3H,d,J=6.5Hz), 1.03-1.60(22H,m), 1.90-2.00(1H,m), 2.20-2.40(2H,m), 2.26(6H,s), 2.60-2.68(1H,m), 2.77-2.84(1H,m), 3.06-3.20(5H,m), 3.33(1H,d,J=4.5Hz), 3.50-3.60(1H,m), 3.62(1H,d,J=15.5Hz), 3.67(1H,d,J=15.5Hz), 3.74(1H,s), 3.89(1H,d,J=8.5Hz), 4.30-4.40(2H,m), 4.49(1H,s), 5.13(1H,d,J=11Hz), 5.23(1H,dd,J=11.5,2Hz), 7.02(2H,t,J=9Hz), 7.30-7.49(5H,m), 7.64(1H,s), 7.76-7.84(3H,m)</p> <p>HR-MS m/z 708.37610 [Calcd. for C₄₁H₃₃FNO₂ (M⁺-C₈H₁₀NO₂): 706.37552]</p>

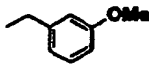
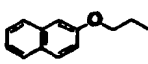

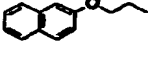
Example	R ²	R ³	Description and physical properties
112			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.94(3H,d,J=6.5Hz), 0.95(3H,d,J=6.5Hz), 1.02-1.60(22H,m), 1.90-2.00(1H,m), 2.20-2.40(2H,m), 2.26(6H,s), 2.60-2.70(1H,m), 2.78-2.87(1H,m), 3.02-3.20(5H,m), 3.32(1H,d,J=4.5Hz), 3.51-3.60(1H,m), 3.64(1H,d,J=15.5Hz), 3.68(1H,d,J=15.5Hz), 3.74(1H,s), 3.87(1H,d,J=7Hz), 4.32-4.40(2H,m), 4.50(1H,s), 5.14(1H,d,J=10.5Hz), 5.23(1H,dd,J=11.5,2Hz), 7.20-7.50(7H,m), 7.64(1H,s), 7.75-7.82(3H,m)</p> <p>HR-MS m/z 722.34607 [Calcd. for C₄₁H₃₃²⁵ClNO₆(M⁺-C₈H₁₀NO₂): 722.34597] HR-MS m/z 724.34407 [Calcd. for C₄₁H₃₃²⁷ClNO₆(M⁺-C₈H₁₀NO₂): 724.34302]</p>
113			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.92(3H,d,J=6.5Hz), 0.95(3H,d,J=6.5Hz), 1.00-1.75(22H,m), 1.88-1.98(1H,m), 2.18-2.36(2H,m), 2.26(6H,s), 2.60-2.69(1H,m), 2.77-2.87(1H,m), 2.99-3.17(5H,m), 3.34(1H,d,J=5Hz), 3.51-3.59(1H,m), 3.62(1H,d,J=15Hz), 3.67(1H,d,J=15Hz), 3.74(1H,s), 3.80(3H,s), 3.89(1H,d,J=7.5Hz), 4.30-4.39(2H,m), 4.50(1H,s), 5.13(1H,d,J=11Hz), 5.23(1H,dd,J=11.2,5Hz), 6.81(1H,dd,J=8.5,2Hz), 6.89-6.96(2H,m), 7.20-7.28(1H,m), 7.34(1H,dd,J=8.5,2Hz), 7.39-7.49(2H,m), 7.64(1H,s), 7.75-7.83(3H,m)</p> <p>HR-MS m/z 718.39454 [Calcd. for C₄₂H₃₆NO₆(M⁺-C₈H₁₀NO₂): 718.39551]</p>
114			<p>pale brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84(3H,t,J=7.5Hz), 0.95(3H,d,J=6.5Hz), 1.01(3H,d,J=6.5Hz), 1.04-1.70(22H,m), 1.87-2.00(1H,m), 2.17-2.36(2H,m), 2.24(6H,s), 2.60-2.68(1H,m), 2.81-2.90(1H,m), 3.03-3.18(5H,m), 3.34(1H,d,J=4.5Hz), 3.51-3.60(1H,m), 3.75(1H,s), 3.89(1H,d,J=7.5Hz), 3.92(2H,s), 4.31-4.41(2H,m), 4.50(1H,s), 5.16(1H,d,J=11Hz), 5.24(1H,dd,J=11.5,2Hz), 6.93-6.97(1H,m), 6.98-7.02(1H,m), 7.23(1H,dd,J=5.5,1Hz), 7.34(1H,dd,J=8.1,5Hz), 7.39-7.50(2H,m), 7.64(1H,s), 7.76-7.84(3H,m)</p> <p>HR-MS m/z 694.34085 [Calcd. for C₃₉H₃₂NO₄S(M⁺-C₈H₁₀NO₂): 694.34136]</p>

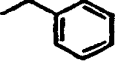
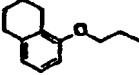
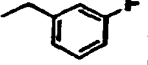
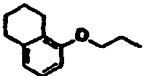
Example	R ²	R ³	Description and physical properties
115			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.89(3H,d,J=6.5Hz), 0.93(3H,d,J=7.5Hz), 1.02–1.65(20H,m), 1.81(1H,s), 1.88–2.00(1H,m), 2.17–2.40(2H,m), 2.27(6H,s), 2.63–2.72(1H,m), 2.77–2.86(1H,m), 3.00–3.17(3H,m), 3.25(1H,s), 3.37(1H,d,J=4.5Hz), 3.60–3.75(1H,m), 3.65(1H,d,J=15Hz), 3.70(1H,d,J=15Hz), 3.78(1H,s), 3.91(1H,d,J=7.5Hz), 4.28–4.40(2H,m), 4.42(1H,s), 4.48–4.56(2H,m), 5.12(1H,d,J=10.5Hz), 5.20–5.26(1H,m), 6.84(1H,d,J=7.5Hz), 7.21–7.54(9H,m), 7.78(1H,d,J=8Hz), 8.29(1H,d,J=8.5Hz)</p> <p>HR-MS m/z 705.38961</p> <p>[Calcd. for C₄₁H₃₃NO₂ (M⁺+1-C₈H₁₈NO₂): 705.38768]</p>
116			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.92(3H,d,J=6.5Hz), 0.93(3H,d,J=6Hz), 1.02–1.68(20H,m), 1.83(1H,s), 1.90–2.00(1H,m), 2.20–2.38(2H,m), 2.25(6H,s), 2.65–2.72(1H,m), 2.78–2.88(1H,m), 3.00–3.16(2H,m), 3.12(1H,dd,J=10.5,7.5Hz), 3.25(1H,brs), 3.36(1H,d,J=4.5Hz), 3.60–3.76(1H,m), 3.65(1H,d,J=15Hz), 3.70(1H,d,J=15Hz), 3.78(1H,s), 3.90(1H,d,J=7.5Hz), 4.30–4.40(2H,m), 4.43(1H,s), 4.50–4.59(2H,m), 5.13(1H,d,J=11Hz), 5.23(1H,dd,J=11,2.5Hz), 6.85(1H,d,J=8Hz), 6.92–7.16(3H,m), 7.21–7.55(5H,m), 7.79(1H,d,J=7.5Hz), 8.29(1H,d,J=8Hz)</p> <p>HR-MS m/z 722.36943</p> <p>[Calcd. for C₄₁H₃₃FNO₂ (M⁺-C₈H₁₈NO₂): 722.37044]</p>

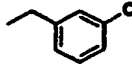
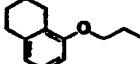
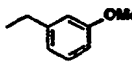
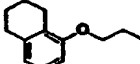
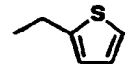
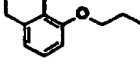
Example	R ²	R ³	Description and physical properties
117			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84(3H,t,J=7.5Hz), 0.93(3H,d,J=6.5Hz), 0.94(3H,d,J=6.5Hz), 1.00-1.68(20H,m), 1.82(1H,s), 1.90-2.00(1H,m), 2.20-2.40(2H,m), 2.26(6H,s), 2.64-2.72(1H,m), 2.79-2.90(1H,m), 3.00-3.10(1H,m), 3.12(1H,dd,J=10.7.5Hz), 3.13(1H,s), 3.26(1H,brs), 3.35(1H,d,J=5Hz), 3.60-3.75(1H,m), 3.63(1H,d,J=15.5Hz), 3.68(1H,d,J=15.5Hz), 3.78(1H,s), 3.88(1H,d,J=6.5Hz), 4.31-4.39(2H,m), 4.43(1H,s), 4.49-4.56(2H,m), 5.13(1H,d,J=11Hz), 5.24(1H,dd,J=11.5,2Hz), 6.84(1H,d,J=8Hz), 7.20-7.55(8H,m), 7.79(1H,d,J=7.5Hz), 8.29(1H,d,J=8Hz)</p> <p>HR-MS m/z 738.33841 [Calcd. for C₄₁H₅₃³⁵ClNO₉(M⁺-C₈H₁₈NO₂): 738.34089] HR-MS m/z 740.34047 [Calcd. for C₄₁H₅₃³⁷ClNO₉(M⁺-C₈H₁₈NO₂): 740.33793]</p>
118			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.92(3H,d,J=6.5Hz), 0.93(3H,d,J=7.5Hz), 1.00-1.65(20H,m), 1.81(1H,s), 1.88-1.96(1H,m), 2.18-2.38(2H,m), 2.26(6H,s), 2.63-2.72(1H,m), 2.78-2.87(1H,m), 2.96-3.06(1H,m), 3.11(1H,dd,J=10.5,7.5Hz), 3.13(1H,s), 3.24(1H,s), 3.38(1H,d,J=4.5Hz), 3.58-3.74(1H,m), 3.62(1H,d,J=14.5Hz), 3.67(1H,d,J=14.5Hz), 3.78(1H,s), 3.81(3H,s), 3.89(1H,d,J=7.5Hz), 4.30-4.40(2H,m), 4.42(1H,s), 4.48-4.57(2H,m), 5.13(1H,d,J=11Hz), 5.23(1H,dd,J=11.5,2Hz), 6.81(1H,dd,J=8,1.5Hz), 6.85(1H,d,J=6.5Hz), 6.89-6.98(2H,m), 7.23(1H,t,J=8Hz), 7.38(1H,t,J=8Hz), 7.42-7.54(3H,m), 7.79(1H,d,J=7.5Hz), 8.29(1H,d,J=8.5Hz)</p> <p>HR-MS m/z 734.39088 [Calcd. for C₄₂H₅₈NO₁₀(M⁺-C₈H₁₈NO₂): 734.39042]</p>

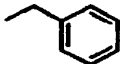
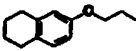
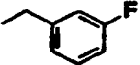
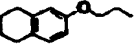
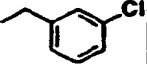

Example	R ²	R ³	Description and physical properties
119			pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.84(3H,t,J=7.5Hz), 0.93(3H,d,J=6.5Hz), 0.98-1.70(19H,m), 1.01(3H,d,J=6.5Hz), 1.82(1H,s), 1.89-2.00(1H,m), 2.15-2.40(2H,m), 2.25(6H,s), 2.62-2.75(1H,m), 2.80-2.93(1H,m), 3.00-3.33(3H,m), 3.11(1H,dd,J=10.7,5Hz), 3.37(1H,d,J=4.5Hz), 3.64-3.76(1H,m), 3.78(1H,s), 3.85-3.95(3H,m), 4.27-4.40(2H,m), 4.44(1H,s), 4.48-4.57(2H,m), 5.16(1H,d,J=11Hz), 5.25(1H,dd,J=11.5,2Hz), 6.85(1H,d,J=7.5Hz), 6.92-7.02(2H,m), 7.18-7.28(1H,m), 7.32-7.56(4H,m), 7.79(1H,d,J=7.5Hz), 8.30(1H,d,J=8.5Hz) HR-MS m/z 710.33546 [Calcd. for C ₂₃ H ₂₂ NO ₂ S(M ⁺ -C ₈ H ₁₀ NO ₂): 710.33628]
120			colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.82(3H,t,J=7.5Hz), 0.86(3H,d,J=6.5Hz), 0.99(3H,d,J=6.5Hz), 1.02-1.73(20H,m), 1.88-1.98(1H,m), 2.09(1H,s), 2.20-2.38(2H,m), 2.27(6H,s), 2.63-2.73(1H,m), 2.77-2.86(1H,m), 3.02-3.18(2H,m), 3.14(1H,dd,J=10.5,7.5Hz), 3.26(1H,brs), 3.43(1H,d,J=4.5Hz), 3.65(1H,d,J=15.5Hz), 3.67-3.77(1H,m), 3.70(1H,d,J=15.5Hz), 3.81(1H,s), 3.93(1H,d,J=7.5Hz), 4.22-4.33(2H,m), 4.39(1H,s), 4.40-4.50(2H,m), 5.15(1H,d,J=11.5Hz), 5.23(1H,dd,J=11.5,2Hz), 7.15(1H,d,J=2.5Hz), 7.20-7.44(8H,m), 7.71-7.80(3H,m) HR-MS m/z 720.37571 [Calcd. for C ₃₁ H ₂₄ NO ₂ (M ⁺ -C ₈ H ₁₀ NO ₂): 720.37477]
121			pale yellow amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.83(3H,t,J=7.5Hz), 0.89(3H,d,J=6.5Hz), 0.99(3H,d,J=7.5Hz), 1.02-1.72(20H,m), 1.88-1.99(1H,m), 2.11(1H,s), 2.20-2.37(2H,m), 2.25(6H,s), 2.65-2.73(1H,m), 2.78-2.87(1H,m), 3.03-3.18(2H,m), 3.14(1H,dd,J=10.7,5Hz), 3.26(1H,brs), 3.41(1H,d,J=5Hz), 3.65-3.77(1H,m), 3.65(1H,d,J=15.5Hz), 3.69(1H,d,J=15.5Hz), 3.82(1H,s), 3.92(1H,d,J=7.5Hz), 4.22-4.33(2H,m), 4.40(1H,s), 4.41-4.51(2H,m), 5.16(1H,d,J=10.5Hz), 5.23(1H,dd,J=11.2Hz), 6.98(1H,t,d,J=8,2.5Hz), 7.09(1H,d,J=10Hz), 7.13(1H,d,J=8Hz), 7.16(1H,d,J=2.5Hz), 7.24(1H,dd,J=9,2.5Hz), 7.26-7.44(3H,m), 7.71-7.81(3H,m) HR-MS m/z 738.36613 [Calcd. for C ₃₁ H ₂₃ FNO ₂ (M ⁺ -C ₈ H ₁₀ NO ₂): 738.36535]

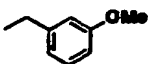
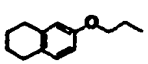
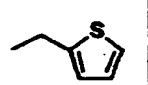
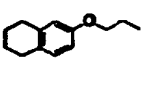
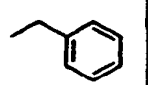
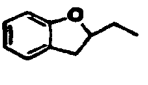
Example	R ²	R ³	Description and physical properties
122			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.82(3H,t,J=7.5Hz),0.86(3H,d,J=6.5Hz),0.99(3H,d,J=6.5Hz),1.03-1.72(20H,m),1.88-1.98(1H,m),2.09(1H,s),2.20-2.36(2H,m),2.26(6H,s),2.64-2.73(1H,m),2.77-2.86(1H,m),3.04-3.18(2H,m),3.14(1H,dd,J=10.5,7.5Hz),3.26(1H,brs),3.41(1H,d,J=4.5Hz),3.62(1H,d,J=15.5Hz),3.66(1H,d,J=15.5Hz),3.67-3.77(1H,m),3.81(1H,s),3.91(1H,d,J=7.5Hz),4.22-4.33(2H,m),4.39(1H,s),4.40-4.50(2H,m),5.15(1H,d,J=10.5Hz),5.23(1H,dd,J=11.2,5Hz),7.02(2H,t,J=8.5Hz),7.15(1H,d,J=2.5Hz),7.23(1H,dd,J=9.2,5Hz),7.27-7.35(3H,m),7.38-7.44(1H,m),7.71-7.80(3H,m)</p> <p>HR-MS m/z 738.36497 [Calcd.for C₄₁H₅₃FNO₁₀(M⁺-C₈H₁₆NO₂):738.36535]</p>
123			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.83(3H,t,J=7.5Hz),0.91(3H,d,J=6.5Hz),0.99(3H,d,J=6.5Hz),1.02-1.77(20H,m),1.89-1.99(1H,m),2.10(1H,s),2.20-2.37(2H,m),2.27(6H,s),2.65-2.73(1H,m),2.78-2.88(1H,m),3.02-3.18(2H,m),3.14(1H,dd,J=10.7,7.5Hz),3.26(1H,brs),3.41(1H,d,J=4.5Hz),3.63(1H,d,J=15.5Hz),3.67(1H,d,J=15.5Hz),3.68-3.77(1H,m),3.82(1H,s),3.89(1H,d,J=7.5Hz),4.23-4.33(2H,m),4.39(1H,s),4.41-4.50(2H,m),5.16(1H,d,J=11Hz),5.23(1H,dd,J=11.5,2Hz),7.15(1H,d,J=2.5Hz),7.21-7.44(7H,m),7.71-7.80(3H,m)</p> <p>HR-MS m/z 754.33809 [Calcd.for C₄₁H₅₃³⁵ClNO₁₀(M⁺-C₈H₁₆NO₂):754.33580] HR-MS m/z 756.33135 [Calcd.for C₄₁H₅₃³⁷ClNO₁₀(M⁺-C₈H₁₆NO₂):756.33285]</p>

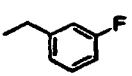
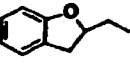
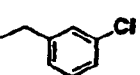
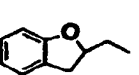
Example	R ²	R ³	Description and physical properties
124			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.90(3H,d,J=6.5Hz), 0.99(3H,d,J=6.5Hz), 1.03–1.75(20H,m), 1.88–2.00(1H,m), 2.08(1H,s), 2.20–2.40(2H,m), 2.25(6H,s), 2.65–2.75(1H,m), 2.78–2.88(1H,m), 3.00–3.09(1H,m), 3.12(1H,s), 3.13(1H,dd,J=11.7.5Hz), 3.26(1H,brs), 3.43(1H,d,J=5Hz), 3.60–3.85(2H,m), 3.62(1H,d,J=14.5Hz), 3.67(1H,d,J=14.5Hz), 3.80(3H,s), 3.91(1H,d,J=7.5Hz), 4.21–4.34(2H,m), 4.39(1H,s), 4.41–4.51(2H,m), 5.16(1H,d,J=11Hz), 5.23(1H,dd,J=11.2Hz), 6.81(1H,dd,J=8.1.5Hz), 6.90–6.97(2H,m), 7.11–7.45(5H,m), 7.70–7.80(3H,m)</p> <p>HR-MS m/z 734.39212</p> <p>[Calcd. for C₄₂H₃₈NO₁₀(M⁺-C₈H₁₆NO₂): 734.39042]</p>
125			<p>pale brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.84(3H,t,J=7.5Hz), 0.98(3H,d,J=6.5Hz), 0.99(3H,d,J=6.5Hz), 1.02–1.72(20H,m), 1.89–1.99(1H,m), 2.11(1H,s), 2.24(6H,s), 2.25–2.36(2H,m), 2.65–2.73(1H,m), 2.82–2.91(1H,m), 3.05–3.16(2H,m), 3.13(1H,dd,J=10.8Hz), 3.27(1H,brs), 3.43(1H,d,J=4.5Hz), 3.68–3.77(1H,m), 3.82(1H,s), 3.91(1H,d,J=7.5Hz), 3.92(2H,s), 4.22–4.33(2H,m), 4.41(1H,s), 4.41–4.51(2H,m), 5.19(1H,d,J=11.5Hz), 5.24(1H,dd,J=11.5.2Hz), 6.96(1H,dd,J=5.3.5Hz), 7.00(1H,dd,J=3.5.1Hz), 7.16(1H,d,J=2.5Hz), 7.19–7.27(2H,m), 7.33(1H,td,J=7.5.1Hz), 7.42(1H,td,J=7.5.1Hz), 7.70–7.83(3H,m)</p> <p>HR-MS m/z 726.33411</p> <p>[Calcd. for C₃₈H₃₂FNO₁₀S(M⁺-C₈H₁₆NO₂): 726.33120]</p>

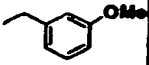
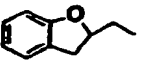
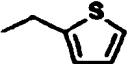
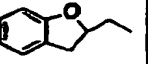
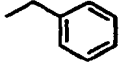
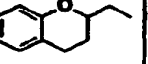
Example	R ²	R ³	Description and physical properties
126			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.87(3H,d,J=6.5Hz), 0.97(3H,d,J=7.5Hz), 1.00-1.80(25H,m), 1.88-1.98(1H,m), 2.20-2.40(2H,m), 2.27(6H,s), 2.60-2.85(6H,m), 3.05-3.20(2H,m), 3.15(1H,dd,J=10,7Hz), 3.28(1H,brs), 3.42(1H,d,J=4.5Hz), 3.62-3.78(2H,m), 3.65(1H,d,J=14.5Hz), 3.69(1H,d,J=14.5Hz), 3.94(1H,d,J=7.5Hz), 4.10-4.20(2H,m), 4.34-4.42(3H,m), 5.10(1H,d,J=10.5Hz), 5.21(1H,dd,J=11.2,5Hz), 6.65(1H,d,J=8Hz), 6.71(1H,d,J=7.5Hz), 7.05(1H,t,J=8Hz), 7.20-7.40(5H,m)</p> <p>HR-MS m/z 724.40608 [Calcd. for C₄₁H₅₈NO₁₀(M⁺-C₈H₁₈NO₂): 724.40607]</p>
127			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.90(3H,d,J=6.5Hz), 0.98(3H,d,J=7.5Hz), 1.06-1.83(25H,m), 1.88-2.00(1H,m), 2.20-2.39(2H,m), 2.28(6H,s), 2.62-2.88(6H,m), 3.05-3.20(2H,m), 3.15(1H,dd,J=10.5,7.5Hz), 3.28(1H,brs), 3.40(1H,d,J=4.5Hz), 3.60-3.73(1H,m), 3.64(1H,d,J=15Hz), 3.69(1H,d,J=15Hz), 3.76(1H,s), 3.92(1H,d,J=7.5Hz), 4.10-4.20(2H,m), 4.33-4.43(3H,m), 5.11(1H,d,J=11Hz), 5.22(1H,dd,J=11.5,2Hz), 6.65(1H,d,J=8.5Hz), 6.71(1H,d,J=7.5Hz), 6.92-7.16(4H,m), 7.22-7.32(1H,m)</p> <p>HR-MS m/z 726.39938 [Calcd. for C₄₁H₅₇FNO₉(M⁺-C₈H₁₈NO₂): 726.40174]</p>

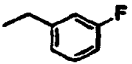
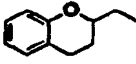
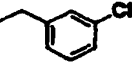
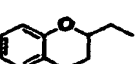
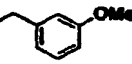
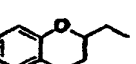
Example	R ²	R ³	Description and physical properties
128			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.92(3H,d,J=6.5Hz), 0.98(3H,d,J=7.5Hz), 1.05–1.83(25H,m), 1.88–2.00(1H,m), 2.20–2.40(2H,m), 2.27(6H,s), 2.62–2.90(6H,m), 3.02–3.20(2H,m), 3.15(1H,dd,J=10.5,7.5Hz), 3.28(1H,br s), 3.39(1H,d,J=4.5Hz), 3.60–3.73(1H,m), 3.63(1H,d,J=15.5Hz), 3.68(1H,d,J=15.5Hz), 3.76(1H,s), 3.90(1H,d,J=7.5Hz), 4.09–4.20(2H,m), 4.34–4.43(3H,m), 5.11(1H,d,J=11Hz), 5.22(1H,dd,J=11.2Hz), 6.65(1H,d,J=8.5Hz), 6.71(1H,d,J=8Hz), 7.05(1H,t,J=8Hz), 7.20–7.39(4H,m)</p> <p>HR-MS m/z 742.37428 [Calcd. for C₄₁H₅₇³⁵ClNO₂(M⁺-C₈H₁₆NO₂): 742.37218]</p> <p>HR-MS m/z 744.36812 [Calcd. for C₄₁H₅₇³⁷ClNO₂(M⁺-C₈H₁₆NO₂): 744.36923]</p>
129			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.90(3H,d,J=6.5Hz), 0.97(3H,d,J=7.5Hz), 1.05–1.83(25H,m), 1.86–1.99(1H,m), 2.18–2.38(2H,m), 2.26(6H,s), 2.60–2.87(6H,m), 2.98–3.33(4H,m), 3.41(1H,d,J=4.5Hz), 3.56–3.84(2H,m), 3.62(1H,d,J=15Hz), 3.66(1H,d,J=15Hz), 3.80(3H,s), 3.91(1H,d,J=7.5Hz), 4.08–4.20(2H,m), 4.30–4.44(3H,m), 5.10(1H,d,J=11Hz), 5.21(1H,dd,J=11.2Hz), 6.65(1H,d,J=8.5Hz), 6.71(1H,d,J=7.5Hz), 6.77–6.85(1H,m), 6.89–6.96(2H,m), 7.05(1H,t,J=8Hz), 7.18–7.28(1H,m)</p> <p>HR-MS m/z 705.40964 [Calcd. for C₃₉H₅₉NO₂(M⁺-C₁₂H₁₇NO₂): 705.40881]</p>
130			<p>pale brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.97(3H,d,J=7.5Hz), 0.99(3H,d,J=6Hz), 1.05–1.83(25H,m), 1.78(1H,s), 1.88–2.00(1H,m), 2.18–2.38(2H,m), 2.25(6H,s), 2.58–2.92(6H,m), 3.01–3.20(3H,m), 3.41(1H,d,J=4.5Hz), 3.63–3.80(1H,m), 3.76(1H,s), 3.85–3.99(3H,m), 4.05–4.21(2H,m), 4.27–4.46(3H,m), 5.13(1H,d,J=11Hz), 5.23(1H,dd,J=11.5,2Hz), 6.65(1H,d,J=8Hz), 6.72(1H,d,J=7.5Hz), 6.91–7.09(3H,m), 7.22(1H,dd,J=5,1Hz)</p> <p>HR-MS m/z 714.36883 [Calcd. for C₃₉H₅₄NO₂S(M⁺-C₈H₁₆NO₂): 714.36069]</p>

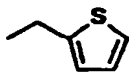
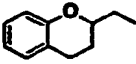
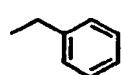
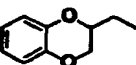
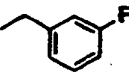
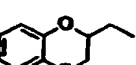
Example	R ²	R ³	Description and physical properties
131			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.87(3H,d,J=6.5Hz), 0.99(3H,d,J=7.5Hz), 1.05–1.80(24H,m), 1.88–2.00(2H,m), 2.20–2.40(2H,m), 2.27(6H,s), 2.60–2.85(6H,m), 3.05–3.18(2H,m), 3.15(1H,dd,J=10.5,7.5Hz), 3.26(1H,brs), 3.43(1H,d,J=5Hz), 3.60–3.78(1H,m), 3.65(1H,d,J=14.5Hz), 3.70(1H,d,J=14.5Hz), 3.79(1H,s), 3.94(1H,d,J=7.5Hz), 4.08–4.15(2H,m), 4.32–4.33(2H,m), 4.40(1H,s), 5.12(1H,d,J=11Hz), 5.21(1H,dd,J=11,2.5Hz), 6.64(1H,d,J=2.5Hz), 6.70(1H,dd,J=8.5,2.5Hz), 6.98(1H,d,J=8.5Hz), 7.20–7.40(5H,m)</p> <p>HR-MS m/z 724.40385 [Calcd. for C₄₁H₅₈NO₁₀(M⁺-C₈H₁₈NO₂): 724.40607]</p>
132			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.90(3H,d,J=6.5Hz), 0.99(3H,d,J=7.5Hz), 1.05–1.80(24H,m), 1.90–2.00(1H,m), 2.00(1H,s), 2.20–2.40(2H,m), 2.26(6H,s), 2.60–2.85(6H,m), 3.05–3.20(2H,m), 3.15(1H,dd,J=10.6,5Hz), 3.26(1H,brs), 3.41(1H,d,J=4.5Hz), 3.60–3.75(1H,m), 3.64(1H,d,J=15.5Hz), 3.70(1H,d,J=15.5Hz), 3.79(1H,s), 3.92(1H,d,J=7.5Hz), 4.07–4.15(2H,m), 4.30–4.37(2H,m), 4.41(1H,s), 5.13(1H,d,J=11Hz), 5.22(1H,dd,J=11.5,2Hz), 6.64(1H,d,J=2.5Hz), 6.70(1H,dd,J=8.5,2.5Hz), 6.93–7.00(2H,m), 7.05–7.15(2H,m), 7.22–7.35(1H,m)</p> <p>HR-MS m/z 742.39624 [Calcd. for C₄₁H₅₇FNO₁₀(M⁺-C₈H₁₈NO₂): 742.39665]</p>
133			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.92(3H,d,J=6.5Hz), 0.99(3H,d,J=6.5Hz), 1.05–1.80(24H,m), 1.90–2.00(1H,m), 2.00(1H,s), 2.20–2.40(2H,m), 2.27(6H,s), 2.60–2.80(6H,m), 3.05–3.18(2H,m), 3.15(1H,dd,J=10.5,7.5Hz), 3.26(1H,brs), 3.41(1H,d,J=5Hz), 3.60–3.75(1H,m), 3.63(1H,d,J=15.5Hz), 3.68(1H,d,J=15.5Hz), 3.79(1H,s), 3.91(1H,d,J=7.5Hz), 4.08–4.15(2H,m), 4.32–4.38(2H,m), 4.41(1H,s), 5.13(1H,d,J=11Hz), 5.22(1H,dd,J=11,2Hz), 6.64(1H,d,J=2.5Hz), 6.70(1H,dd,J=8.5,2.5Hz), 6.98(1H,d,J=8.5Hz), 7.20–7.40(4H,m)</p> <p>HR-MS m/z 742.37291 [Calcd. for C₄₁H₅₇³⁵ClNO₉(M⁺-C₈H₁₈NO₂): 742.37218] HR-MS m/z 744.36812 [Calcd. for C₄₁H₅₇³⁷ClNO₉(M⁺-C₈H₁₈NO₂): 744.36923]</p>

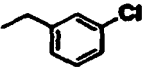
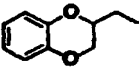
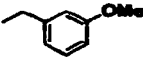
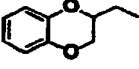
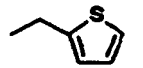
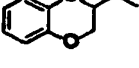
Example	R ²	R ³	Description and physical properties
134			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.82(3H,t,J=7.5Hz),0.90(3H,d,J=6.5Hz),0.99(3H,d,J=6.5Hz),1.05-1.83(24H,m),1.86-1.99(2H,m),2.18-2.38(2H,m),2.26(6H,s),2.58-2.88(8H,m),2.98-3.33(4H,m),3.43(1H,d,J=4.5Hz),3.55-3.87(2H,m),3.62(1H,d,J=14.5Hz),3.67(1H,d,J=14.5Hz),3.80(3H,s),3.92(1H,d,J=8.5Hz),4.03-4.18(2H,m),4.23-4.46(2H,m),4.40(1H,s),5.12(1H,d,J=11Hz),5.21(1H,dd,J=11,2.5Hz),6.64(1H,d,J=2.5Hz),6.70(1H,dd,J=8.5,2.5Hz),6.80(1H,dd,J=8,2Hz),6.89-6.95(2H,m),6.98(1H,d,J=8.5Hz),7.22(1H,t,J=8Hz)</p> <p>HR-MS m/z 738.41967</p> <p>[Calcd.for C₃₂H₃₀NO₃(M⁺-C₈H₁₆NO₃):738.42172]</p>
135			<p>pale brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.83(3H,t,J=7.5Hz),0.98(3H,d,J=6.5Hz),0.99(3H,d,J=7.5Hz),1.06-1.82(25H,m),1.88-2.03(2H,m),2.18-2.38(2H,m),2.25(6H,s),2.60-2.91(6H,m),3.03-3.20(3H,m),3.42(1H,d,J=4.5Hz),3.66-3.77(1H,m),3.80(1H,s),3.86-3.98(3H,m),4.06-4.18(2H,m),4.30-4.43(3H,m),5.15(1H,d,J=11Hz),5.23(1H,dd,J=11,2.5Hz),6.61-6.66(1H,m),6.70(1H,dd,J=8.2,5Hz),6.92-7.02(3H,m),7.22(1H,dd,J=5,1Hz)</p> <p>HR-MS m/z 714.36686</p> <p>[Calcd.for C₂₈H₂₆NO₃S(M⁺-C₈H₁₆NO₃):714.36069]</p>
136			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.81,0.82(total 3H,each t,J=7.5Hz),0.86,0.89(total 3H,each d,J=6.5Hz),0.95,0.97(total 3H,each t,J=6.5Hz),1.05-1.75(20H,m),1.85,2.02(total 1H,each s),1.87-1.98(1H,m),2.17-2.43(2H,m),2.27(6H,s),2.60-2.72(1H,m),2.75-2.88(1H,m),2.90-3.00(1H,m),3.04-3.20(2H,m),3.16(1H,dd,J=10,7.5Hz),3.21-3.36(2H,m),3.39,3.44(total 1H,each d,J=5Hz),3.60-3.74(1H,m),3.66(1H,d,J=15.5Hz),3.70(1H,d,J=15.5Hz),3.80,3.85(total 1H,each s),3.94,3.95(total 1H,each d,J=7.5Hz),4.07-4.40(3H,m),4.91-5.02(1H,m),5.09-5.26(1H,m),5.11,5.16(total 1H,each d,J=11Hz),6.78-6.93(2H,m),7.06-7.18(2H,m),7.22-7.38(5H,m)</p> <p>HR-MS m/z 666.36451</p> <p>[Calcd.for C₂₈H₂₂NO₂(M⁺-C₈H₁₆NO₂):666.36421]</p>

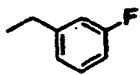
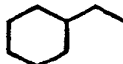
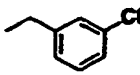
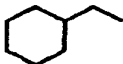
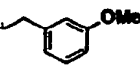
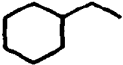
Example	R ²	R ³	Description and physical properties
137			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81, 0.82 (total 3H, each t, J=7.5Hz), 0.89, 0.92 (total 3H, each d, J=6.5Hz), 0.96, 0.97 (total 3H, each d, J=6.5Hz), 1.10–1.70 (20H, m), 1.86–2.00 (1H, m), 1.88, 2.05 (total 1H, each s), 2.22–2.40 (2H, m), 2.27 (6H, s), 2.60–2.70 (1H, m), 2.78–2.89 (1H, m), 2.91–3.00 (1H, m), 3.08–3.20 (2H, m), 3.17 (1H, dd, J=10.7Hz), 3.22–3.36 (2H, m), 3.39, 3.43 (total 1H, each d, J=4.5Hz), 3.62–3.76 (1H, m), 3.66 (1H, d, J=15.5Hz), 3.71 (1H, d, J=15.5Hz), 3.80, 3.85 (total 1H, each s), 3.92, 3.93 (total 1H, each d, J=7Hz), 4.10–4.40 (3H, m), 4.90–5.03 (1H, m), 5.10–5.28 (2H, m), 6.78–7.00 (3H, m), 7.05–7.20 (3H, m), 7.25–7.32 (2H, m)</p> <p>HR-MS m/z 684.35367 [Calcd. for C₃₉H₅₁FNO₉ (M⁺-C₈H₁₈NO₃): 684.35479]</p>
138			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82, 0.83 (total 3H, each t, J=7.5Hz), 0.91–1.00 (6H, m), 1.10–1.76 (20H, m), 1.87–2.00 (1H, m), 1.88, 2.06 (total 1H, each s), 2.20–2.40 (2H, m), 2.28 (6H, s), 2.60–2.72 (1H, m), 2.79–2.89 (1H, m), 2.91–3.01 (1H, m), 3.06–3.20 (2H, m), 3.16 (1H, dd, J=10.75Hz), 3.21–3.37 (2H, m), 3.39, 3.42 (total 1H, each d, J=4.5Hz), 3.60–3.73 (3H, m), 3.80, 3.85 (total 1H, each s), 3.91 (1H, d, J=7.5Hz), 4.08–4.40 (3H, m), 4.91–5.03 (1H, m), 5.10–5.29 (2H, m), 6.75–6.92 (2H, m), 7.08–7.40 (6H, m)</p> <p>HR-MS m/z 700.32581 [Calcd. for C₃₉H₅₁³⁵ClNO₉ (M⁺-C₈H₁₈NO₃): 700.32524]</p> <p>HR-MS m/z 702.32052 [Calcd. for C₃₉H₅₁³⁷ClNO₉ (M⁺-C₈H₁₈NO₃): 702.32228]</p>


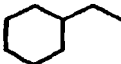
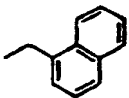
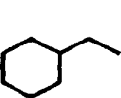
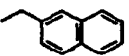
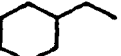
Example	R ²	R ³	Description and physical properties
139			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.81,0.82(total 3H,each t,J=7.5Hz),0.89,0.92(total 3H,each d,J=6.5Hz),0.95,0.96(total 3H,each d,J=6.5Hz),1.05-1.75(21H,m),1.83-2.05(2H,m),2.15-2.39(2H,m),2.27(6H,s),2.57-2.72(1H,m),2.75-2.88(1H,m),2.89-3.00,3.20-3.37(total 2H,each m),3.00-3.20(3H,m),3.40,3.43(total 1H,each d,J=5Hz),3.58-3.73(1H,m),3.63(1H,d,J=14.5Hz),3.68(1H,d,J=14.5Hz),3.75-3.87(1H,m),3.80(3H,s),3.92,3.93(total 1H,each d,J=7.5Hz),4.08-4.39(3H,m),4.90-5.03(1H,m),5.12,5.16(total 1H,each d,J=11Hz),5.19-5.28(1H,m),6.76-6.88(2H,m),6.89-6.96(2H,m),7.10-7.18(2H,m),7.20-7.28(2H,m)</p> <p>HR-MS m/z 696.37596 [Calcd.for C₃₃H₃₄NO₁₀(M⁺-C₈H₁₈NO₂):696.37477]</p>
140			<p>pale brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.82,0.83(total 3H,each t,J=7.5Hz),0.92-1.05(6H,m),1.09-1.75(21H,m),1.87,2.06(total 1H,each s),1.89-1.99(1H,m),2.16-2.38(2H,m),2.26(6H,s),2.60-2.75(1H,m),2.78-2.90(1H,m),2.90-3.01(1H,m),3.04-3.20(3H,m),3.20-3.36(1H,m),3.40,3.44(total 1H,each d,J=4.5Hz),3.60-3.75(1H,m),3.81,3.86(total 1H,each s),3.88-4.00(1H,m),3.93(2H,s),4.10-4.40(3H,m),4.90-5.06(1H,m),5.10-5.30(2H,m),6.78-7.04(4H,m),7.06-7.18(2H,m),7.19-7.30(1H,m)</p> <p>HR-MS m/z 672.32081 [Calcd.for C₃₃H₃₂NO₉S(M⁺-C₈H₁₈NO₂):672.32063]</p>
141			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.80,0.81(total 3H,each t,J=7.5Hz),0.84(3H,d,J=6.5Hz),0.89(3H,d,J=6.5Hz),0.97-1.87(21H,m),1.88-2.05(2H,m),2.13,2.20(total 1H,each s),2.17-2.41(2H,m),2.27(6H,s),2.63-2.95(4H,m),3.02-3.38(4H,m),3.40-3.52(1H,m),3.60-3.89(4H,m),3.95,3.96(total 1H,each d,J=7Hz),4.16-4.36(4H,m),5.08-5.31(2H,m),6.79-7.06(3H,m),7.08-7.21(1H,m),7.22-7.40(5H,m)</p> <p>HR-MS m/z 680.37936 [Calcd.for C₃₃H₃₄NO₈(M⁺-C₈H₁₈NO₂):680.37986]</p>

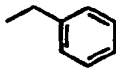
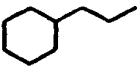
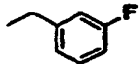
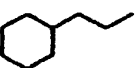
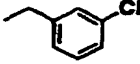
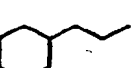
Example	R ²	R ³	Description and physical properties
142			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82, 0.84 (total 3H, each t, J=8Hz), 0.87, 0.92 (total 3H, each d, J=6.5Hz), 1.02 (3H, d, J=7.5Hz), 1.08–2.08 (23H, m), 2.16, 2.23 (total 1H, each s), 2.21–2.40 (2H, m), 2.28 (6H, s), 2.63–2.92 (4H, m), 3.08–3.21 (2H, m), 3.18 (1H, dd, J=10, 7Hz), 3.32 (1H, brs), 3.46 (1H, d, J=4.5Hz), 3.63–3.82 (1H, m), 3.66 (1H, d, J=15.5Hz), 3.71 (1H, d, J=15.5Hz), 3.77, 3.86 (total 1H, each s), 3.94, 3.95 (total 1H, each d, J=7.5Hz), 4.21–4.30 (3H, m), 4.31, 4.32 (total 1H, each s), 5.13–5.31 (2H, m), 6.78–7.35 (8H, m)</p> <p>HR-MS m/z 698.37285 [Calcd. for C₂₉H₂₉FN₃O₉ (M⁺-C₈H₁₆NO₃): 698.37042]</p>
143			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81, 0.83 (total 3H, each t, J=8Hz), 0.89, 0.94 (total 3H, each d, J=6.5Hz), 1.02 (3H, d, J=6.5Hz), 1.08–2.05 (23H, m), 2.16, 2.23 (total 1H, each s), 2.24–2.40 (2H, m), 2.28 (6H, s), 2.65–2.93 (4H, m), 3.07–3.20 (3H, m), 3.32 (1H, brs), 3.45 (1H, d, J=4.5Hz), 3.60–3.83 (3H, m), 3.77, 3.86 (total 1H, each s), 3.92, 3.93 (total 1H, each d, J=7Hz), 4.21–4.30 (3H, m), 4.31, 4.32 (total 1H, each s), 5.13–5.32 (2H, m), 6.82–7.36 (8H, m)</p> <p>HR-MS m/z 714.34241 [Calcd. for C₂₉H₂₉³⁵ClNO₉ (M⁺-C₈H₁₆NO₃): 714.34087] HR-MS m/z 716.33558 [Calcd. for C₂₉H₂₉³⁷ClNO₉ (M⁺-C₈H₁₆NO₃): 716.33792]</p>
144			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.80, 0.82 (total 3H, each t, J=8Hz), 0.87 (3H, d, J=6.5Hz), 0.92 (3H, d, J=6.5Hz), 0.97–2.05 (23H, m), 2.15, 2.21 (total 1H, each s), 2.18–2.42 (2H, m), 2.27 (6H, s), 2.63–2.94 (4H, m), 3.00–3.20 (4H, m), 3.46 (1H, d, J=5Hz), 3.55–3.89 (4H, m), 3.80 (3H, s), 3.92, 3.93 (total 1H, each d, J=7.5Hz), 4.18–4.37 (4H, m), 5.10–5.31 (2H, m), 6.74–7.06 (6H, m), 7.08–7.28 (2H, m)</p> <p>HR-MS m/z 710.39080 [Calcd. for C₃₀H₃₀NO₁₀ (M⁺-C₈H₁₆NO₃): 710.39042]</p>

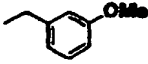
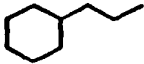
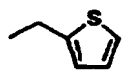
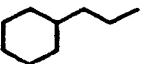
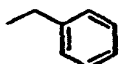
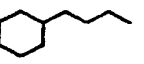
Example	R ²	R ³	Description and physical properties
145			pale brown amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.82,0.83(total 3H,each t,J=8Hz),0.92-2.04(30H,m),2.16,2.23(total 1H,each s),2.18-2.42(2H,m),2.26(6H,s),2.63-2.94(4H,m),3.03-3.20(3H,m),3.47(1H,d,J=4.5Hz),3.68-3.81(1H,m),3.78,3.87(total 1H,each s),3.85-4.00(3H,m),4.17-4.35(3H,m),4.32,4.33(total 1H,each s),5.12-5.33(2H,m),6.78-7.06(5H,m),7.09-7.29(2H,m) HR-MS m/z 686.33492 [Calcd.for C ₃₇ H ₃₂ NO ₉ S(M ⁺ -C ₈ H ₁₆ NO ₂):686.33628]
146			colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.81,0.82(total 3H,each t,J=7.5Hz),0.87,0.89(total 3H,each d,J=6.5Hz),1.02(3H,d,J=6.5Hz),1.07-1.75(20H,m),1.87-1.98(2H,m),2.20-2.42(2H,m),2.28(6H,s),2.62-2.73(1H,m),2.76-2.90(1H,m),3.00-3.39(4H,m),3.42-3.52(1H,m),3.60-3.85(1H,m),3.66(1H,d,J=15.5Hz),3.71(1H,d,J=15.5Hz),3.79,3.83(total 1H,each s),3.88-4.34(5H,m),3.96(1H,d,J=7.5Hz),4.35-4.46(1H,m),5.10-5.30(2H,m),6.80-7.02(4H,m),7.22-7.38(5H,m) HR-MS m/z 682.35795 [Calcd.for C ₃₅ H ₃₂ NO ₁₀ (M ⁺ -C ₈ H ₁₆ NO ₂):682.35912]
147			colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.81,0.82(total 3H,each t,J=7.5Hz),0.90,0.92(total 3H,each d,J=6.5Hz),1.02(3H,d,J=7Hz),1.08-1.80(20H,m),1.88-2.00(2H,m),2.21-2.41(2H,m),2.27(6H,s),2.63-2.71(1H,m),2.80-2.90(1H,m),3.06-3.21(2H,m),3.17(1H,dd,J=10.5,7.5Hz),3.33(1H,brs),3.46(1H,d,J=4.5Hz),3.62-3.77(1H,m),3.66(1H,d,J=15.5Hz),3.71(1H,d,J=15.5Hz),3.79,3.83(total 1H,each s),3.94(1H,d,J=6.5Hz),3.96-4.36(5H,m),4.38-4.48(1H,m),5.12-5.30(2H,m),6.80-7.18(6H,m),7.22-7.35(2H,m) HR-MS m/z 700.34931 [Calcd.for C ₃₉ H ₃₁ FN ₁₀ (M ⁺ -C ₈ H ₁₆ NO ₂):700.34969]

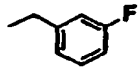
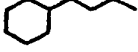
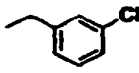
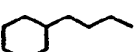
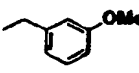
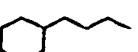
Example	R ²	R ³	Description and physical properties
148			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82, 0.83 (total 3H, each t, J=7.5Hz), 0.92, 0.94 (total 3H, each d, J=7Hz), 1.02 (3H, d, J=6.5Hz), 1.08–1.80 (19H, m), 1.88–2.00 (2H, m), 2.20–2.40 (2H, m), 2.28 (6H, s), 2.64–2.74 (1H, m), 2.79–2.90 (1H, m), 3.06–3.20 (2H, m), 3.17 (1H, dd, J=10.5, 7.5Hz), 3.45 (1H, d, J=4.5Hz), 3.60–3.78 (1H, m), 3.65 (1H, d, J=15.5Hz), 3.69 (1H, d, J=15.5Hz), 3.79, 3.83 (total 1H, each s), 3.92 (1H, d, J=7.5Hz), 3.96–4.33 (5H, m), 4.38–4.46 (3H, m), 5.13–5.29 (2H, m), 6.80–7.04 (4H, m), 7.20–7.40 (4H, m)</p> <p>HR-MS m/z 716.32080 [Calcd. for C₂₈H₅₁³⁵ClNO₁₀ (M⁺-C₈H₁₆NO₃): 716.32014]</p> <p>HR-MS m/z 718.32239 [Calcd. for C₂₈H₅₁³⁷ClNO₁₀ (M⁺-C₈H₁₆NO₃): 718.31718]</p>
149			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.77–0.86 (3H, m), 0.87–0.96 (3H, m), 1.02 (3H, d, J=6.5Hz), 1.07–1.75 (20H, m), 1.87–1.99 (2H, m), 2.18–2.43 (2H, m), 2.27 (6H, s), 2.62–2.74 (1H, m), 2.77–2.90 (1H, m), 3.00–3.20 (3H, m), 3.28 (1H, brs), 3.47 (1H, d, J=5Hz), 3.58–3.88 (2H, m), 3.63 (1H, d, J=14.5Hz), 3.68 (1H, d, J=14.5Hz), 3.80 (3H, s), 3.93 (1H, d, J=7.5Hz), 3.97–4.35 (5H, m), 4.37–4.46 (1H, m), 5.10–5.30 (2H, m), 6.76–7.04 (6H, m), 7.19–7.29 (2H, m)</p> <p>HR-MS m/z 712.36774 [Calcd. for C₂₈H₅₀NO₁₁ (M⁺-C₈H₁₆NO₃): 712.36969]</p>
150			<p>pale brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82, 0.83 (total 3H, each t, J=7.5Hz), 0.98, 1.00 (total 3H, each d, J=6.5Hz), 1.02 (3H, d, J=6.5Hz), 1.08–1.85 (21H, m), 1.88–2.00 (2H, m), 2.17–2.38 (2H, m), 2.26 (6H, s), 2.63–2.74 (1H, m), 2.80–2.93 (1H, m), 3.02–3.20 (3H, m), 3.42–3.52 (1H, m), 3.63–3.85 (1H, m), 3.80, 3.84 (total 1H, each s), 3.87–4.35 (6H, m), 3.93 (2H, s), 4.36–4.47 (1H, m), 5.12–5.30 (2H, m), 6.79–7.33 (6H, m), 7.18–7.27 (1H, m)</p> <p>HR-MS m/z 688.31365 [Calcd. for C₂₈H₅₀NO₁₀S (M⁺-C₈H₁₆NO₃): 688.31554]</p>

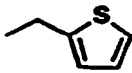
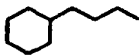
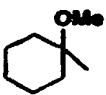
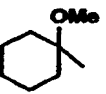
Example	R ²	R ³	Description and physical properties
151			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H, t, J=7.5Hz), 0.91(3H, d, J=6.5Hz), 1.01(3H, d, J=6.5Hz), 1.07-1.74(31H, m), 1.84(1H, s), 1.90-1.96(1H, m), 2.27-2.41(2H, m), 2.28(6H, s), 2.60-2.70(1H, m), 2.79-2.86(1H, m), 3.10-3.20(2H, m), 3.17(1H, dd, J=10, 7.5Hz), 3.30(1H, brs), 3.47(1H, d, J=4.5Hz), 3.63-3.73(2H, m), 3.65(1H, d, J=15.5Hz), 3.70(1H, d, J=15.5Hz), 3.80-3.94(2H, m), 3.96(1H, d, J=7.5Hz), 4.49(1H, s), 5.16(1H, d, J=11Hz), 5.21(1H, dd, J=11, 2.5Hz), 6.94-7.00(1H, m), 7.06-7.15(2H, m), 7.25-7.32(1H, m)</p> <p>HR-MS m/z 664.38586 [Calcd. for C₃₈H₅₅FN₉(M⁺-C₈H₁₈NO₂): 664.38609]</p>
152			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H, t, J=7.5Hz), 0.93(3H, d, J=6.5Hz), 1.01(3H, d, J=6.5Hz), 1.12-1.77(31H, m), 1.84(1H, s), 1.89-1.96(1H, m), 2.25-2.40(2H, m), 2.29(6H, s), 2.62-2.67(1H, m), 2.80-2.87(1H, m), 3.07-3.15(2H, m), 3.17(1H, dd, J=10, 7.5Hz), 3.30(1H, brs), 3.46(1H, d, J=5Hz), 3.62-3.71(2H, m), 3.64(1H, d, J=15Hz), 3.69(1H, d, J=15Hz), 3.79-3.89(2H, m), 3.94(1H, d, J=7.5Hz), 4.49(1H, s), 5.16(1H, d, J=11Hz), 5.21(1H, dd, J=11.5, 2Hz), 7.26-7.40(4H, m)</p> <p>HR-MS m/z 680.35623 [Calcd. for C₃₈H₅₅³⁵ClNO₉(M⁺-C₈H₁₈NO₂): 680.35654] HR-MS m/z 682.35253 [Calcd. for C₃₈H₅₅³⁷ClNO₉(M⁺-C₈H₁₈NO₂): 682.35358]</p>
153			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H, t, J=7.5Hz), 0.89-1.74(31H, m), 0.90(3H, d, J=6.5Hz), 1.01(3H, d, J=7.5Hz), 1.82(1H, s), 1.90-1.95(1H, m), 2.20-2.37(2H, m), 2.27(6H, s), 2.62-2.67(1H, m), 2.77-2.85(1H, m), 3.02-3.10(1H, m), 3.13(1H, s), 3.15(1H, dd, J=10.5, 7.5Hz), 3.28(1H, brs), 3.47(1H, d, J=4.5Hz), 3.60-3.71(2H, m), 3.63(1H, d, J=14.5Hz), 3.67(1H, d, J=14.5Hz), 3.77-3.89(2H, m), 3.80(3H, s), 3.95(1H, d, J=6.5Hz), 4.49(1H, s), 5.15(1H, d, J=11Hz), 5.21(1H, dd, J=11, 2.5Hz), 6.79-6.82(1H, m), 6.91-6.94(2H, m), 7.23(1H, t, J=8Hz)</p> <p>HR-MS m/z 676.40747 [Calcd. for C₃₇H₅₈NO₁₀(M⁺-C₈H₁₈NO₂): 676.40607]</p>

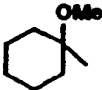
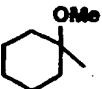
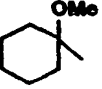
Example	R ²	R ³	Description and physical properties
154			<p>brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H, t, J=7.5Hz), 0.99(3H, d, J=6.5Hz), 1.01(3H, d, J=6.5Hz), 1.05–1.80(31H, m), 1.85(1H, s), 1.88–1.98(1H, m), 2.21–2.40(2H, m), 2.26(6H, s), 2.63–2.68(1H, m), 2.83–2.90(1H, m), 3.08–3.18(2H, m), 3.15(1H, dd, J=10.7, 5Hz), 3.28(1H, brs), 3.48(1H, d, J=4.5Hz), 3.63–3.73(2H, m), 3.78–3.88(2H, m), 3.92(2H, s), 3.95(1H, d, J=7.5Hz), 4.50(1H, s), 5.18(1H, d, J=11Hz), 5.22(1H, dd, J=11.2Hz), 6.95(1H, dd, J=5.5, 3.5Hz), 6.98–7.01(1H, m), 7.22(1H, dd, J=5.5, 1Hz)</p> <p>HR-MS m/z 652.34996 [Calcd. for C₃₄H₃₄NO₅S(M⁺-C₈H₁₆NO₂): 652.35193]</p>
155			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.70(3H, d, J=6.5Hz), 0.77(3H, t, J=7.5Hz), 0.89–1.96(32H, m), 1.00(3H, d, J=6.5Hz), 2.19–2.35(2H, m), 2.27(6H, s), 2.60–2.74(2H, m), 2.97–3.05(1H, m), 3.12(1H, s), 3.17(1H, dd, J=10.5, 7.5Hz), 3.29(1H, brs), 3.49(1H, d, J=5Hz), 3.60–3.72(3H, m), 3.77–3.88(2H, m), 3.97(1H, d, J=7.5Hz), 4.09(1H, d, J=14.5Hz), 4.17(1H, d, J=14.5Hz), 4.48(1H, s), 5.14(1H, d, J=11Hz), 5.17(1H, dd, J=11.2, 5Hz), 7.43(1H, t, J=8Hz), 7.46–7.59(3H, m), 7.79(1H, d, J=8Hz), 7.85(1H, d, J=8Hz), 8.15(1H, d, J=8Hz)</p> <p>HR-MS m/z 680.41634 [Calcd. for C₄₀H₃₈NO₆(M⁺-C₈H₁₆NO₂): 680.41624]</p>
156			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.80(3H, t, J=7.5Hz), 0.91(3H, d, J=6.5Hz), 0.92–1.98(32H, m), 1.00(3H, d, J=6Hz), 2.14–2.31(2H, m), 2.23(6H, s), 2.60–2.68(1H, m), 2.72–2.88(2H, m), 3.07–3.17(2H, m), 3.29(1H, brs), 3.46(1H, d, J=4.5Hz), 3.60–3.72(3H, m), 3.77–3.92(5H, m), 4.49(1H, s), 5.19(1H, d, J=11Hz), 5.20(1H, dd, J=11.2, 5Hz), 7.40–7.50(2H, m), 7.53(1H, dd, J=8.1, 5Hz), 7.75–7.86(4H, m)</p> <p>HR-MS m/z 680.41590 [Calcd. for C₄₀H₃₈NO₆(M⁺-C₈H₁₆NO₂): 680.41624]</p>







Example	R ²	R ³	Description and physical properties
157			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.87(3H,d,J=6.5Hz), 0.92–1.77(33H,m), 1.01(3H,d,J=6.5Hz), 1.83(1H,s), 1.87–1.98(1H,m), 2.20–2.40(2H,m), 2.27(6H,s), 2.62–2.70(1H,m), 2.77–2.85(1H,m), 3.06–3.20(2H,m), 3.16(1H,d,d,J=10.5,7.5Hz), 3.28(1H,brs), 3.48(1H,d,J=5Hz), 3.63–3.75(2H,m), 3.66(1H,d,J=14.5Hz), 3.70(1H,d,J=14.5Hz), 3.97(1H,d,J=7.5Hz), 4.05(2H,t,J=7Hz), 4.48(1H,s), 5.15(1H,d,J=11Hz), 5.21(1H,dd,J=11,2Hz), 7.22–7.40(5H,m)</p> <p>HR-MS m/z 818.53145 [Calcd. for C₄₅H₇₄N₂O₁₁ (M⁺): 818.52926]</p>
158			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.90(3H,d,J=6.5Hz), 1.01(3H,d,J=6.5Hz), 1.05–1.81(33H,m), 1.84(1H,s), 1.85–2.00(1H,m), 2.20–2.40(2H,m), 2.27(6H,s), 2.60–2.70(1H,m), 2.75–2.85(1H,m), 3.08–3.20(2H,m), 3.17(1H,d,d,J=10,7.5Hz), 3.29(1H,brs), 3.47(1H,d,J=4.5Hz), 3.62–3.73(2H,m), 3.66(1H,d,J=15.5Hz), 3.70(1H,d,J=15.5Hz), 3.95(1H,d,J=7.5Hz), 4.05(2H,t,J=7Hz), 4.49(1H,s), 5.15(1H,d,J=11Hz), 5.21(1H,dd,J=11,2Hz), 6.94–7.00(1H,m), 7.06–7.15(2H,m), 7.24–7.32(1H,m)</p> <p>HR-MS m/z 836.51824 [Calcd. for C₄₅H₇₃FN₂O₁₁ (M⁺): 836.51984]</p>
159			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.90–1.80(33H,m), 0.92(3H,d,J=6.5Hz), 1.01(3H,d,J=6.5Hz), 1.83(1H,s), 1.90–2.00(1H,m), 2.20–2.40(2H,m), 2.27(6H,s), 2.60–2.70(1H,m), 2.78–2.85(1H,m), 3.05–3.20(2H,m), 3.16(1H,d,d,J=10.5,7.5Hz), 3.29(1H,brs), 3.46(1H,d,J=5Hz), 3.60–3.75(2H,m), 3.64(1H,d,J=15.5Hz), 3.69(1H,d,J=15.5Hz), 3.93(1H,d,J=7.5Hz), 4.05(2H,t,J=7Hz), 4.49(1H,s), 5.16(1H,d,J=11Hz), 5.21(1H,dd,J=11,2.5Hz), 7.20–7.40(4H,m)</p> <p>HR-MS m/z 694.37478 [Calcd. for C₃₇H₅₇³⁵ClNO₉ (M⁺-C₈H₁₈NO₂): 694.37219]</p> <p>HR-MS m/z 696.36680 [Calcd. for C₃₇H₅₇³⁷ClNO₉ (M⁺-C₈H₁₈NO₂): 696.36923]</p>







Example	R ²	R ³	Description and physical properties
160			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H, t, J=7.5Hz), 0.90(3H, d, J=6.5Hz), 1.01(3H, d, J=7.5Hz), 1.05–1.75(32H, m), 1.82(1H, s), 1.87–1.98(1H, m), 2.20–2.39(2H, m), 2.27(6H, s), 2.60–2.72(1H, m), 2.77–2.87(1H, m), 3.01–3.35(3H, m), 3.15(1H, d, J=10.5, 7.5Hz), 3.47(1H, d, J=4.5Hz), 3.59–3.73(2H, m), 3.63(1H, d, J=14.5Hz), 3.67(1H, d, J=14.5Hz), 3.80(3H, s), 3.94(1H, d, J=6.5Hz), 4.00–4.09(2H, m), 4.49(1H, s), 5.15(1H, d, J=11Hz), 5.21(1H, dd, J=11.2, 5Hz), 6.77–6.83(1H, m), 6.90–6.95(2H, m), 7.19–7.28(1H, m)</p> <p>HR-MS m/z 674.42930 [Calcd. for C₃₂H₄₀NO₂(M⁺-C₈H₁₆NO₂): 674.42681]</p>
161			<p>pale brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H, t, J=7.5Hz), 0.99(3H, d, J=6.5Hz), 1.01(3H, d, J=6.5Hz), 1.05–1.77(34H, m), 1.84(1H, s), 1.88–1.99(1H, m), 2.19–2.38(2H, m), 2.28(6H, s), 2.60–2.72(1H, m), 2.80–2.92(1H, m), 3.05–3.20(3H, m), 3.43–3.52(1H, m), 3.60–3.75(2H, m), 3.88–3.98(2H, m), 3.95(1H, d, J=7.5Hz), 4.00–4.11(2H, m), 4.50(1H, s), 5.18(1H, d, J=11Hz), 5.23(1H, dd, J=11.5, 2Hz), 6.93–7.01(2H, m), 7.19–7.24(1H, m)</p> <p>HR-MS m/z 650.37390 [Calcd. for C₃₃H₃₈NO₂S(M⁺-C₈H₁₆NO₂): 650.37266]</p>
162			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H, t, J=7.5Hz), 0.87(3H, d, J=6.5Hz), 1.01(3H, d, J=6.5Hz), 1.06–1.75(35H, m), 1.84(1H, s), 1.88–1.98(1H, m), 2.00–2.40(2H, m), 2.27(6H, s), 2.60–2.72(1H, m), 2.76–2.88(1H, m), 3.05–3.20(2H, m), 3.13(1H, s), 3.27(1H, brs), 3.48(1H, d, J=4.5Hz), 3.60–3.75(4H, m), 3.91–4.05(3H, m), 4.48(1H, s), 5.14(1H, d, J=11Hz), 5.18–5.24(1H, m), 7.23–7.38(5H, m)</p> <p>HR-MS m/z 658.43238 [Calcd. for C₃₉H₅₀NO₂(M⁺-C₈H₁₆NO₂): 658.43189]</p>



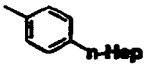



Example	R ²	R ³	Description and physical properties
163			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.90(3H,d,J=6.5Hz), 1.01(3H,d,J=6.5Hz), 1.06–1.75(36H,m), 1.86(1H,s), 1.88–1.99(1H,m), 2.20–2.39(2H,m), 2.27(6H,s), 2.60–2.72(1H,m), 2.77–2.88(1H,m), 3.05–3.21(2H,m), 3.17(1H,dd,J=10.7Hz), 3.47(1H,d,J=5Hz), 3.61–3.74(2H,m), 3.66(1H,d,J=15.5Hz), 3.70(1H,d,J=15.5Hz), 3.88–4.05(2H,m), 3.95(1H,d,J=7.5Hz), 4.49(1H,s), 5.16(1H,d,J=11Hz), 5.21(1H,dd,J=11.5,2Hz), 6.93–7.00(1H,m), 7.04–7.11(1H,m), 7.13(1H,d,J=8Hz), 7.21–7.33(1H,m)</p> <p>HR-MS m/z 676.42186 [Calcd. for C₃₃H₅₀FNO₆(M⁺-C₈H₁₆NO₃): 676.42247]</p>
164			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.82(3H,t,J=7.5Hz), 0.92(3H,d,J=6.5Hz), 1.01(3H,d,J=7.5Hz), 1.07–1.75(35H,m), 1.86(1H,s), 1.88–1.99(1H,m), 2.20–2.39(2H,m), 2.27(6H,s), 2.60–2.72(1H,m), 2.79–2.90(1H,m), 3.05–3.40(3H,m), 3.17(1H,dd,J=10.5,7.5Hz), 3.46(1H,d,J=4.5Hz), 3.58–3.75(4H,m), 3.93(1H,d,J=6.5Hz), 3.96–4.04(2H,m), 4.49(1H,s), 5.16(1H,d,J=11Hz), 5.21(1H,dd,J=11.2Hz), 7.21–7.30(3H,m), 7.35(1H,s)</p> <p>HR-MS m/z 692.39138 [Calcd. for C₃₃H₅₀³⁵ClNO₆(M⁺-C₈H₁₆NO₃): 692.39292] HR-MS m/z 694.39175 [Calcd. for C₃₃H₅₀³⁷ClNO₆(M⁺-C₈H₁₆NO₃): 694.38997]</p>
165			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H,t,J=7.5Hz), 0.90(3H,d,J=6.5Hz), 1.01(3H,d,J=6.5Hz), 1.06–1.75(35H,m), 1.84(1H,s), 1.88–1.98(1H,m), 2.21–2.38(2H,m), 2.27(6H,s), 2.61–2.72(1H,m), 2.77–2.88(1H,m), 3.02–3.20(2H,m), 3.15(1H,dd,J=10.5,7.5Hz), 3.30(1H,brs), 3.48(1H,d,J=4.5Hz), 3.59–3.74(2H,m), 3.63(1H,d,J=14.5Hz), 3.67(1H,d,J=14.5Hz), 3.80(3H,s), 3.88–4.05(2H,m), 3.94(1H,d,J=7.5Hz), 4.49(1H,s), 5.15(1H,d,J=11Hz), 5.21(1H,dd,J=11.5,2Hz), 6.80(1H,dd,J=7.5,2Hz), 6.88–6.96(2H,m), 7.22(1H,t,J=8Hz)</p> <p>HR-MS m/z 688.43959 [Calcd. for C₃₃H₅₂NO₆(M⁺-C₈H₁₆NO₃): 688.44246]</p>


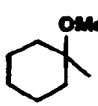
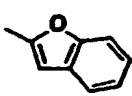

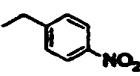

Example	R ²	R ³	Description and physical properties
166			<p>pale brown amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.99(3H,d,J=6.5Hz), 1.01(3H,d,J=6.5Hz), 1.06–1.75(36H,m), 1.85(1H,s), 1.88–1.99(1H,m), 2.19–2.38(2H,m), 2.26(6H,s), 2.60–2.72(1H,m), 2.80–2.91(1H,m), 3.05–3.20(2H,m), 3.15(1H,d,J=10.5,7.5Hz), 3.48(1H,d,J=4.5Hz), 3.60–3.75(2H,m), 3.87–4.05(4H,m), 3.95(1H,d,J=7.5Hz), 4.49(1H,s), 5.18(1H,d,J=11Hz), 5.22(1H,dd,J=11,2.5Hz), 6.95(1H,dd,J=5,3.5Hz), 6.98–7.01(1H,m), 7.22(1H,dd,J=5.5,1Hz)</p> <p>HR-MS m/z 664.38955 [Calcd. for C₃₉H₅₈NO₈S(M⁺-C₈H₁₆NO₃): 664.38831]</p>
167	Et		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 1.04(3H,d,J=6.5Hz), 1.06(3H,d,J=6.5Hz), 1.08–1.96(36H,m), 2.20–2.30(1H,m), 2.28(6H,s), 2.34–2.45(3H,m), 2.65–2.72(1H,m), 2.81–2.89(1H,m), 3.17–3.37(3H,m), 3.20(3H,s), 3.47(1H,d,J=4.5Hz), 3.65–3.75(2H,m), 4.03(1H,d,J=7.5Hz), 4.58(1H,s), 5.16(1H,d,J=10.5Hz), 5.23(1H,dd,J=11,2Hz)</p> <p>HR-MS m/z 758.49287 [Calcd. for C₃₉H₇₀N₂O₁₂(M⁺): 758.49288]</p>
168	n-Pr		<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H,t,J=7.5Hz), 0.99(3H,t,J=7.5Hz), 1.04(3H,d,J=7.5Hz), 1.06(3H,d,J=6.5Hz), 1.09–1.97(34H,m), 2.20–2.43(4H,m), 2.28(6H,s), 2.64–2.72(1H,m), 2.80–2.87(1H,m), 3.17–3.37(4H,m), 3.20(3H,s), 3.45(1H,d,J=4.5Hz), 3.64–3.76(2H,m), 4.03(1H,d,J=7.5Hz), 4.59(1H,s), 5.16(1H,d,J=11Hz), 5.23(1H,dd,J=11,2Hz)</p> <p>HR-MS m/z 660.42660 [Calcd. for C₃₃H₅₀N₂O₁₁(M⁺+1-C₇H₁₂O): 660.41971]</p>

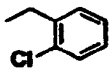
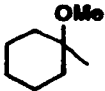
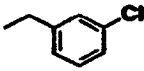
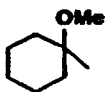
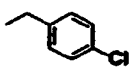
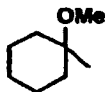
Example	R ²	R ³	Description and physical properties
169	n-Bu		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.83(3H,t,J=7.5Hz),0.94(3H,t,J=7.5Hz),1.04(3H,d,J=6.5Hz),1.06(3H,d,J=6.5Hz),1.11-2.00(36H,m),2.20-2.44(4H,m),2.28(6H,s),2.64-2.73(1H,m),2.80-2.90(1H,m),3.15-3.39(4H,m),3.20(3H,s),3.45(1H,d,J=5Hz),3.67-3.77(2H,m),4.03(1H,d,J=7.5Hz),4.58(1H,s),5.15(1H,d,J=11Hz),5.23(1H,dd,J=11,2Hz) HR-MS m/z 786.52702 [Calcd.for C ₄₁ H ₇₄ N ₂ O ₁₂ (M ⁺):786.52418]
170	n-Pent		colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.83(3H,t,J=7.5Hz),0.86-0.97(3H,m),1.04(3H,d,J=8.5Hz),1.05(3H,d,J=7.5Hz),1.12-1.98(39H,m),2.23-2.42(2H,m),2.33(6H,s),2.46-2.54(1H,m),2.66-2.72(1H,m),2.80-2.88(1H,m),3.14-3.38(4H,m),3.20(3H,s),3.45(1H,d,J=4Hz),3.68-3.76(2H,m),4.04(1H,d,J=7.5Hz),4.59(1H,s),5.14(1H,d,J=11Hz),5.23(1H,dd,J=11,2Hz) HR-MS m/z 687.44184 [Calcd.for C ₃₅ H ₆₃ N ₂ O ₁₁ (M ⁺ -C ₇ H ₁₃ O):687.44319]
171	n-Non		colorless viscous oil NMR spectrum δ (CDCl ₃)ppm:0.83(3H,t,J=7.5Hz),0.88(3H,t,J=7Hz),1.04(3H,d,J=8Hz),1.05(3H,d,J=7Hz),1.10-2.00(48H,m),2.23-2.44(2H,m),2.33(6H,s),2.46-2.54(1H,m),2.65-2.74(1H,m),2.80-3.00(1H,m),3.15-3.40(3H,m),3.20(3H,s),3.45(1H,d,J=4.5Hz),3.61-3.79(2H,m),4.04(1H,d,J=7.5Hz),4.59(1H,s),5.14(1H,d,J=11Hz),5.23(1H,d,J=11,2Hz) HR-MS m/z 743.50469 [Calcd.for C ₃₉ H ₇₁ N ₂ O ₁₁ (M ⁺ -C ₇ H ₁₃ O):743.50579]

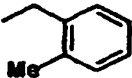
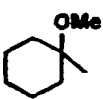
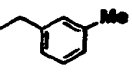
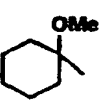
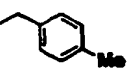
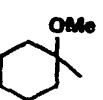
Example	R ²	R ³	Description and physical properties
172			colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.83(3H,t,J=7.5Hz),1.04(3H,d,J=6.5Hz),1.05(3H,d,J=6.5Hz),1.10-1.98(36H,m),2.10-2.20(1H,m),2.27(6H,s),2.34-2.41(1H,m),2.50(2H,t,J=7.5Hz),2.66-2.72(1H,m),2.82-2.90(1H,m),3.16-3.36(4H,m),3.20(3H,s),3.44(1H,d,J=4.5Hz),3.67-3.77(2H,m),4.00(1H,d,J=7.5Hz),4.59(1H,s),5.18(1H,d,J=11Hz),5.23(1H,dd,J=11,2Hz) HR-MS m/z 777.39398 [Calcd.for C ₃₅ H ₂₈ F ₃ N ₂ O ₁₁ (M ⁺ -C ₇ H ₁₃ O):777.39609]
173			colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.83(3H,t,J=7.5Hz),1.04(3H,d,J=7.5Hz),1.08(3H,d,J=6.5Hz),1.11-1.98(32H,m),2.17(3H,s),2.23-2.34(1H,m),2.28(6H,s),2.50-2.58(1H,m),2.64-2.73(1H,m),2.82-2.93(1H,m),3.13-3.25(2H,m),3.20(3H,s),3.32(1H,brs),3.40(1H,d,J=4Hz),3.43-3.53(1H,m),3.66-3.78(2H,m),4.07(1H,d,J=7.5Hz),4.58(1H,d,J=16Hz),4.83(1H,d,J=16Hz),4.59(1H,s),5.17-5.27(2H,m) HR-MS m/z 802.48532 [Calcd.for C ₄₀ H ₇₀ N ₂ O ₁₄ (M ⁺):802.48271]
174			colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.83(3H,t,J=7.5Hz),1.04(3H,d,J=6.5Hz),1.07(3H,d,J=6.5Hz),1.12-1.98(33H,m),2.24-2.36(1H,m),2.27(6H,s),2.36-2.45(1H,m),2.66-2.74(1H,m),2.84-2.95(1H,m),3.13-3.25(2H,m),3.21(3H,s),3.33-3.42(2H,m),3.47(1H,d,J=3.5Hz),3.65-3.80(2H,m),3.97(1H,d,J=7.5Hz),4.20(1H,d,J=17Hz),4.24(1H,d,J=17Hz),4.61(1H,s),5.20-5.27(2H,m) HR-MS m/z 760.47471 [Calcd.for C ₃₈ H ₆₈ N ₂ O ₁₃ (M ⁺):760.47214]

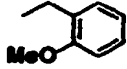
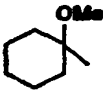
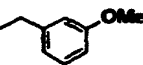
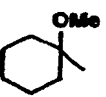
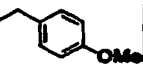
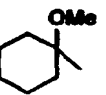
Example	R ²	R ³	Description and physical properties
175			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H, t, J=7.5Hz), 1.03(3H, d, J=6.5Hz), 1.07(3H, d, J=6.5Hz), 1.13-1.97(32H, m), 2.22-2.32(1H, m), 2.28(6H, s), 2.41-2.49(1H, m), 2.64(2H, t, J=5.5Hz), 2.66-2.72(1H, m), 2.82-2.89(1H, m), 3.15-3.32(3H, m), 3.20(3H, s), 3.34(3H, s), 3.34-3.43(1H, m), 3.45(1H, d, J=4.5Hz), 3.63-3.77(4H, m), 4.04(1H, d, J=7.5Hz), 4.58(1H, s), 5.17(1H, d, J=11Hz), 5.23(1H, dd, J=11, 2.5Hz)</p> <p>HR-MS m/z 675.40706 [Calcd. for C₃₃H₅₉N₂O₁₂ (M⁺-C₇H₁₃O): 675.40680]</p>
176			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H, t, J=7.5Hz), 1.03(3H, d, J=6.5Hz), 1.06(3H, d, J=6.5Hz), 1.10-2.00(32H, m), 2.17-2.34(1H, m), 2.25(6H, s), 2.36-2.47(1H, m), 2.60-2.77(3H, m), 2.81-2.88(1H, m), 3.10-3.37(4H, m), 3.20(3H, s), 3.44(1H, d, J=4Hz), 3.63-3.84(4H, m), 4.02(1H, d, J=7Hz), 4.52(1H, d, J=12Hz), 4.54(1H, d, J=12Hz), 4.58(1H, s), 5.17(1H, d, J=10Hz), 5.23(1H, d, J=9Hz), 7.26-7.36(5H, m)</p> <p>HR-MS m/z 751.43769 [Calcd. for C₃₉H₆₃N₂O₁₂ (M⁺-C₇H₁₃O): 751.43810]</p>
177			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.83(3H, t, J=7.5Hz), 1.05(3H, d, J=7.5Hz), 1.09(3H, d, J=6.5Hz), 1.13-1.98(32H, m), 2.23-2.33(1H, m), 2.28(6H, s), 2.45-2.54(1H, m), 2.65-2.73(1H, m), 2.87-2.97(1H, m), 3.13-3.25(2H, m), 3.21(3H, s), 3.36-3.50(3H, m), 3.49(1H, d, J=19Hz), 3.61(1H, d, J=19Hz), 3.66-3.80(2H, m), 4.05(1H, d, J=7.5Hz), 4.60(1H, s), 5.18-5.28(2H, m)</p> <p>HR-MS m/z 769.47372 [Calcd. for C₃₉H₆₇N₃O₁₂ (M⁺): 769.47248]</p>

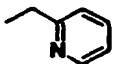
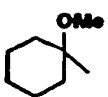
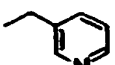
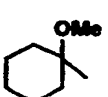
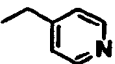
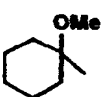
Example	R ²	R ³	Description and physical properties
178			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.85(3H,t,J=7.5Hz),0.94(3H,d,J=6Hz),1.04(3H,d,J=7.5Hz),1.10-2.02(31H,m),2.06-2.17(1H,m),2.14(6H,s),2.27-2.35(1H,m),2.37-2.45(1H,m),2.68-2.74(1H,m),2.98-3.07(2H,m),3.16-3.26(2H,m),3.19(1H,brs),3.22(3H,s),3.49(1H,d,J=3.5Hz),3.68-3.76(2H,m),3.88(1H,d,J=7.5Hz),4.62(1H,s),5.29(1H,dd,J=11.2,5Hz),5.38(1H,d,J=11Hz),7.48(2H,t,J=7.5Hz),7.59(1H,t,J=7.5Hz),8.15(2H,d,J=7.5Hz)</p> <p>HR-MS m/z 806.49554 [Calcd.for C₄₃H₇₀N₂O₁₂(M⁺):806.49288]</p>
179			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,d,J=6Hz),1.04(3H,d,J=7.5Hz),0.82-2.00(46H,m),2.12(6H,s),2.17-2.30(1H,m),2.30-2.47(1H,m),2.53-2.78(3H,m),2.90-3.10(2H,m),3.10-3.30(2H,m),3.21(3H,s),3.48(1H,brs),3.61-3.82(2H,m),3.91(1H,d,J=7.5Hz),4.63(1H,s),5.28(1H,d,J=10.5Hz),5.36(1H,d,J=11Hz),7.27(2H,d,J=8Hz),8.05(2H,d,J=8Hz)</p> <p>HR-MS m/z 791.50662 [Calcd.for C₄₃H₇₁N₂O₁₁(M⁺-C₇H₁₃O):791.50579]</p>
180			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.85(3H,t,J=7.5Hz),0.88(3H,d,J=7.5Hz),0.98(3H,d,J=6Hz),1.00-2.02(32H,m),2.13(6H,s),2.38-2.48(2H,m),2.68-2.76(1H,m),2.99-3.08(3H,m),3.17-3.23(1H,m),3.22(3H,s),3.50(1H,d,J=4.5Hz),3.68-3.76(3H,m),3.85(1H,d,J=7.5Hz),4.63(1H,s),5.28(1H,dd,J=11.5,2.5Hz),5.43(1H,d,J=11Hz),7.44(1H,dd,J=8.5Hz),8.40(1H,dt,J=8.2Hz),8.82(1H,dd,J=5.2Hz),9.34(1H,d,J=2Hz)</p> <p>HR-MS m/z 694.39418 [Calcd.for C₃₅H₃₆N₃O₁₁(M⁺-C₇H₁₃O):694.39149]</p>

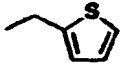

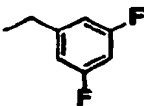

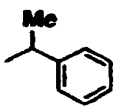

Example	R ²	R ³	Description and physical properties
181			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.85(3H,t,J=7.5Hz),0.98(3H,d,J=6Hz),1.04(3H,d,J=7.5Hz),1.08-2.03(33H,m),2.13(6H,s),2.35-2.45(2H,m),2.68-2.74(1H,m),2.98-3.07(2H,m),3.18-3.26(2H,m),3.22(3H,s),3.46(1H,d,J=3.5Hz),3.69-3.77(2H,m),3.81(1H,d,J=6.5Hz),4.63(1H,s),5.28(1H,dd,J=11.2Hz),5.41(1H,d,J=11Hz),7.96(2H,dd,J=4.5,1.5Hz),8.83(2H,dd,J=4.5,1.5Hz)</p> <p>HR-MS m/z 694.39254 [Calcd.for C₃₅H₅₈N₂O₁₁(M⁺-C₇H₁₃O):694.39149]</p>
182			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.85(3H,t,J=7.5Hz),0.97(3H,d,J=6Hz),1.05(3H,d,J=6.5Hz),1.12-2.05(34H,m),2.03(6H,s),2.38-2.54(2H,m),2.67-2.74(1H,m),2.98-3.09(2H,m),3.16-3.25(1H,m),3.22(3H,s),3.52(1H,d,J=3.5Hz),3.68-3.78(2H,m),4.03(1H,d,J=7.5Hz),4.64(1H,s),5.29(1H,dd,J=11.2Hz),5.38(1H,d,J=10.5Hz),7.33(1H,t,J=8Hz),7.47(1H,t,J=8Hz),7.63(1H,d,J=8Hz),7.63(1H,s),7.71(1H,d,J=8Hz)</p> <p>HR-MS m/z 734.39833 [Calcd.for C₃₅H₅₈N₂O₁₂(M⁺+1-C₇H₁₃O):734.39898]</p>
183			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm:0.80(3H,t,J=7.5Hz),0.88(3H,d,J=6.5Hz),1.04(3H,d,J=7.5Hz),1.12-1.97(32H,m),2.24-2.37(2H,m),2.28(6H,s),2.64-2.72(1H,m),2.77-2.85(1H,m),3.12-3.22(3H,m),3.20(3H,s),3.45-3.51(2H,m),3.64-3.75(2H,m),3.77(1H,d,J=15.5Hz),3.83(1H,d,J=15.5Hz),3.98(1H,d,J=7.5Hz),4.57(1H,s),5.18(1H,d,J=11Hz),5.20(1H,dd,J=11,2.5Hz),7.53(2H,d,J=8.5Hz),8.20(2H,d,J=8.5Hz)</p> <p>HR-MS m/z 753.40556 [Calcd.for C₃₇H₅₉N₃O₁₃(M⁺+1-C₇H₁₃O):753.40479]</p>

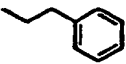
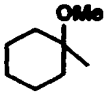
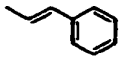
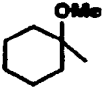
Example	R ²	R ³	Description and physical properties
184			<p>colorless needles(recry. solv. :MeOH-i-Pr₂O) m.p. 223.5–224°C NMR spectrum δ (CDCl₃)ppm:0.81(3H,t,J=7.5Hz),0.96(3H,d,J=6.5Hz),1.04(3H,d,J=6.5Hz),1.09–1.98(32H,m),2.21–2.34(1H,m),2.29(6H,s),2.40–2.50(1H,m),2.63–2.73(1H,m),2.77–2.87(1H,m),3.12–3.24(2H,m),3.19(3H,s),3.27–3.38(2H,m),3.51(1H,d,J=5Hz),3.67–3.77(2H,m),3.83(1H,d,J=16Hz),3.90(1H,d,J=16Hz),4.09(1H,d,J=7.5Hz),4.57(1H,s),5.18(1H,d,J=10.5Hz),5.20(1H,dd,J=11.5,2Hz),7.17–7.28(2H,m),7.32–7.42(2H,m) Anal.Calcd.for C₄₄H₇₁ClN₂O₁₂ (Calcd.) :C,61.77;H,8.37;N,3.27 (Found) :C,61.46;H,8.13;N,3.25</p>
185			<p>colorless needles(recry. solv. :i-Pr₂O) m.p. 201.5–202.5°C NMR spectrum δ (CDCl₃)ppm:0.81(3H,t,J=7.5Hz),0.92(3H,d,J=6.5Hz),1.04(3H,d,J=7.5Hz),1.08–1.99(32H,m),2.21–2.40(2H,m),2.28(6H,s),2.63–2.73(1H,m),2.79–2.88(1H,m),3.05–3.23(3H,m),3.20(3H,s),3.28(1H,brs),3.48(1H,d,J=5Hz),3.63–3.78(2H,m),3.64(1H,d,J=15.5Hz),3.69(1H,d,J=15.5Hz),3.93(1H,d,J=7.5Hz),4.58(1H,s),5.16(1H,d,J=11Hz),5.21(1H,dd,J=11,2Hz),7.20–7.30(3H,m),7.35(1H,s) Anal.Calcd.for C₄₄H₇₁ClN₂O₁₂ (Calcd.) :C,61.77;H,8.37;N,3.27 (Found) :C,61.48;H,8.11;N,3.23</p>
186			<p>colorless plates(recry. solv. :i-Pr₂O) m.p. 197.5–198.5°C NMR spectrum δ (CDCl₃)ppm:0.81(3H,t,J=7.5Hz),0.89(3H,d,J=6.5Hz),1.03(3H,d,J=7.5Hz),1.09–1.99(32H,m),2.21–2.38(2H,m),2.28(6H,s),2.63–2.72(1H,m),2.77–2.86(1H,m),2.99–3.09(1H,m),3.13–3.23(2H,m),3.20(3H,s),3.28(1H,brs),3.47(1H,d,J=4.5Hz),3.62(1H,d,J=15Hz),3.62–3.78(2H,m),3.67(1H,d,J=15Hz),3.91(1H,d,J=7.5Hz),4.57(1H,s),5.15(1H,d,J=11Hz),5.20(1H,dd,J=11,2Hz),7.22–7.34(4H,m) Anal.Calcd.for C₄₄H₇₁ClN₂O₁₂ (Calcd.) :C,61.77;H,8.37;N,3.27 (Found) :C,61.73;H,8.26;N,3.04</p>

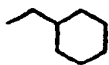
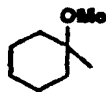
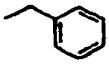

Example	R ²	R ³	Description and physical properties
187			<p>colorless needles (recry. solv. :i-Pr₂O) m.p. 222.5–223°C NMR spectrum δ (CDCl₃)ppm:0.79(3H,t,J=7.5Hz),0.82(3H,d,J=6.5Hz),1.03(3H,d,J=6.5Hz),1.09–1.98(32H,m),2.21–2.43(2H,m),2.29(6H,s),2.38(3H,s),2.63–2.71(1H,m),2.73–2.82(1H,m),3.13–3.25(3H,m),3.19(3H,s),3.29(1H,s),3.51(1H,d,J=4.5Hz),3.64–3.78(2H,m),3.65(1H,d,J=14.5Hz),3.71(1H,d,J=14.5Hz),4.00(1H,d,J=7.5Hz),4.57(1H,s),5.13(1H,d,J=10.5Hz),5.19(1H,dd,J=11.2Hz),7.10–7.19(3H,m),7.22–7.29(1H,m) Anal.Calcd.for C₄₅H₇₄N₂O₁₂ (Calcd.) :C,64.72;H,8.93;N,3.35 (Found) :C,64.45;H,8.66;N,3.36</p>
188			<p>colorless powder (recry. solv. :i-Pr₂O) m.p. 207.5–208.5°C NMR spectrum δ (CDCl₃)ppm:0.80(3H,t,J=7.5Hz),0.89(3H,d,J=6.5Hz),1.03(3H,d,J=6.5Hz),1.08–1.99(32H,m),2.21–2.40(2H,m),2.28(6H,s),2.34(3H,s),2.64–2.73(1H,m),2.77–2.87(1H,m),3.04–3.22(3H,m),3.19(3H,s),3.26(1H,brs),3.50(1H,d,J=4.5Hz),3.62(1H,d,J=14.5Hz),3.66(1H,d,J=14.5Hz),3.67–3.78(2H,m),3.95(1H,d,J=7.5Hz),4.57(1H,s),5.14(1H,d,J=10.5Hz),5.21(1H,dd,J=11.2Hz),7.07(1H,d,J=7.5Hz),7.13(1H,d,J=7.5Hz),7.17–7.23(2H,m) Anal.Calcd.for C₄₅H₇₄N₂O₁₂ (Calcd.) :C,64.72;H,8.93;N,3.35 (Found) :C,64.47;H,8.58;N,3.37</p>
189			<p>colorless needles (recry. solv. :i-Pr₂O) m.p. 209.5–210.5°C NMR spectrum δ (CDCl₃)ppm:0.80(3H,t,J=7.5Hz),0.87(3H,d,J=6.5Hz),1.03(3H,d,J=6.5Hz),1.09–1.98(32H,m),2.22–2.42(2H,m),2.28(6H,s),2.33(3H,s),2.64–2.72(1H,m),2.77–2.85(1H,m),3.02–3.10(1H,m),3.12–3.22(2H,m),3.19(3H,s),3.27(1H,brs),3.49(1H,d,J=4.5Hz),3.60(1H,d,J=15.5Hz),3.64–3.78(2H,m),3.65(1H,d,J=15.5Hz),3.97(1H,d,J=7.5Hz),4.57(1H,s),5.14(1H,d,J=11Hz),5.20(1H,dd,J=11.2Hz),7.12(2H,d,J=8Hz),7.23(2H,d,J=8Hz) Anal.Calcd.for C₄₅H₇₄N₂O₁₂ (Calcd.) :C,64.72;H,8.93;N,3.35 (Found) :C,64.52;H,8.54;N,3.29</p>

Example	R ²	R ¹	Description and physical properties
190			<p>colorless prisms(recry. solv. :i-Pr₂O) m.p. 210.5–211°C NMR spectrum δ (CDCl₃)ppm:0.81(3H,t,J=7.5Hz),0.97(3H,d,J=6.5Hz),1.03(3H,d,J=6.5Hz),1.09–1.98(32H,m),2.22–2.34(1H,m),2.30(6H,s),2.43–2.52(1H,m),2.64–2.72(1H,m),2.75–2.83(1H,m),3.15–3.23(2H,m),3.19(3H,s),3.28(1H,brs),3.34–3.42(1H,m),3.52(1H,d,J=4.5Hz),3.62(1H,d,J=16Hz),3.66–3.78(2H,m),3.78(1H,d,J=16Hz),3.81(3H,s),4.10(1H,d,J=6.5Hz),4.57(1H,s),5.13(1H,d,J=11Hz),5.21(1H,dd,J=11.2Hz),6.87(1H,d,J=8Hz),8.91(1H,t,J=8Hz),7.18–7.29(2H,m) Anal.Calcd.for C₄₅H₇₄N₂O₁₃ (Calcd.) :C,63.51;H,8.76;N,3.29 (Found) :C,63.33;H,8.48;N,3.22</p>
191			<p>colorless prisms(recry. solv. :i-Pr₂O) m.p. 184–184.5°C NMR spectrum δ (CDCl₃)ppm:0.80(3H,t,J=7.5Hz),0.90(3H,d,J=6.5Hz),1.03(3H,d,J=7.5Hz),1.09–1.98(32H,m),2.22–2.38(2H,m),2.27(6H,s),2.63–2.72(1H,m),2.77–2.86(1H,m),3.01–3.11(1H,m),3.13–3.22(2H,m),3.20(3H,s),3.27(1H,brs),3.49(1H,d,J=4.5Hz),3.63(1H,d,J=14.5Hz),3.68(1H,d,J=14.5Hz),3.68–3.77(2H,m),3.80(3H,s),3.94(1H,d,J=6.5Hz),4.58(1H,s),5.15(1H,d,J=11Hz),5.20(1H,dd,J=11.25Hz),6.80(1H,dd,J=8.2Hz),6.93(2H,t,J=2Hz),7.22(1H,t,J=8Hz) Anal.Calcd.for C₄₅H₇₄N₂O₁₃ (Calcd.) :C,63.51;H,8.76;N,3.29 (Found) :C,63.22;H,8.82;N,3.26</p>
192			<p>colorless amorphous solid NMR spectrum δ (CDCl₃)ppm:0.80(3H,t,J=7.5Hz),0.87(3H,d,J=6.5Hz),1.03(3H,d,J=6.5Hz),1.08–1.99(32H,m),2.22–2.42(2H,m),2.28(6H,s),2.63–2.73(1H,m),2.77–2.86(1H,m),3.04–3.25(3H,m),3.20(3H,s),3.30(1H,brs),3.49(1H,d,J=5Hz),3.59(1H,d,J=14.5Hz),3.64(1H,d,J=14.5Hz),3.66–3.80(2H,m),3.79(3H,s),3.96(1H,d,J=7.5Hz),4.58(1H,s),5.14(1H,d,J=11Hz),5.20(1H,dd,J=11.2Hz),6.85(2H,d,J=8.5Hz),7.26(2H,d,J=8.5Hz) HR-MS m/z 738.43146 [Calcd.for C₃₉H₆₂N₂O₁₂(M⁺+1-C₇H₁₃O):738.43028]</p>

Example	R ²	R ³	Description and physical properties
193			<p>yellow needles (recry. solv. :t-Pr₂O) m.p. 183–184°C NMR spectrum δ (CDCl₃) ppm: 0.81(3H, t, J=7.5 Hz), 0.99(3H, d, J=6.5 Hz), 1.03(3H, d, J=6.5 Hz), 1.08–1.87(31H, m), 1.88–1.98(1H, m), 2.22–2.33(1H, m), 2.30(6H, s), 2.55–2.63(1H, m), 2.64–2.72(1H, m), 2.76–2.85(1H, m), 3.15–3.24(2H, m), 3.19(3H, s), 3.36–3.48(2H, m), 3.50(1H, d, J=4.5 Hz), 3.66–3.77(2H, m), 3.93(2H, s), 4.13(1H, d, J=7.5 Hz), 4.58(1H, s), 5.18(1H, d, J=11 Hz), 5.21(1H, dd, J=11, 2 Hz), 7.20(1H, dd, J=7.5, 4.5 Hz), 7.38(1H, d, J=7.5 Hz), 7.67(1H, td, J=7.5, 2 Hz), 8.52(1H, d, J=4.5 Hz) Anal. Calcd. for C₄₃H₇₁N₃O₁₂ (Calcd.) :C, 62.83; H, 8.71; N, 5.11 (Found) :C, 62.93; H, 8.55; N, 5.13</p>
194			<p>pale yellow amorphous solid NMR spectrum δ (CDCl₃) ppm: 0.81(3H, t, J=7.5 Hz), 0.91(3H, d, J=6.5 Hz), 1.04(3H, d, J=6.5 Hz), 1.11–1.86(30H, m), 1.88–1.97(1H, m), 1.90(1H, s), 2.23–2.32(1H, m), 2.28(6H, s), 2.33–2.40(1H, m), 2.64–2.73(1H, m), 2.78–2.87(1H, m), 3.10–3.24(3H, m), 3.20(3H, s), 3.33(1H, brs), 3.48(1H, d, J=4.5 Hz), 3.64–3.76(1H, m), 3.68(1H, d, J=15.5 Hz), 3.69(1H, s), 3.73(1H, d, J=15.5 Hz), 3.96(1H, d, J=7.5 Hz), 4.59(1H, s), 5.17(1H, d, J=11 Hz), 5.21(1H, dd, J=11.5, 2 Hz), 7.29(1H, dd, J=8.5 Hz), 7.75(1H, d, J=8 Hz), 8.54(1H, dd, J=5.1 Hz), 8.55(1H, d, J=2 Hz) HR-MS m/z 709.41539 [Calcd. for C₃₈H₅₉N₃O₁₁ (M⁺+1-C₇H₁₃O): 709.41496]</p>
195			<p>yellow amorphous solid NMR spectrum δ (CDCl₃) ppm: 0.81(3H, t, J=7.5 Hz), 0.91(3H, d, J=6.5 Hz), 1.04(3H, d, J=7.5 Hz), 1.10–1.85(30H, m), 1.88–1.98(1H, m), 1.90(1H, s), 2.21–2.34(2H, m), 2.27(6H, s), 2.64–2.72(1H, m), 2.77–2.87(1H, m), 3.06–3.14(1H, m), 3.14–3.26(2H, m), 3.20(3H, s), 3.30(1H, s), 3.47(1H, d, J=4.5 Hz), 3.61–3.77(2H, m), 3.67(1H, d, J=15.5 Hz), 3.73(1H, d, J=15.5 Hz), 3.94(1H, d, J=7.5 Hz), 4.58(1H, s), 5.14–5.24(2H, m), 7.31(2H, d, J=6 Hz), 8.57(2H, d, J=6 Hz) HR-MS m/z 709.41459 [Calcd. for C₃₈H₅₉N₃O₁₁ (M⁺+1-C₇H₁₃O): 709.41496]</p>

Example	R ²	R ³	Description and physical properties
196			pale brown amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.82(3H,t,J=7.5Hz),0.98(3H,d,J=6.5Hz),1.03(3H,d,J=7.5Hz),1.10-1.85(30H,m),1.87(1H,s),1.88-1.98(1H,m),2.20-2.37(2H,m),2.28(6H,s),2.65-2.73(1H,m),2.82-2.91(1H,m),3.06-3.21(2H,m),3.16(1H,d,J=10.5,7.5Hz),3.20(3H,s),3.23(1H,brs),3.49(1H,d,J=4.5Hz),3.67-3.76(2H,m),3.93(2H,s),3.94(1H,d,J=7.5Hz),4.59(1H,s),5.18(1H,d,J=11Hz),5.22(1H,dd,J=11.5,2Hz),6.96(1H,dd,J=5,3.5Hz),7.00(1H,dd,J=3.5,1Hz),7.22(1H,dd,J=5,1Hz) HR-MS m/z 652.35451 [Calcd.for C ₃₄ H ₅₄ NO ₂ S(M ⁺ -C ₈ H ₁₆ NO ₂):652.35196]
197			colorless needles (recry. solv. :i-Pr ₂ O) m.p. 215.5-216°C NMR spectrum δ (CDCl ₃)ppm:0.81(3H,t,J=7.5Hz),0.93(3H,d,J=6.5Hz),1.04(3H,d,J=6.5Hz),1.09-1.98(32H,m),2.23-2.39(2H,m),2.27(6H,s),2.63-2.72(1H,m),2.79-2.88(1H,m),3.08-3.22(3H,m),3.20(3H,s),3.30(1H,brs),3.47(1H,d,J=4.5Hz),3.63-3.77(2H,m),3.65(1H,d,J=15.5Hz),3.70(1H,d,J=15.5Hz),3.94(1H,d,J=7.5Hz),4.59(1H,s),5.17(1H,d,J=11Hz),5.21(1H,d,J=10Hz),6.69-6.77(1H,m),6.87-6.93(2H,m) Anal.Calcd.for C ₄₄ H ₇₀ F ₂ N ₂ O ₁₂ (Calcd.) :C,61.66;H,8.23;N,3.27 (Found) :C,61.54;H,8.09;N,3.30
198			colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm:0.51(3H,d,J=6.5Hz),0.75(3H,t,J=7.5Hz),1.03(3H,d,J=7.5Hz),1.09-1.94(35H,m),2.18-2.33(2H,m),2.30(6H,s),2.39-2.48(1H,m),2.62-2.71(2H,m),3.14-3.24(1H,m),3.20(3H,s),3.30(1H,brs),3.32-3.41(1H,m),3.48(1H,d,J=5Hz),3.65-3.80(2H,m),3.75(1H,q,J=6.5Hz),4.04(1H,d,J=6.5Hz),4.56(1H,s),5.11(1H,d,J=11Hz),5.18(1H,d,J=10Hz),7.20-7.37(5H,m) HR-MS m/z 721.42854 [Calcd.for C ₃₈ H ₆₁ N ₂ O ₁₁ (M ⁺ -C ₇ H ₁₃ O):721.42754]

Example	R ²	R ³	Description and physical properties
199			colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.82(3H,t,J=7.5Hz), 0.94(3H,d,J=6.5Hz), 1.04(3H,d,J=7.5Hz), 1.10-1.99(32H,m), 2.2-2.38(2H,m), 2.25(6H,s), 2.62-2.86(4H,m), 2.90-3.08(2H,m), 3.13-3.32(4H,m), 3.20(3H,s), 3.45(1H,d,J=3.5Hz), 3.67-3.79(2H,m), 4.00(1H,d,J=7.5Hz), 4.59(1H,s), 5.16(1H,d,J=11 Hz), 5.23(1H,dd,J=11,2Hz), 7.13-7.32(5H,m) HR-MS m/z 722.42499 [Calcd. for C ₃₈ H ₅₂ N ₂ O ₁₁ (M ⁺ +1-C ₇ H ₁₃ O): 722.43536]
200			colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.84(3H,t,J=7.5Hz), 1.04(3H,d,J=7.5Hz), 1.08(3H,d,J=6Hz), 1.00-2.16(33H,m), 2.04(6H,s), 2.32-2.40(1H,m), 2.67-2.73(1H,m), 2.89-2.97(1H,m), 3.08-3.25(3H,m), 3.21(3H,s), 3.28(1H,brs), 3.47(1H,d,J=3.5Hz), 3.68-3.77(2H,m), 4.07(1H,d,J=7.5Hz), 4.62(1H,s), 5.25(1H,d,J=12Hz), 5.27(1H,dd,J=11,2.5Hz), 6.51(1H,d,J=16 Hz), 7.36-7.44(3H,m), 7.52-7.58(2H,m), 7.76(1H,d,J=16Hz) HR-MS m/z 719.41362 [Calcd. for C ₃₈ H ₅₀ N ₂ O ₁₁ (M ⁺ -C ₇ H ₁₂ O): 719.41189]

Example	R ²	R ³	Description and physical properties
201			colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.83(3H,t,J=7.5Hz), 1.04(3H,d,J=6.5Hz), 1.08(3H,d,J=6.5Hz), 1.09-1.99(44H,m), 2.16-2.32(3H,m), 2.29(6H,s), 2.38-2.47(1H,m), 2.65-2.73(1H,m), 2.80-2.90(1H,m), 3.13-3.23(2H,m), 3.20(3H,s), 3.31-3.40(1H,m), 3.44(1H,d,J=5Hz), 3.65-3.77(2H,m), 4.04(1H,d,J=7.5Hz), 4.58(1H,s), 5.16(1H,d,J=11Hz), 5.23(1H,dd,J=11,2Hz) HR-MS m/z 826.55299 [Calcd. for C ₄₄ H ₇₀ N ₂ O ₁₂ (M ⁺): 826.55548]
202			colorless amorphous solid NMR spectrum δ (CDCl ₃)ppm: 0.80(3H,t,J=7.5Hz), 0.87(3H,d,J=6.5Hz), 1.03(3H,d,J=7.5Hz), 1.07-1.72(24H,m), 1.85-1.97(1H,m), 2.23-2.42(2H,m), 2.28(6H,s), 2.62-2.71(1H,m), 2.77-2.85(1H,m), 3.01-3.21(3H,m), 3.26(1H,s), 3.50(1H,d,J=4.5Hz), 3.66(1H,d,J=15Hz), 3.69-3.82(1H,m), 3.71(1H,d,J=15Hz), 3.84-3.93(1H,m), 3.96(1H,d,J=7.5Hz), 4.20-4.35(3H,m), 4.55(1H,d,J=16.5Hz), 4.64(1H,d,J=16.5Hz), 5.17-5.24(2H,m), 7.20-7.40(5H,m) HR-MS m/z 794.45520 [Calcd. for C ₄₁ H ₆₈ N ₂ O ₁₃ (M ⁺): 794.45649]

Example 203: 5-O-Desosaminyl-3-O-imidazolylcarbonylerythronolide A 9-[O-(1-methoxycyclohexyl)oxime]

[0059] To a solution of 2.00 g of 2'-O-acetyl-5-O-desosaminylerythronolide A 9-[O-(1-methoxycyclohexyl)oxime] in 30 ml of dichloromethane, 2.18 g of 1,1'-carbonyldiimidazole and 0.36 g of 4-dimethylaminopyridine were successively added at room temperature with stirring, and the reaction mixture was refluxed for 4 days. The reaction mixture was cooled and added with ice-water. The mixture was made alkaline with saturated aqueous sodium hydrogencarbonate solution and extracted with dichloromethane. The extract was washed with water, dried over sodium sulfate, and the solvent was removed under reduced pressure. The residue was purified by column chromatography (silica gel, dichloromethane : methanol = 33:1) to give 2.39 g of a pale yellow amorphous solid. A solution of 1.32 g of the resulting pale yellow amorphous solid in 50 ml of methanol was stirred at room temperature for 1 day. The reaction mixture was concentrated under reduced pressure to give 1.20 g of a pale yellow amorphous solid.

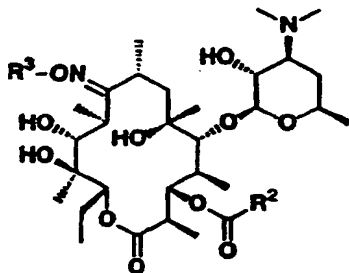
NMR spectrum δ (CDCl₃) ppm: 0.85 (3H, t, J=7.5Hz), 1.05 (3H, d, J=7.5Hz), 1.06 (3H, d, J=6.5Hz), 1.12-2.00 (31H, m), 2.08 (1H, s), 2.18 (6H, s), 2.37-2.44 (1H, m), 2.49-2.58 (1H, m), 2.67-2.77 (1H, m), 3.00-3.08 (2H, m), 3.18-3.24 (1H, m), 3.21 (3H, s), 3.31 (1H, s), 3.53 (1H, d, J=3.5Hz), 3.63-3.77 (3H, m), 3.80 (1H, d, J=6.5Hz), 4.64 (1H, s), 5.25-5.33 (2H, m), 7.11 (1H, s), 7.50 (1H, s), 8.22 (1H, s)
HR-MS m/z 684.39400 [Calcd. for C₃₃H₅₆N₄O₁₁ M⁺+1-C₇H₁₃O): 684.39456]

Example 204: 5-O-Desosaminyl-3-O-phenylacetylerythronolide A 9-[O-(2-methoxyphenethyl)oxime]

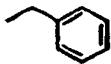
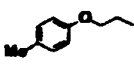
[0060] A mixture of 0.40 g of 5-O-desosaminyl-3-O-phenylacetylerythronolide A 9-oxime, 11 mg of tetrabutylammonium iodide, 0.20 g of 2-methoxyphenethylmethanesulfonate and 45 mg of powdered potassium hydroxide in 4 ml of tetrahydrofuran was stirred at room temperature for 5 days. The reaction mixture was added with water, and the mixture was extracted with diethyl ether. The extract was washed with saturated brine, dried over sodium sulfate, and the solvent was removed under reduced pressure. The residue was purified by column chromatography (silica gel, dichloromethane:methanol:aqueous ammonia = 100:3:1.5) to give 0.50 g of a colorless amorphous solid.

NMR spectrum δ (CDCl₃) ppm: 0.81 (3H, t, J=7.5Hz), 0.87 (3H, d, J=6.5Hz), 0.97 (3H, d, J=7.5Hz), 1.10-1.67 (21H, m), 1.88-1.99 (1H, m), 2.22-2.30 (1H, m), 2.27 (6H, s), 2.31-2.38 (1H, m), 2.59-2.68 (1H, m), 2.77-2.85 (1H, m), 2.87-3.04 (2H, m), 3.06-3.20 (3H, m), 3.28 (1H, brs), 3.41 (1H, d, J=5Hz), 3.54-3.63 (1H, m), 3.66 (1H, d, J=14.5Hz), 3.70 (1H, d, J=14.5Hz), 3.73 (1H, s), 3.84 (3H, s), 3.95 (1H, d, J=7.5Hz), 4.20-4.28 (2H, m), 4.51 (1H, s), 5.14 (1H, d, J=11Hz), 5.22 (1H, dd, J=11.5, 2Hz), 6.81-6.93 (2H, m), 7.08-7.14 (1H, m), 7.17-7.40 (6H, m)
HR-MS m/z 668.38103 [Calcd. for C₃₈H₅₄NO₉ (M⁺+1-C₈H₁₆NO₃): 668.37986]

[0061] Compounds of Examples 205 through 207 were obtained in the same manner as that described in Example 204.



Example	R ²	R ³	Description and physical properties
205			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H, t, J=7.5Hz), 0.87(3H, d, J=6.5Hz), 0.97(3H, d, J=7.5Hz), 1.08–1.72(21H, m), 1.87–1.98(1H, m), 2.20–2.29(1H, m), 2.27(6H, s), 2.30–2.38(1H, m), 2.60–2.70(1H, m), 2.76–2.86(1H, m), 2.89–2.98(2H, m), 3.04–3.17(1H, m), 3.14(1H, s), 3.15(1H, dd, J=10.5, 7.5Hz), 3.28(1H, s), 3.41(1H, d, J=5Hz), 3.53–3.63(1H, m), 3.66(1H, d, J=15Hz), 3.70(1H, d, J=15Hz), 3.73(1H, s), 3.81(3H, s), 3.94(1H, d, J=7.5Hz), 4.21–4.33(2H, m), 4.46(1H, s), 5.12(1H, d, J=11Hz), 5.21(1H, dd, J=11.5, 2Hz), 6.74–6.81(3H, m), 7.20–7.38(6H, m)</p> <p>HR-MS m/z 668.38002</p> <p>[Calcd. for C₃₈H₅₄NO₉ (M⁺-C₉H₁₉NO₂): 668.37986]</p>
206			<p>pale yellow amorphous solid</p> <p>NMR spectrum δ (CDCl₃)ppm: 0.81(3H, t, J=7.5Hz), 0.87(3H, d, J=6.5Hz), 0.93(3H, d, J=7.5Hz), 0.99–1.80(23H, m), 1.87–1.98(1H, m), 2.15–2.40(2H, m), 2.27(6H, s), 2.60–2.70(1H, m), 2.74–2.86(1H, m), 3.04–3.37(6H, m), 3.41(1H, d, J=4.5Hz), 3.50–3.62(1H, m), 3.65(1H, d, J=14.5Hz), 3.70(1H, d, J=14.5Hz), 3.75(1H, s), 3.94(1H, d, J=6.5Hz), 4.40(1H, s), 4.42–4.51(2H, m), 5.11(1H, d, J=10.5Hz), 5.18–5.25(1H, m), 7.10–7.60(6H, m), 7.90–8.00(2H, m)</p> <p>HR-MS m/z 668.38238</p> <p>[Calcd. for C₃₈H₅₄NO₉ (M⁺-C₉H₁₁NO₂): 668.37986]</p>

Example	R ²	R ³	Description and physical properties
207			<p>colorless amorphous solid</p> <p>NMR spectrum δ (CDCl₃) ppm: 0.81(3H, t, J=7.5Hz), 0.87(3H, d, J=6.5Hz), 0.99(3H, d, J=6.5Hz), 1.05-1.73(19H, m), 1.87-1.97(1H, m), 2.02(1H, s), 2.20-2.38(2H, m), 2.26(6H, s), 2.28(3H, s), 2.63-2.73(1H, m), 2.75-2.87(1H, m), 3.03-3.19(3H, m), 3.15(1H, dd, J=10.5, 7.5Hz), 3.26(1H, brs), 3.42(1H, d, J=5Hz), 3.62-3.76(1H, m), 3.65(1H, d, J=14.5Hz), 3.70(1H, d, J=14.5Hz), 3.79(1H, s), 3.94(1H, d, J=7.5Hz), 4.07-4.18(2H, m), 4.32-4.43(3H, m), 5.12(1H, d, J=10.5Hz), 5.21(1H, d, J=9Hz), 6.84(2H, d, J=8.5Hz), 7.09(2H, d, J=8.5Hz), 7.22-7.40(5H, m)</p> <p>HR-MS m/z 668.38238</p> <p>[Calcd. for C₃₃H₃₄NO₉ (M⁺-C₈H₁₀NO₃): 668.37986]</p>

Example 208: 5-O-Desosaminyl-3-O-methoxycarbonylerythronolide A 9-[O-(3-phenylpropyl)oxime]

[0062] To a solution of 0.80 g of 2'-O-acetyl-5-O-desosaminylerythronolide A 9-[O-(3-phenylpropyl)oxime] in 8 ml of pyridine, 1.3 ml of phenyl chloroformate was added dropwise, and the reaction mixture was stirred at room temperature for 24 hours. The reaction mixture was added with water, and the mixture was extracted with diethyl ether. The extract was washed with saturated brine, dried over sodium sulfate, and the solvent was removed under reduced pressure. The residue was purified by column chromatography (silica gel, ethyl acetate) to give 0.38 g of a colorless amorphous solid. A solution of 0.38 g of the resulting colorless amorphous solid in 5 ml of methanol was stirred at room temperature for 160 hours. The reaction mixture was concentrated under reduced pressure, and the residue was purified by column chromatography (silica gel, ethyl acetate) to give 0.22 g of a colorless amorphous solid.

NMR spectrum δ (CDCl₃) ppm: 0.84 (3H, t, J=7.5Hz), 1.04 (3H, d, J=6.5Hz), 1.19-1.80 (23H, m), 1.90-2.03 (4H, m), 2.20-2.40 (7H, m), 2.47-2.60 (1H, m), 2.60-2.75 (3H, m), 2.85-2.95 (1H, m), 3.12 (1H, s), 3.15-3.30 (2H, m), 3.30-3.40 (1H, m), 3.52 (1H, d, J=3.5Hz), 3.62-3.72 (2H, m), 3.80 (3H, s), 4.06 (2H, t, J=6.5Hz), 4.19 (1H, d, J=7.5Hz), 4.45 (1H, s), 4.89 (1H, d, J=11Hz), 5.26 (1H, dd, J=11, 2Hz), 7.10-7.31 (5H, m)

HR-MS m/z 766.46354 [Calcd. for C₄₀H₆₆N₂O₁₂ (M⁺): 766.46158]

[0063] Compound of Example 209 was obtained in the same manner as that described in Example 208.

Example 209: 5-O-Desosaminyl-3-O-methoxycarbonylerythronolide A 9-[O-(2-phenoxyethyl)oxime]

[0064]

Appearance: a colorless amorphous solid

NMR spectrum δ (CDCl₃) ppm: 0.85 (3H, t, J=7.5Hz), 1.00 (3H, d, J=6.5Hz), 1.05-1.70 (23H, m), 1.90-2.00 (1H, m), 2.12 (1H, s), 2.20-2.35 (1H, m), 2.27 (6H, s), 2.40-2.50 (1H, m), 2.62-2.75 (1H, m), 2.85-2.95 (1H, m), 3.12 (1H, s), 3.15 (1H, dd, J=10.5, 7.5Hz), 3.24 (1H, brs), 3.30-3.40 (1H, m), 3.46 (1H, d, J=4.5Hz), 3.65-3.85 (2H, m), 3.79 (3H, s), 4.13-4.20 (3H, m), 4.37-4.43 (3H, m), 4.87 (1H, d, J=10Hz), 5.26 (1H, dd, J=11, 2.5Hz), 6.90-7.00 (3H, m), 7.25-7.35 (2H, m)

HR-MS m/z 768.43949 [Calcd. for C₃₉H₆₄N₂O₁₃ (M⁺): 768.44084]

Example 210: 5-O-Desosaminyl-3-O-phenylcarbamoylerythronolide A 9-[O-(3-phenylpropyl)oxime]

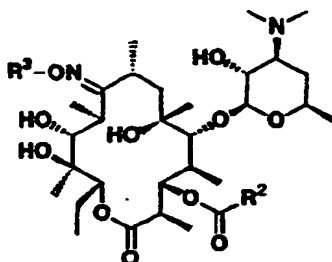
[0065] A solution of 0.40 g of 2'-O-acetyl-5-O-desosaminylerythronolide A 9-[O-(3-phenylpropyl)oxime], 0.34 ml of phenyl isocyanate and 0.12 ml of pyridine in 4 ml of tetrahydrofuran was stirred at room temperature for 28 hours. The reaction mixture was added with water, and the mixture was extracted with diethyl ether. The extract was washed with

saturated brine, dried over sodium sulfate, and the solvent was removed under reduced pressure. A solution of the resulting residue in 15 ml of methanol was stirred at room temperature for 24 hours. The reaction mixture was concentrated under reduced pressure, and the residue was purified by column chromatography (silica gel, ethyl acetate) to give 0.31 g of a colorless amorphous solid.

NMR spectrum δ (CDCl₃) ppm: 0.85 (3H, t, J=7.5Hz), 0.97-1.68 (27H, m), 1.90-2.03 (4H, m), 2.13-2.38 (2H, m), 2.19 (6H, s), 2.62-2.77 (3H, m), 2.82-2.95 (1H, m), 3.05-3.25 (3H, m), 3.55 (1H, d, J=3.5Hz), 3.63-3.79 (2H, m), 3.98-4.17 (3H, m), 4.48 (1H, s), 5.03 (1H, d, J=10.5Hz), 5.27 (1H, dd, J=11.5, 2Hz), 7.05 (1H, t, J=7.5Hz), 7.11 (1H, brs), 7.16-7.22 (3H, m), 7.25-7.34 (4H, m), 7.40-7.48 (2H, m)

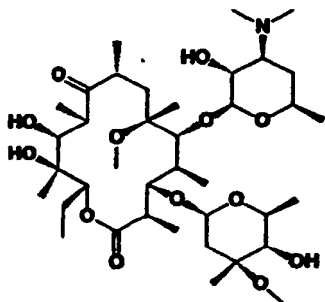
HR-MS m/z 827.49261 [Calcd. for C₄₅H₆₉N₃O₁₁ (M⁺): 827.49321]

[0066] Compounds of Examples 211 through 212 were obtained in the same manner as that described in Example 210.

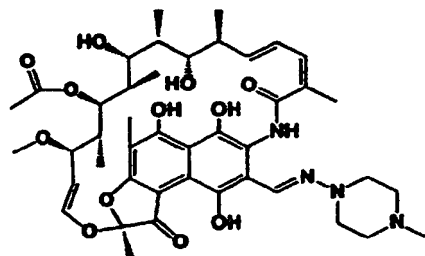


Example	R ²	R ³	Description and physical properties
211			colorless amorphous solid NMR spectrum δ (CDCl ₃) ppm: 0.85 (3H, t, J=7.5Hz), 0.92-1.78 (27H, m), 1.90-2.02 (1H, m), 2.06 (1H, s), 2.10-2.26 (1H, m), 2.17 (6H, s), 2.27-2.36 (1H, m), 2.64-2.76 (1H, m), 2.81-2.95 (1H, m), 3.02-3.23 (3H, m), 3.41-3.53 (1H, m), 3.68-3.79 (1H, m), 3.82 (1H, s), 4.04 (1H, d, J=7.5Hz), 4.09-4.22 (2H, m), 4.28-4.46 (3H, m), 5.01 (1H, d, J=10.5Hz), 5.28 (1H, dd, J=11.25Hz), 6.91-7.14 (4H, m), 7.10 (1H, brs), 7.27-7.36 (4H, m), 7.38-7.50 (2H, m) HR-MS m/z 829.47495 [Calcd. for C ₄₆ H ₆₇ N ₃ O ₁₂ (M ⁺): 829.47248]
212			pale yellow amorphous solid NMR spectrum δ (CDCl ₃) ppm: 0.84 (3H, t, J=7.5Hz), 0.95-2.00 (23H, m), 2.09-2.35 (2H, m), 2.19 (6H, s), 2.64-2.74 (1H, m), 2.83-2.93 (1H, m), 3.08-3.39 (3H, m), 3.20 (3H, s), 3.57 (1H, d, J=3Hz), 3.66-3.80 (3H, m), 4.02-4.11 (1H, m), 4.62 (1H, s), 5.04 (1H, d, J=10.5Hz), 5.26 (1H, dd, J=11.25Hz), 7.00-7.10 (2H, m), 7.28-7.50 (4H, m) HR-MS m/z 709.42217 [Calcd. for C ₃₆ H ₅₉ N ₃ O ₁₁ (M ⁺ +1-C ₇ H ₁₃ O): 709.41496]

[0067] In order to evaluate excellent efficacy of the compounds of the present invention, their antibacterial spectrums against atypical acid-fast mycobacteria (MAC) were measured. Clarithromycin and rifampicin were used as reference compounds.



Reference compound 1
(clarithromycin)



Reference compound 2
(rifampicin)

Antibacterial spectrum against atypical acid-fast mycobacteria

[0068] Antibacterial activities (minimum inhibitory concentration: MIC) were measured according to the standard method of the Japan Society of Chemotherapy [Chemotherapy, 29 (1), 76, 1981] by using clinical isolates of atypical acid-fast mycobacteria and applying 10^6 CFU/ml of live bacteria. The results are shown in Table 126 and Table 127. The compounds of the present invention had more potent antibacterial activities than the reference compounds against atypical acid-fast mycobacteria including erythromycin-resistant strains (*M. avium* 20092 and other bacteria).

[0069] Names of the bacteria in the tables are as follows:

Mycobacterium avium (*M. avium*)

Mycobacterium intracellulare (*M. intracellulare*)

Antibacterial spectrum (Minimum inhibitory concentration $\mu\text{g/ml}$)					
Strain	Example			Reference compound	
	23	40	78	1	2
<i>M. avium</i> 20034	3.13	3.13	3.13	3.13	12.5
<i>M. avium</i> 20045	3.13	3.13	1.56	1.56	3.13
<i>M. avium</i> 20092	6.25	3.13	3.13	>50	50
<i>M. avium</i> 20096	3.13	3.13	1.56	>50	3.13
<i>M. intracellulare</i> 20066	3.13	3.13	0.78	3.13	3.13
<i>M. intracellulare</i> 20067	1.56	1.56	0.78	1.56	1.56
<i>M. intracellulare</i> 20073	3.13	1.56	0.78	1.56	3.13
<i>M. intracellulare</i> 20075	3.13	3.13	0.78	3.13	3.13

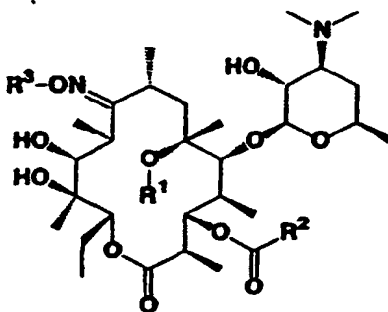
Distribution of susceptibility (Minimum inhibitory concentration $\mu\text{g/ml}$)					
Strain (Number of strain)	Compound	Minimum inhibitory concentration $\mu\text{g/ml}$			
		Range	50%	80%	90%
<i>M. avium</i> (27)	Example 23	1.56 ~ 6.25	3.13	3.13	3.13
	Example 40	1.56 ~ 3.13	3.13	3.13	3.13
	Example 78	1.56 ~ 3.13	1.56	1.56	3.13
	Reference compound 1	0.78 ~ >100	3.13	6.25	12.5
	Reference compound 2	0.39 ~ 50	25	50	50

Industrial Applicability

[0070] The erythromycin derivatives and salts thereof of the present invention have excellent antibacterial activity against atypical acid-fast mycobacteria including multiple drug-resistant bacteria and are extremely useful as antibacterial agents.

Claims

1. An erythromycin derivative represented by the following general formula or a salt thereof:



wherein R^1 represents a hydrogen atom or a lower alkyl group; R^2 represents an alkyl group which may be substituted, a cycloalkyl group which may be substituted, a (cycloalkyl)alkyl group which may be substituted, an aryl group which may be substituted, an aralkyl group which may be substituted, a styryl group which may be substituted, or a group represented by the formula $-X-R^4$ wherein X represents an oxygen atom or an amino group, and R^4 represents an alkyl group which may be substituted or an aryl group which may be substituted; and R^3 represents an alkyl group which is substituted with a carboxyl group, an alkoxycarbonyl group, an aryloxycarbonyl group, or an aralkyloxycarbonyl group, a cycloalkyl group which may be substituted, a (cycloalkyl)alkyl group which may be substituted, an alkenyl group which may be substituted, or a group represented by the formula $-(CH_2)_n-Y-R^5$ wherein Y represents a methylene group which may be substituted, an oxygen atom, a sulfur atom, a sulfinyl group, a sulfonyl group, an amino group which may be substituted with an alkyl group, or a carbonyl group, R^5 represents an aryl group which may be substituted, and n represents an integer of from 1 to 5.

2. The compound or a salt thereof according to claim 1, wherein R^1 is a hydrogen atom.
3. A medicament which comprises a compound or a physiologically acceptable salt thereof according to claim 1 or 2 as an active ingredient.
4. The medicament according to claim 3, which is used as an agent for therapeutic treatment of an infectious disease.

5. The medicament according to claim 4, which is used as an agent for therapeutic treatment of an infection by atypical acid-fast mycobacteria.
6. The medicament according to claim 5, wherein the atypical acid-fast mycobacteria are *Mycobacterium avium* complex.
7. Use of a compound represented by the general formula (I) or a salt thereof according to claim 1 for the manufacture of a medicament according to any one of claims 3 to 6.
8. A method for therapeutic treatment of an infectious disease, which comprises the step of administering to a patient a therapeutically effective amount of a compound represented by the general formula (I) or a physiologically acceptable salt thereof according to claim 1.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP98/05570

A. CLASSIFICATION OF SUBJECT MATTER
Int.Cl.⁶ C07H17/08, A61K31/71

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Int.Cl.⁶ C07H17/08, A61K31/71

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
Caplus (STN), REGISTRY (STN)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	<p>WO, 93/13116, A1 (Taisho Pharmaceutical Co., Ltd.), 8 July, 1993 (08. 07. 93), Particularly refer to Examples 28, 30, 34, 62 ; Test Example & AU, 9331728, A & EP, 619319, A1 & EP, 619320, A1 & US, 5523399, A & US, 5631354, A & DE, 69219900, E & ES, 2101290, T3 & ES, 2104118, T3</p>	1-7

☒ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

<p>* Special categories of cited documents:</p> <p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier document but published on or after the international filing date</p> <p>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p>	<p>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</p> <p>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art</p> <p>"&" document member of the same patent family</p>
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Date of the actual completion of the international search
4 March, 1999 (04. 03. 99)

Date of mailing of the international search report
16 March, 1999 (16. 03. 99)

Name and mailing address of the ISA/
Japanese Patent Office

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP98/05570

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	<p>JP, 4-290893, A (Roussel Uclaf), 15 October, 1992 (15. 10. 92), Particularly refer to Example 46 ; Tables 1 to 8 & EP, 487411, A1 & AU, 9187986, A & FR, 2669337, A1 & BR, 9105062, A & CA, 2055912, A & FI, 9105469, A & PT, 99569, A & FR, 2677025, A1 & HU, 61564, T & FR, 2680790, A1 & CN, 1065069, A & NZ, 240684, A & US, 5444051, A & DE, 69116815, E & ES, 2082952, T3 & US, 5561118, A & IE, 74713, B & IL, 99995, A & US, 5770579, A & RU, 2100367, C1</p>	1-7

Form PCT/ISA/210 (continuation of second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP98/05570

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 8
because they relate to subject matter not required to be searched by this Authority, namely:
Claim 8 falls under the category of methods for treatment of the human body by therapy.
2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

- Remark on Protest ☐ The additional search fees were accompanied by the applicant's protest.
☐ No protest accompanied the payment of additional search fees.

Form PCT/ISA/210 (continuation of first sheet (1)) (July 1992)

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